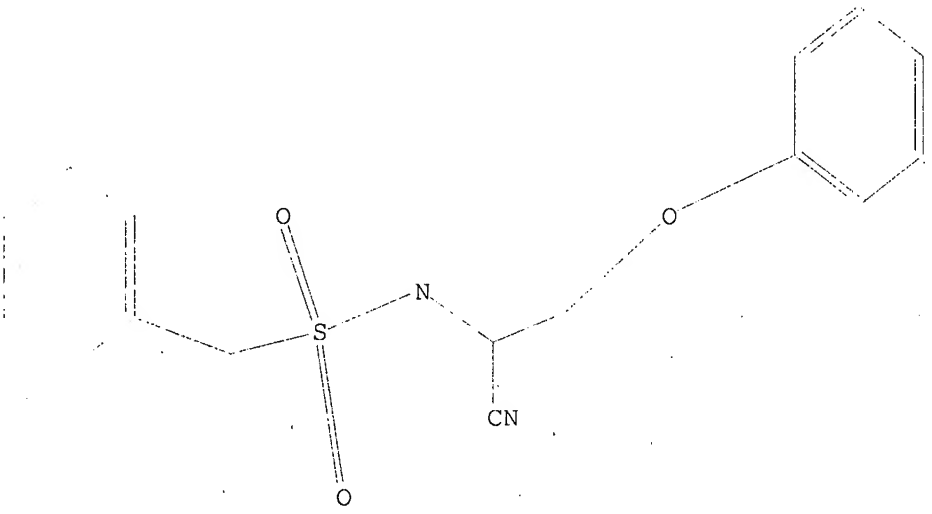
11/16/07

L3 STRUCTURE UPLOADED

=> D
L3 HAS NO ANSWERS
L3 STR



Structure attributes must be viewed using STN Express query preparation.

=> s L3
SAMPLE SEARCH INITIATED 13:46:07 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 24 TO ITERATE

100.0% PROCESSED 24 ITERATIONS 6 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 187 TO 773
PROJECTED ANSWERS: 6 TO 266

L4 6 SEA SSS SAM L3

=> file caplus
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 13.20 14.67

FILE 'CAPLUS' ENTERED AT 13:46:12 ON 19 NOV 2007
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

strictly prohibited.

FILE COVERS 1907 - 19 Nov 2007 VOL 147 ISS 22
FILE LAST UPDATED: 18 Nov 2007 (20071118/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:

http://www.cas.org/infopolicy.html

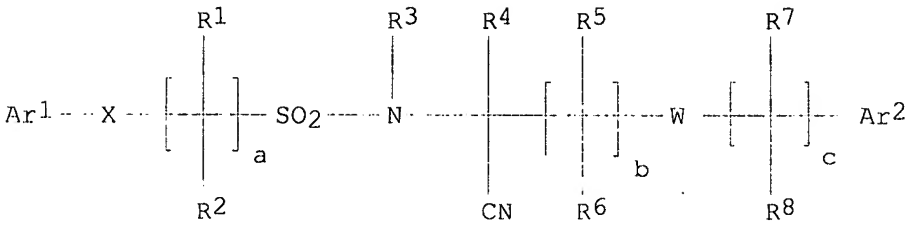
=> s L4
L5 1 L4

=> d ibib abs hitstr L5

L5 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:2844 CAPLUS
DOCUMENT NUMBER: 140:59414
TITLE: Preparation of α-sulfonylamino-acetonitrile derivatives useful in controlling and preventing the infestation of plants by phytopathogenic microorganisms, particularly fungi
INVENTOR(S): Eberle, Martin; Stierli, Daniel; Mueller, Urs
PATENT ASSIGNEE(S): Syngenta Participations Ag, Switz.
SOURCE: PCT Int. Appl., 87 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004000797	A1	20031231	WO 2003-EP6482	20030618
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003279387	A1	20040106	AU 2003-279387	20030618
EP 1513802	A1	20050316	EP 2003-740286	20030618
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005529966	T	20051006	JP 2004-514793	20030618
US 2005234125	A1	20051020	US 2004-517977	20041215
PRIORITY APPLN. INFO.:			GB 2002-14116 A	20020619
			WO 2003-EP6482 W	20030618

OTHER SOURCE(S): MARPAT 140:59414
GI



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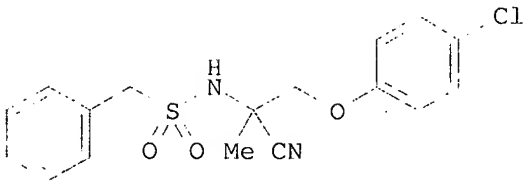


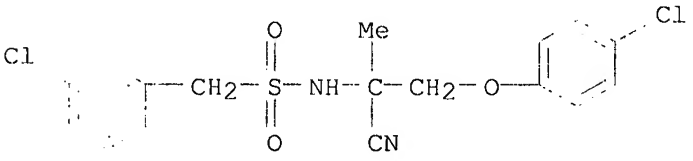
II

AB The invention relates to α-sulfonylamino-acetonitrile derivs. of the formula I [wherein: Ar1, Ar2 = (un)substituted (hetero)aryl; R1, R2, R5, R6, R7, R8 = H, (un)substituted alkyl, (un)substituted alk(en/yn)yl, (un)substituted cycloalkyl; R3 = H, alk(en/yn)yl, (un)substituted alkyl; R4 = as given for R1 except H; W = O, S(O)m, NR3; X = direct bond or O, S(O)m, NR3; a, b = 1, 2, 3; c, m = 0, 1, 2]. Compds. I possess useful plant protecting properties and may advantageously be employed in agricultural practice for controlling or preventing the infestation of plants by phytopathogenic microorganisms, especially fungi. In particular, prepared α-sulfonylamino-acetonitrile I (wherein R1 = R2 = R3 = R5 = R6 = H, R4 = CH3; Ar1 = Ph; Ar2 = p-ClC6H4; W = O; X = direct bond; a, b = 1; c = 0) (II) has shown good fungicidal action against Plasmopara viticola on vines, and against Phytophthora on tomato and potato plants, at 200 ppm.

IT 638208-00-1P 638208-37-4P 638208-73-8P
638209-04-8P 638209-11-7P 638209-17-3P
RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of α-sulfonylamino-acetonitrile derivs. and their use in preventing or controlling plants infestation by phytopathogenic microorganisms)

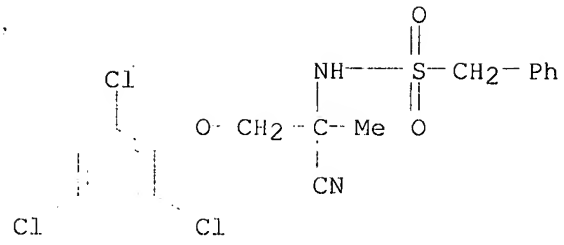
RN 638208-00-1 CAPLUS

CN Benzenemethanesulfonamide, 3-chloro-N-[2-(4-chlorophenoxy)-1-cyano-1-methylethyl]- (CA INDEX NAME)

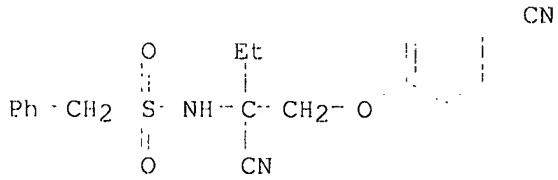


RN 638208-37-4 CAPLUS

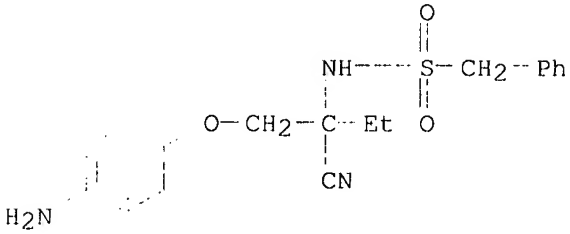
CN Benzenemethanesulfonamide, N-[1-cyano-1-methyl-2-(2,4,6-trichlorophenoxy)ethyl]- (CA INDEX NAME)



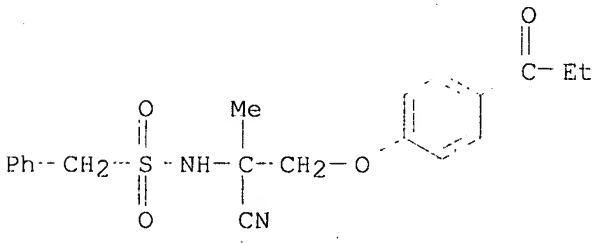
RN 638208-73-8 CAPLUS
CN Benzenemethanesulfonamide, N-[1-cyano-1-[(4-cyanophenoxy)methyl]propyl]- (CA INDEX NAME)



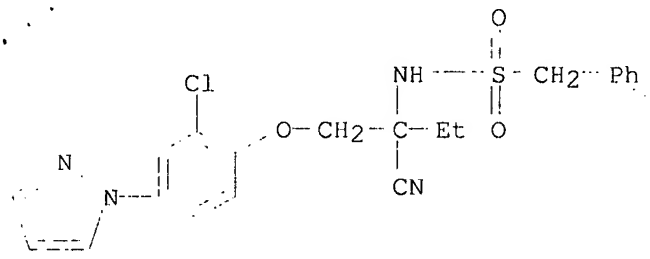
RN 638209-04-8 CAPLUS
CN Benzenemethanesulfonamide, N-[1-[(4-aminophenoxy)methyl]-1-cyanopropyl]- (CA INDEX NAME)



RN 638209-11-7 CAPLUS
CN Benzenemethanesulfonamide, N-[1-cyano-1-methyl-2-[4-(1-oxopropyl)phenoxy]ethyl]- (CA INDEX NAME)

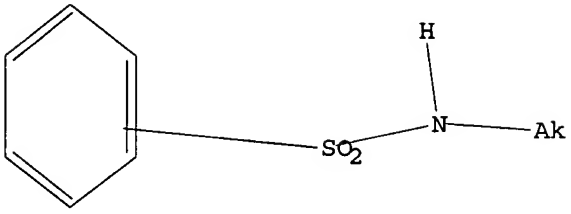


RN 638209-17-3 CAPLUS
CN Benzenemethanesulfonamide, N-[1-[[2-chloro-4-(1H-pyrazol-1-yl)phenoxy]methyl]-1-cyanopropyl]- (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d
L10 HAS NO ANSWERS
L10 STR



Structure attributes must be viewed using STN Express query preparation.

=> s L10
SAMPLE SEARCH INITIATED 15:37:51 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 68584 TO ITERATE

2.9% PROCESSED 2000 ITERATIONS 50 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 1356087 TO 1387273
PROJECTED ANSWERS: 32469 TO 37485

L11 50 SEA SSS SAM L10

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.45	23.43

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-2.34

FILE 'CAPLUS' ENTERED AT 15:37:56 ON 14 MAR 2007

FILE COVERS 1907 - 14 Mar 2007 VOL 146 ISS 12
FILE LAST UPDATED: 13 Mar 2007 (20070313/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:

http://www.cas.org/infopolicy.html

=> s L11
L12 57 L11

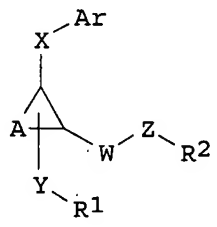
=> d ibib abs hitstr L12 1-57

L12 ANSWER 1 OF 57 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2007:174303 CAPLUS
TITLE: Preparation of therapeutic agents for diabetes
INVENTOR(S): Abe, Hidenori; Wakabayashi, Takeshi; Rikimaru, Kentarou
PATENT ASSIGNEE(S): Takeda Pharmaceutical Company Limited, Japan
SOURCE: PCT Int. Appl., 509pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007018314	A2	20070215	WO 2006-JP316068	20060809

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: JP 2005-232646 A 20050810
GI



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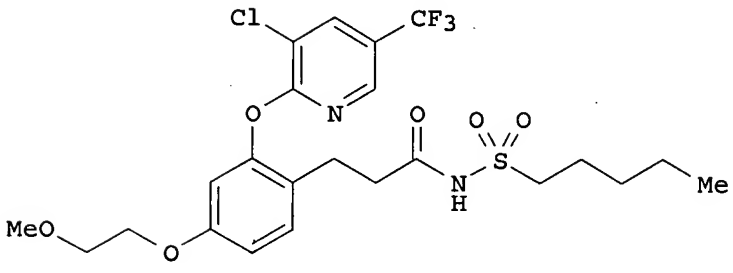


II

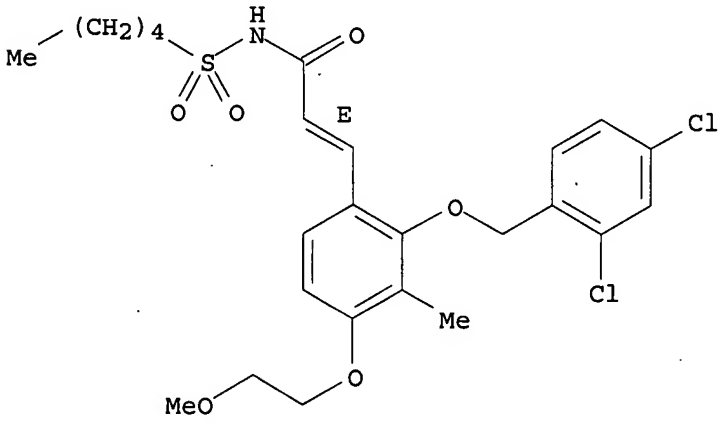
AB The invention provides an agent for the prophylaxis or treatment of

diabetes, which is associated with fewer side effects such as body weight gain, adipocyte accumulation, cardiac hypertrophy and the like, and which contains a compound I [A = (un)substituted aryl; Ar = (un)substituted monocyclyl; R1 = (un)substituted hydrocarbyl, heterocyclyl; R2 = H, (un)substituted hydrocarbyl, heterocyclyl; X = spacer having a main chain of 1-2 atoms; Y = a bond or a spacer having a main chain of 1-2 atoms; W = (un)substituted divalent hydrocarbon group; Z = CONHSO2 and derivs., SO2NHCO and derivs., OCONH and derivs., etc.], or a salt thereof or a prodrug thereof. Preparation of antidiabetic agents I is described. Thus, O-heteroarylation of Et 3-[2-hydroxy-4-(2-methoxyethoxy)phenyl]propanoate (preparation given) with 2,3-dichloro-5-(trifluoromethyl)pyridine, saponification and
reaction of the acid with pentane-1-sulfonamide gave N-sulfonyl amide II. Selected I displayed a hypoglycemic and hypolipidemic action. II exhibited PPARγ-PPARα heterodimer ligand activity.

IT 926301-37-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of therapeutic agents for diabetes)

RN 926301-37-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



L12 ANSWER 2 OF 57 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2007:58849 CAPLUS
DOCUMENT NUMBER: 146:142513
TITLE: Pyridine analogs as P2Y12 inhibitors and their preparation, pharmaceutical compositions and use in the treatment of platelet aggregation disorders
INVENTOR(S): Andersen, Soeren; Bach, Peter; Brickmann, Kay; Giordanetto, Fabrizio; Zetterberg, Fredrik; Oesterlund, Krister
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 306pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007008140	A1	20070118	WO 2006-SE832	20060704

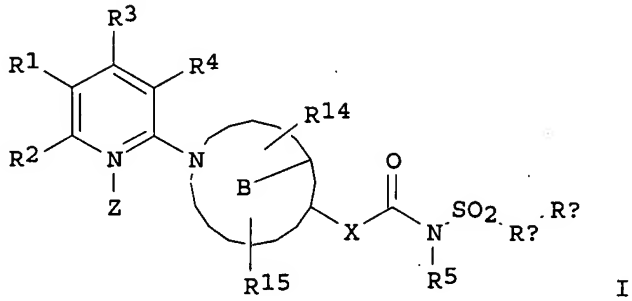
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,

CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: SE 2005-1663 A 20050713
SE 2005-2354 A 20051024

GI



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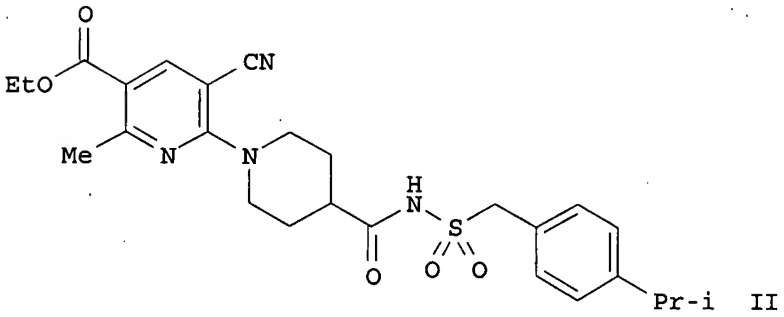


Pr-i II

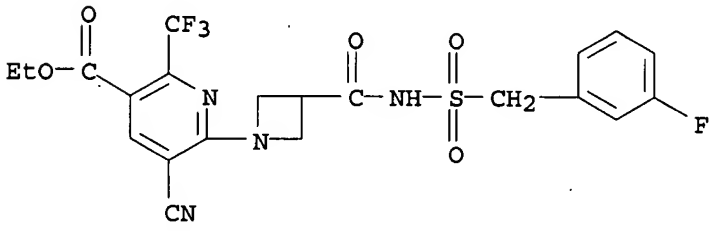
AB The present invention relates to certain pyridin analogs of formula I, processes for preparing such compds., to their utility as P2Y12 inhibitors and as anti-thrombotic agents etc, their use as medicaments in cardiovascular diseases as well as pharmaceutical compns. containing them. Compds. of formula I wherein R1 is alkyloxycarbonyl, acyl, alkylthiocarbonyl, alkylthio, thioacyl, and (un)substituted oxazolyl; R2 - R4 are independently H, CN, halo, NO2, (un)substituted C1-12 (hetero)alkyl, etc.; R5 is H and C1-12 alkyl; R14 and R15 are independently H, OH, (un)substituted C1-12 (hetero)alkyl, etc.; Rc is (un)substituted C1-4 alkylene, (un)substituted C1-4 oxyalkylene, (un)substituted C1-4 alkyleneoxy, etc.; Ra is (un)substituted C3-8 cycloalkyl, (un)substituted aryl, and (un)substituted heterocyclyl; Z is O and absent; X is single bond, NH, CH2, CH2NH, etc.; B is (mono/bi)cyclic 4- to 11-membered heterocyclic ring; and their pharmaceutically acceptable salts thereof, as well as their process for preparing them, are claimed. Example compound II was prepared by sulfonylation of 1-(chloromethyl)-4-isopropylbenzene; the resulting sodium (4-isopropylphenyl)methanesulfonate underwent amidation with ammonia to give (4-isopropylphenyl)methanesulfona

mide, which underwent amidation with 1-[3-cyano-5-(ethoxycarbonyl)-6-methylpyridin-2-yl]piperidine-4-carboxylic acid to give compound II. All the invention compds. were evaluated for their P2Y12 inhibitory activity. From the assay, it was determined that compound II exhibited an IC50 value of 0.46 μM.

IT 919353-48-3P, Ethyl 5-cyano-6-[3-[[[(3-fluorobenzyl)sulfonyl]amino]carbonyl]azetidin-1-yl]-2-(trifluoromethyl)nicotinate
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of pyridine analogs as P2Y12 inhibitors and their use in the treatment of platelet aggregation disorders)

RN 919353-48-3 CAPLUS

CN 3-Pyridinecarboxylic acid, 5-cyano-6-[3-[[[[(3-fluorophenyl)methyl]sulfonyl]amino]carbonyl]-1-azetidinyl]-2-(trifluoromethyl)-, ethyl ester (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 3 OF 57 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:1252490 CAPLUS

DOCUMENT NUMBER: 146:27723

TITLE: Indole derivatives as inhibitors of cytosolic phospholipase a2 and their preparation, pharmaceutical compositions, and use in the prevention and treatment of various diseases

INVENTOR(S): Mckew, John C.; Lee, Katherine L.; Chen, Lilhren; Vargas, Richard; Clark, James D.; Williams, Cara; Clerin, Valerie; Marusic, Suzana; Pong, Kevin

PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA

SOURCE: PCT Int. Appl., 115pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006128142	A2	20061130	WO 2006-US20847	20060526

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,

KG, KZ, MD, RU, TJ, TM

US 2007004719	A1	20070104	US 2006-442199	20060526
PRIORITY APPLN. INFO.:			US 2005-685564P	P 20050527
OTHER SOURCE(S):	MARPAT 146:27723			

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB This invention provides chemical inhibitors of the activity of various phospholipase enzymes, particularly cytosolic phospholipase A2 enzymes (cPLA2), more particularly including inhibitors of cytosolic phospholipase A2 alpha enzymes (cPLAα). In some embodiments, the inhibitors have the formula I: wherein the constituent variables are as defined herein. Compds. of formula I wherein each n is independently 1 and 2; n1 is 0, 1 and 2; X2 is O, CH2, and SO2; each R5 is H and C1-3 alkyl; R6 is H and c1-6 alkyl; R7 is OH, BnO, Me, CF3, OCF3, C1-3 alkoxy, halo, COH, etc.; R8 is H, OH, NO2, CF3, OCF3, C1-3 alkoxy, halo, etc.; and their pharmaceutically acceptable salts are claimed. Example compound II was prepared by esterification of 4-[3-[3-(2-aminoethyl)-1-benzhydryl-5-chloro-1H-indole-3-yl]propyl]benzoic acid to give the corresponding Me ester, which underwent amidation with (2-trifluoromethylphenyl)methanesulfonyl chloride to give the corresponding sulfonamide, which underwent hydrolysis to give compound II. All the invention compds. were evaluated for their cytosolic phospholipase a2 inhibitory activity. From the assay, it was determined that compound II exhibited IC50 values of 0.009 μM and 0.02 μM against GLU micelle and Rat Whole Blood TXB2, resp.

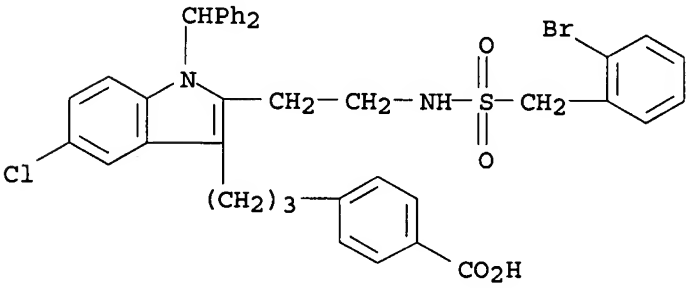
IT 916136-11-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of indole derivs. as cytosolic phospholipase A2 inhibitors useful in treatment and prevention of diseases)

RN 916136-11-3 CAPLUS

CN Benzoic acid, 4-[3-[2-[2-[[[(2-bromophenyl)methyl]sulfonyl]amino]ethyl]-5-chloro-1-(diphenylmethyl)-1H-indol-3-yl]propyl]- (CA INDEX NAME)



L12 ANSWER 4 OF 57 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:	2006:463321 CAPLUS
DOCUMENT NUMBER:	144:488642
TITLE:	Preparation of thiazole derivatives as 11β-HSD1 inhibitors
INVENTOR(S):	Fukushima, Hiroshi; Takahashi, Masato; Mikami, Ayako; Busujima, Tsuyoshi; Kawaguchi, Takanori; Hirano, Hitomi
PATENT ASSIGNEE(S):	Taisho Pharmaceutical Co., Ltd., Japan
SOURCE:	PCT Int. Appl., 206 pp. CODEN: PIXXD2

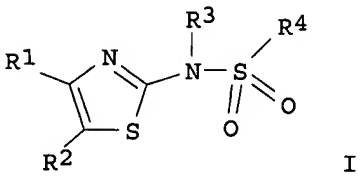
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006051662	A1	20060518	WO 2005-JP18609	20051007

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: JP 2004-324539 A 20041109
OTHER SOURCE(S): MARPAT 144:488642
GI

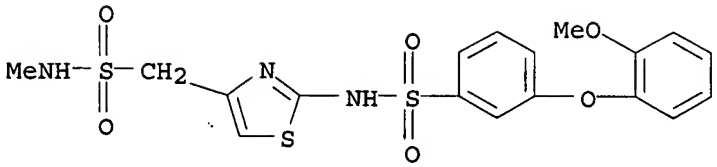


I

AB The title compds. I [R1 = C(R5)(R6)S(O)nR7, C(R51)(R61)C(R52)(R62)S(O)nR71, C(R53)(R63)C(R54)(R64)C(R55)(R65)S(O)nR72 (wherein R5, R51, R52, R53, R54, R55, R6, R61, R62, R63, R64 and R65 are identical with or different from each other, each is a hydrogen atom, or an optionally substituted C1-6 alkyl); when n = 0, R7, R71, R72 = H, (un)substituted alkyl, (un)substituted cycloalkyl; when n = 1 or 2, R7, R71, R72 = H, (un)substituted alkyl, (un)substituted cycloalkyl, etc.; R2 = H, halo, (un)substituted C1-6 alkyl; R3 = H, (un)substituted C1-6 alkyl, (un)substituted C2-6 alkenyl, etc.; R4 = (un)substituted aryl, heteroaryl, arylalkenyl, etc.] are prepared I are said to be useful in the treatment of diabetes, arteriosclerosis, etc. Thus, 4-chloro-2-fluoro-N-[4-(tetrahydro-2H-pyran-4-yl)-1,3-thiazol-2-yl]benzenesulfonamide was prepared from 4-(tetrahydro-2H-pyran-4-yl)-1,3-thiazole-2-amine and 4-chloro-2-fluorobenzenesulfonyl chloride. Compds. of this invention showed IC50 values of 2 nM to 9 nM against 11β-HSD1 (11β-hydroxysteroid dehydrogenase type 1).

IT 887485-95-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of thiazole derivs. as 11β-HSD1 inhibitors)

RN 887485-95-2 CAPLUS
CN 4-Thiazolemethanesulfonamide, 2-[[[3-(2-methoxyphenoxy)phenyl]sulfonyl]amino]-N-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

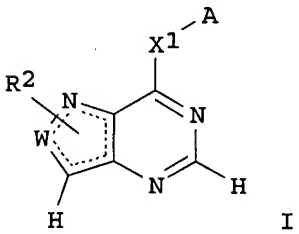
L12 ANSWER 5 OF 57 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:1314844 CAPLUS
DOCUMENT NUMBER: 144:36371
TITLE: Preparation of fused heterocyclic compounds as tyrosine kinase inhibitors
INVENTOR(S): Ishikawa, Tomoyasu; Taniguchi, Takahiko; Banno, Hiroshi; Seto, Masaki
PATENT ASSIGNEE(S): Takeda Pharmaceutical Company Limited, Japan
SOURCE: PCT Int. Appl., 555 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005118588	A1	20051215	WO 2005-JP10451	20050601
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2005250285	A1	20051215	AU 2005-250285	20050601
CA 2569016	A1	20051215	CA 2005-2569016	20050601
EP 1752457	A1	20070214	EP 2005-748463	20050601
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU				

PRIORITY APPLN. INFO.:

JP 2004-165050	A	20040602
JP 2005-58231	A	20050302
WO 2005-JP10451	W	20050601

OTHER SOURCE(S): MARPAT 144:36371
GI



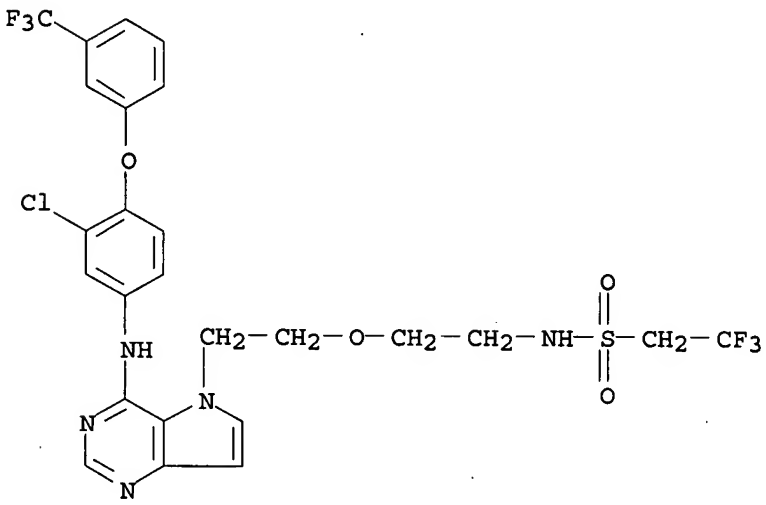
I

AB Fused heterocyclic compds. such as 1H-pyrazolo[4,3-d]pyrimidine and 5H-pyrrolo[3,2-d]pyrimidine represented by the formula (I) [wherein W = C(R1) or N; A = each optionally substituted aryl or heteroaryl; X1 = NR3-Y1, O, S, SO, SO2, CHR3 (wherein R3 = H or optionally substituted aliphatic hydrocarbon group, provided that R3 may be bonded to A to form an optionally substituted ring structure); R1 = H or optionally substituted group bonded through a carbon, nitrogen, or oxygen atom; R2 = H or optionally substituted group bonded through a carbon or sulfur atom, provided that R2 may be bonded to R1 or R3 to form an optionally substituted ring structure] or salts thereof are prepared A tyrosine kinase inhibitor or a preventive/therapeutic agent for cancers which each contains the compound I or a prodrug thereof is provided. Thus, a solution of 100 mg 4-chloro-5-methyl-5H-pyrrolo[3,2-d]pyrimidine in 1.0 mL 1-methyl-2-pyrrolidone was treated with 225 mg 3-chloro-4-[(3-fluorobenzyl)oxy]aniline and heated at 140° with stirring for 1.5 h to give, after workup and silica gel chromatog., 121 mg N-[3-chloro-4-[(3-fluorobenzyl)oxy]phenyl]-5-methyl-5H-pyrrolo[3,2-d]pyrimidin-4-amine (II). II at 1.0 μM in vitro inhibited 96.1% HER 2 kinase. Pharmaceutical tablet formulations containing II were prepared

IT 871027-86-0P, N-(2-(2-[4-((3-Chloro-4-[3-(trifluoromethyl)phenoxy]phenyl)amino)-5H-pyrrolo[3,2-d]pyrimidin-5-yl]ethoxy)ethyl)-2,2,2-trifluoroethanesulfonamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of fused heterocyclic compds. as tyrosine kinase inhibitors and preventive/therapeutic agent for cancers)

RN 871027-86-0 CAPLUS

CN Ethanesulfonamide, N-[2-[2-[4-[[3-chloro-4-[3-(trifluoromethyl)phenoxy]phenyl]amino]-5H-pyrrolo[3,2-d]pyrimidin-5-yl]ethoxy]ethyl]-2,2,2-trifluoro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 6 OF 57 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:1126690 CAPLUS
DOCUMENT NUMBER: 143:405807
TITLE: Preparation of sulfonamides as antagonists of the growth hormone secretagogue receptor (GHS-R)
INVENTOR(S): Napper, Andrew; Distefano, Peter; Navia, Manuel A.; Saunders, Jeffrey O.; Curtis, Rory; Luly, Jay; Pons,

Jean-Francois; Thomas, Russell J.; Coulter, Thomas; Geesaman, Bard J.
PATENT ASSIGNEE(S): Elixir Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 107 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2005097788	A2	20051020	WO 2005-US11357		20050404
WO 2005097788	A3	20060126			
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
CA 2561801	A1	20051020	CA 2005-2561801		20050404
US 2005261332	A1	20051124	US 2005-98315		20050404
PRIORITY APPLN. INFO.:			US 2004-559166P	P	20040402
			WO 2005-US11357	W	20050404

OTHER SOURCE(S): MARPAT 143:405807
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

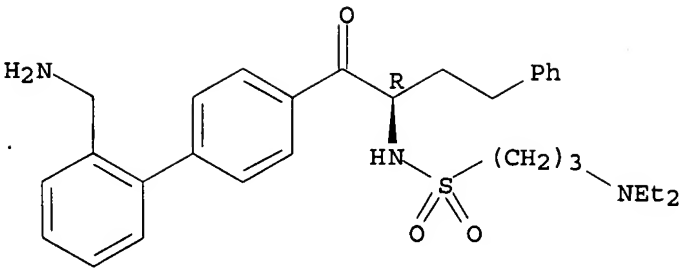
AB Title compds. I [R1 = (hetero)aryl, arylalkyl, heteroarylalkyl, etc.; K = bond, O, CO, carboxy, etc.; n = 1-6; R2-3 = H, alk(en/yn)yl; A = alkyl, aminoalkyl, etc.; R4-5 = H, alkyl, alkenyl, haloalkyl, etc.; X = CH2CH2CH2 where one of the CH2 units can be individually replaced with O, CO, etc.; Y = spirobicyclyl, tricyclyl, etc.] are prepared For instance, key intermediate II is prepared by reaction of phenylhydrazine and N-benzyloxycarbonyl-4-formylpiperidine (PhMe/ACN, TFA, MeOH, NaBH4) in 75% yield. II is elaborated to example compound III in 6 steps using N-Boc-OBn-D-serine, 2-chloroethanesulfonyl chloride and diethylamine. III has a Ki between 0.1 and 1.0 μM for the growth hormone secretagogue receptor (GHS-R). I are useful for the treatment of diabetes and obesity.

IT 866945-94-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of sulfonamides as antagonists of growth hormone secretagogue receptor (GHS-R))

RN 866945-94-0 CAPLUS

CN 1-Propanesulfonamide, N-[(1R)-1-[[2'-(aminomethyl)[1,1'-biphenyl]-4-yl]carbonyl]-3-phenylpropyl]-3-(diethylamino)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



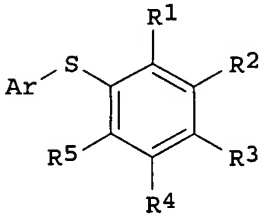
L12 ANSWER 7 OF 57 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:313150 CAPLUS
DOCUMENT NUMBER: 142:373566
TITLE: Preparation of 2- or 4-(phenylthio)cinnamides as cell adhesion-inhibiting antiinflammatory and immune-suppressive compounds
INVENTOR(S): Link, James; Liu, Gang; Pei, Zhonghua; Von Geldern, Tom; Winn, Martin; Xin, Zhili; Boyd, Steven A.; Zhu, Gui-Dong; Freeman, Jennifer C.; Gunawardana, Indrani W.; Staeger, Michael A.; Jae, Hwan-Soo; Lynch, John K.; Wang, Sheldon
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: U.S., 123 pp., Cont.-in-part of U.S. Ser. No. 474,517.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6878700	B1	20050412	US 2000-541795	20000331
CA 2369238	A1	20001012	CA 2000-2369238	20000403
WO 2000059880	A1	20001012	WO 2000-US8895	20000403
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2000041944	A	20001023	AU 2000-41944	20000403
AU 774564	B2	20040701		
BR 2000009426	A	20020409	BR 2000-9426	20000403
EE 200100513	A	20021216	EE 2001-513	20000403
JP 2004513063	T	20040430	JP 2000-609392	20000403
AT 275543	T	20040915	AT 2000-921654	20000403
NZ 515237	A	20041126	NZ 2000-515237	20000403
EP 1481968	A2	20041201	EP 2004-20808	20000403
EP 1481968	A3	20050119		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
CZ 296856	B6	20060712	CZ 2001-3522	20000403
BG 106029	A	20020531	BG 2001-106029	20011018
HR 2001000776	A1	20021231	HR 2001-776	20011023
HR 20010776	B1	20060228		
HK 1040985	A1	20050218	HK 2002-102655	20020409
US 2004116518	A1	20040617	US 2003-725212	20031201
US 6867203	B2	20050315		
US 2005250768	A1	20051110	US 2004-921965	20040820

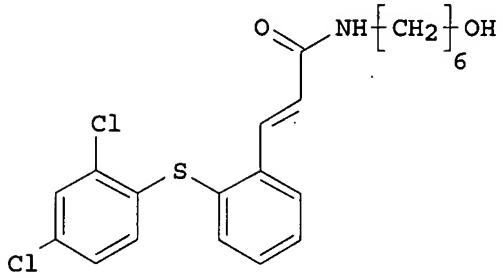
AU 2004205260	A1	20040923	AU 2004-205260	20040825
PRIORITY APPLN. INFO.:			US 1998-114097P	P 19981229
			US 1999-474517	A2 19991229
			US 1999-286645	A 19990402
			US 2000-541795	A 20000331
			EP 2000-921654	A3 20000403
			WO 2000-US8895	W 20000403
			US 2000-695040	A1 20001024

OTHER SOURCE(S): MARPAT 142:373566

GI



I



II

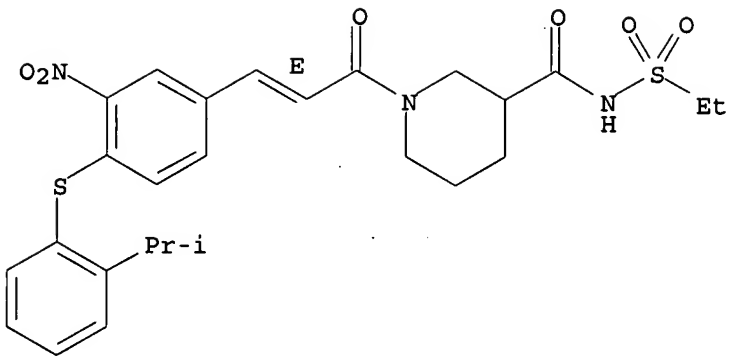
AB The title compds. (I) [wherein R1, R2, R4, R5 = independently H, halo, (halo)alkyl, alkoxy, cyano, NO2, CHO, heterocyclylsulfanyl, (un)substituted cis- or trans-cinnamide; R3 = (un)substituted cis- or trans-cinnamide; Ar = (un)substituted (hetero)aryl] were prepared as cell adhesion inhibitors for the treatment of inflammatory and immune diseases. Examples include syntheses for 443 invention compds. and data for 3 bioassays. For instance, a mixture of 2-[(2,4-dichlorophenyl)thio]benzaldehyde (preparation given), malonic acid, piperidine in anhydrous pyridine was heated at 110°C for 2 h and then treated with aqueous HCl to give trans-2-[(2,4-dichlorophenyl)thio]cinnamic acid (91%). Conversion to the acid chloride followed by amidation with 6-amino-1-hexanol gave (E)-II (90%). In an integrin LFA-1/ICAM-1 biochem. interaction assay, I demonstrated inhibition at 4 μM. In cell-based adhesion assays which measure the ability of test compds. to block adherence of JY-8 cells (a human EBV-transformed B cell line expressing LFA-1 on its surface) to immobilized ICAM-1 or ICAM-3, I exhibited blocking activity at 4 μM and 0.6 μM, resp.

IT 280750-90-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of (phenylthio)cinnamides as cell adhesion inhibitors by coupling of thiophenols with halobenzaldehydes, conversion to cinnamic acids, amidation, and optional derivatization)

RN 280750-90-5 CAPLUS

CN 3-Piperidinecarboxamide, N-(ethylsulfonyl)-1-[(2E)-3-[4-[[2-(1-methylethyl)phenyl]thio]-3-nitrophenyl]-1-oxo-2-propenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



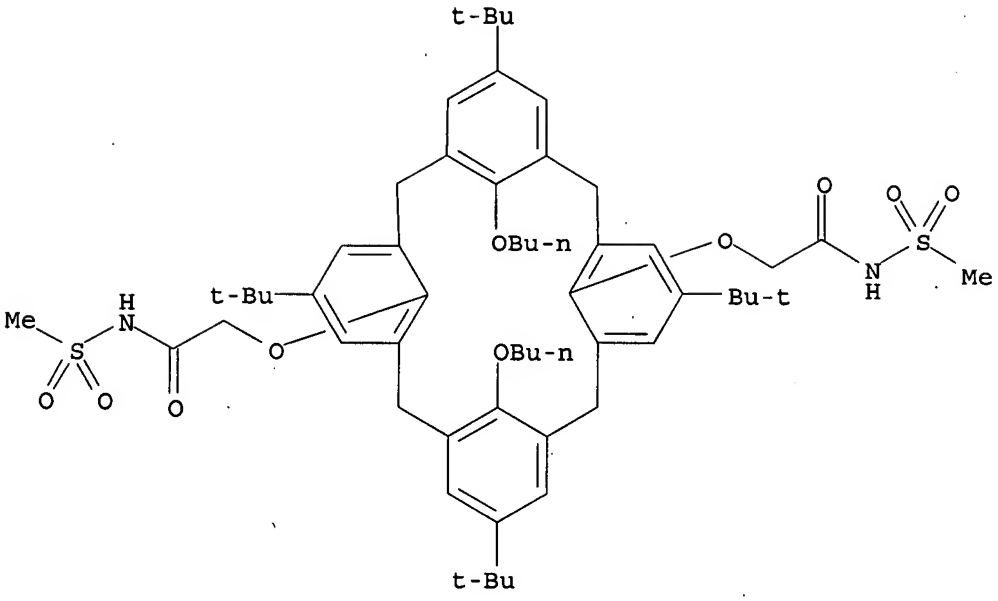
REFERENCE COUNT: 126 THERE ARE 126 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 8 OF 57 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:725815 CAPLUS
DOCUMENT NUMBER: 141:416510
TITLE: Rigid versus flexible: how important is ligand "preorganization" for metal ion recognition by lower rim-functionalized calix[4]arenes?
AUTHOR(S): Talanova, Galina G.; Talanov, Vladimir S.; Hwang, Hong-Sik; Park, Chunkyung; Surowiec, Kazimierz; Bartsch, Richard A.
CORPORATE SOURCE: Department of Chemistry, Howard University, Washington, DC, 20059, USA
SOURCE: Organic & Biomolecular Chemistry (2004), 2(18), 2585-2592
CODEN: OBCRAK; ISSN: 1477-0520
PUBLISHER: Royal Society of Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 141:416510

AB For an assessment of the outcomes from use of an appropriately "preorganized" calixarene-based ionophore vs. its conformationally mobile prototype, solvent extraction propensities of flexible calix[4]arene di-[N-(X-sulfonyl)carboxamides] for alkali, alkaline earth metal cations, Pb2+, Ag+ and Hg2+ are compared with those for seven new rigid analogs fixed in the cone, partial cone and 1,3-alternate conformations. For each of the metal ions, the preferred calix[4]arene conformation was determined from the NMR spectra for the metal salt of the flexible ligand. Except for Ag+, flexible calix[4]arene di-[N-(X-sulfonyl)carboxamides] were found to provide greater metal ion extraction efficiency and better selectivity than the corresponding "preorganized" ionophores.

IT 783337-66-6DP, potassium and mercury complexes
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(effect of flexibility on metal cation complexation/solvent extraction with lower rim-functionalized calix[4]arenes)

RN 783337-66-6 CAPLUS
CN Acetamide, 2,2'-[[26,28-dibutoxy-5,11,17,23-tetrakis(1,1-dimethylethyl)pentacyclo[19.3.1.13,7.19,13.115,19]octacosa-1(25),3,5,7(28),9,11,13(27),15,17,19(26),21,23-dodecaene-25,27-diyl]bis(oxy)]bis[N-(methylsulfonyl)- (9CI) (CA INDEX NAME)

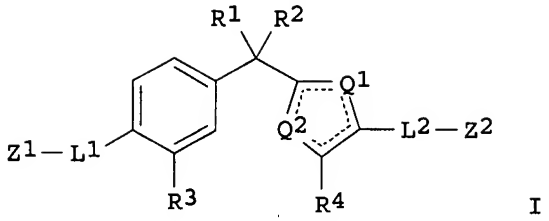


REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 9 OF 57 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:610159 CAPLUS
DOCUMENT NUMBER: 141:174068
TITLE: Vesicant treatment with (phenylalkyl)thiophenes as vitamin D receptor modulators
INVENTOR(S): Nagpal, Sunil
PATENT ASSIGNEE(S): Eli Lilly and Company, USA; Yee, Ying Kwong
SOURCE: PCT Int. Appl., 496 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2004063348	A2	20040729	WO 2004-US6		20040107
WO 2004063348	A8	20040930			
WO 2004063348	A3	20051027			
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ					
EP 1587905	A2	20051026	EP 2004-700549		20040107
EP 1587905	A3	20051214			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK					
US 2006135484	A1	20060622	US 2005-540667		20050624
PRIORITY APPLN. INFO.:			US 2003-439575P	P	20030110
			WO 2004-US6	W	20040107

OTHER SOURCE(S): MARPAT 141:174068
GI



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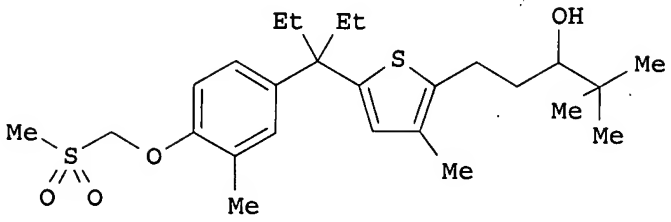


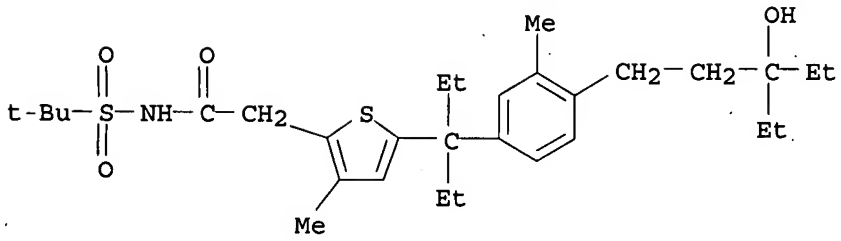
II

AB The present invention relates to a method of treating or preventing damage to human skin cells by chemical vesicants, such as mustard, by administering non-secosteroidal, title compds. I [wherein R1 and R2 = independently (fluoro)alkyl; or CR1R2 = (un)substituted carbocycle; Q1 and Q2 = C, S, with the proviso that one atom = S and the other atom = C; R3 and R4 = independently H, halo, (fluoro)alkyl, (fluoro)alkoxy, (fluoro)alkylthio, CN, NO2, acetyl, (cyclo)alkenyl, cycloalkyl; L1 and L2 = independently a bond, (CH2)mCX1, (CH2)mCHOH, (CH2)mO, (CH2)mS, (CH2)mSO, (CH2)mSO2, (CH2)mNR5, (CH2)mC(R5)2, (CH2)mC.tplbond.C, (CH2)mCH=CH, CHOHCX1, SO2NH, SO2O, SO2CX1, NHCCX1, NHSO, CH2SO, OSO; m = 0-2; X1 = O, S; R5 = H, (fluoro)alkyl; Z1 and Z2 = independently H, OH, halo, formyl, NO2, CN, (fluoro)phenyl, benzyl, (un)substituted (cyclo)alkyl, (cyclo)alkenyl, acyl, carboxy, carbamoyl, alkoxy, alkylthio, sulfamoyl, (thio)ureido, amino, etc.; with provisos; and pharmaceutically acceptable salts or prodrugs thereof] with vitamin D receptor (VDR) modulating activity. Examples include prepns. and bioassays for efficacy and toxicity of representative I. For instance, reaction of 3-[4-(benzyloxy)-3-methylphenyl]-3-[4-methyl-5-(hydroxymethyl)thiophen-2-yl]pentane with PBr3 and LiHMDS, followed by addition of pinacolone gave the 5-(3-oxo-4,4-dimethylpentyl)-4-methylthiophene derivative (82%). Deprotection using Pd/C in EtOH/EtOAc provided the phenol (97%), which was alkylated with methylmercaptomethyl chloride (73%) and oxidized using m-CPBA to afford the 4-(methylsulfonylmethoxy)-3-methylphenyl derivative (33%). Reduction of the ketone using NaBH2 in MeOH yielded the alc. II (quant.). The preferred enantiomer of latter exhibited VDR activity in the RXR-VDR heterodimer assay (EC50 = 40.57 nM) and showed osteoporosis inhibition activity in the osteocalcin (OCN) promoter assay (EC50 = 46.82 nM), while demonstrating low toxicity in the mouse hypercalcemia assay (EC50 = >1000 nM). In addition, results from the keratinocyte proliferation assay (IC50 = 76 nM) and the IL-10 induction assay (IC50 = 26 nM) indicated that the preferred enantiomer of II may also be useful for the treatment of psoriasis, abscesses, and adhesions.

IT 633350-29-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(VDR modulator; preparation of (phenylalkyl)thiophenes as VDR modulators for preventing or treating damage to human skin cells by chemical vesicants)

RN 633350-29-5 CAPLUS

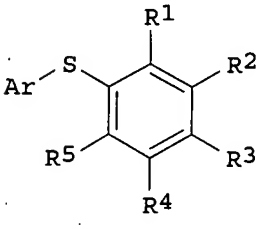
CN 2-Thiopheneacetamide, N-[(1,1-dimethylethyl)sulfonyl]-5-[1-ethyl-1-[4-(3-ethyl-3-hydroxypentyl)-3-methylphenyl]propyl]-3-methyl- (9CI) (CA INDEX NAME)



L12 ANSWER 10 OF 57 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:493573 CAPLUS
DOCUMENT NUMBER: 141:54069
TITLE: Preparation of 2- or 4-(phenylthio)cinnamides as cell adhesion-inhibiting antiinflammatory and immune-suppressive compounds
INVENTOR(S): Gunawardana, Indrani W.
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: U.S. Pat. Appl. Publ., 133 pp., Cont. of U.S. Ser. No. 695,040.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004116518	A1	20040617	US 2003-725212	20031201
US 6867203	B2	20050315		
US 6878700	B1	20050412	US 2000-541795	20000331
PRIORITY APPLN. INFO.:			US 1998-114097P P	19981229
			US 1999-474517 B2	19991229
			US 2000-541795 A2	20000331
			US 2000-695040 A1	20001024

OTHER SOURCE(S): MARPAT 141:54069
GI



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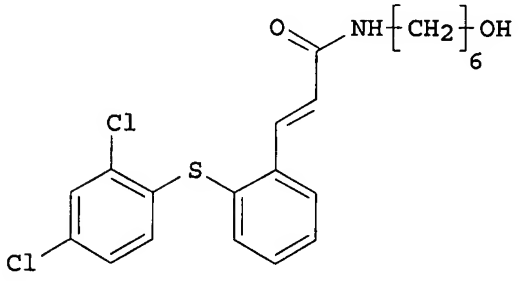


II

AB The title compds. (I) [wherein R1-R5 = independently H, halo, (halo)alkyl, alkoxy, cyano, NO2, CHO, and least one of R1 or R3 is an (un)substituted cis- or trans-cinnamide; Ar = (un)substituted (hetero)aryl] were prepared as cell adhesion inhibitors for the treatment of inflammatory and immune diseases and cerebral vasospasm. Examples include syntheses for 445 invention compds. and data for 3 bioassays. For instance, a mixture of 2-[(2,4-dichlorophenyl)thio]benzaldehyde (preparation given), malonic acid, piperidine in anhydrous pyridine was heated at 110°C for 2 h and then treated with aqueous HCl to give trans-2-[(2,4-dichlorophenyl)thio]cinnamic acid (91%). Conversion to the acid chloride followed by amidation with

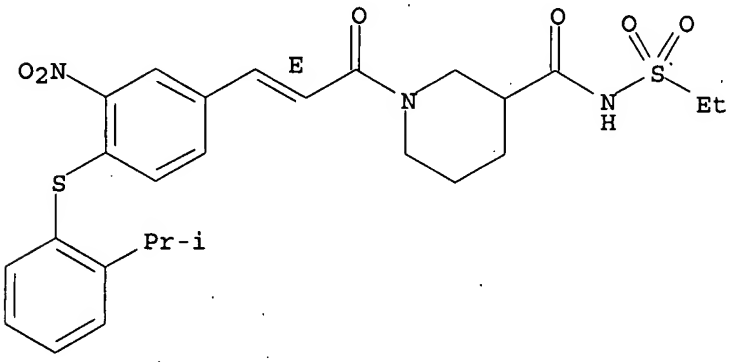
6-amino-1-hexanol gave (E)-II (90%). In an integrin LFA-1/ICAM-1 biochem. interaction assay, I demonstrated inhibition at 4 μM. In cell-based adhesion assays which measure the ability of test compds. to block adherence of JY-8 cells (a human EBV-transformed B cell line expressing LFA-1 on its surface) to immobilized ICAM-1 or ICAM-3, I exhibited blocking activity at 4 μM and 0.6 μM, resp. The pharmaceutical composition comprising the compound I is claimed.

IT 280750-90-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of (phenylthio)cinnamides as cell adhesion inhibitors by coupling of thiophenols with halobenzaldehydes, conversion to cinnamic acids, amidation, and optional derivatization)

RN 280750-90-5 CAPLUS

CN 3-Piperidinecarboxamide, N-(ethylsulfonyl)-1-[(2E)-3-[4-[[2-(1-methylethyl)phenyl]thio]-3-nitrophenyl]-1-oxo-2-propenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



REFERENCE COUNT: 254 THERE ARE 254 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 11 OF 57 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:972066 CAPLUS

DOCUMENT NUMBER: 140:27753

TITLE: Preparation of phenylalkyl thiophene-type vitamin D receptor modulators for treating bone disease, psoriasis and other disorders

INVENTOR(S): Dahnke, Karl Robert; Gajewski, Robert Peter; Jones, Charles David; Linebarger, Jared Harris; Lu, Jianliang; Ma, Tianwei; Nagpal, Sunil; Simard, Todd Parker; Yee, Ying Kwong; Bunel, Emilio Enrique; Stites, Ryan Edward

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: PCT Int. Appl., 504 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

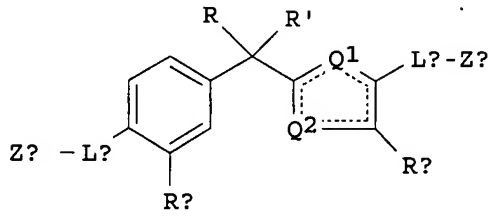
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003101978	A1	20031211	WO 2003-US14539	20030522
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,				

GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,
PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT,
TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2485503	A1	20031211	CA 2003-2485503	20030522
AU 2003233505	A1	20031219	AU 2003-233505	20030522
BR 2003009983	A	20050222	BR 2003-9983	20030522
EP 1511740	A1	20050309	EP 2003-728782	20030522
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CN 1656089	A	20050817	CN 2003-812198	20030522
JP 2005532348	T	20051027	JP 2004-509669	20030522
IN 2004KN01967	A	20061103	IN 2004-KN1967	20041221
US 2006287536	A1	20061221	US 2006-515403	20060125
PRIORITY APPLN. INFO.:			US 2002-384151P	P 20020529
			WO 2003-US14539	W 20030522

OTHER SOURCE(S): MARPAT 140:27753
GI



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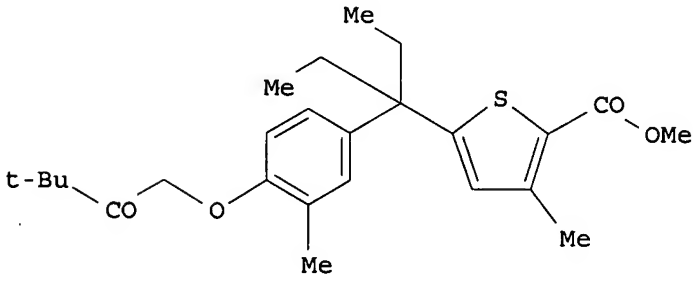


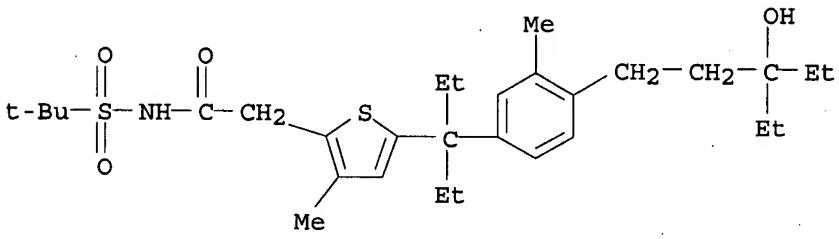
II

AB The present invention relates to novel, nonsecosteroidal, phenylalkyl thiophene compds. (shown as I; variables defined below; e.g. 3'-[4-(2-oxo-3,3-dimethylbutoxy)-3-methylphenyl]-3'-[5-(methoxycarbonyl)-4-(methyl)thiophen-2-yl]pentane (II)) with vitamin D receptor (VDR) modulating activity that are less hypercalcemic than 1α,25 dihydroxy vitamin D3. These compds. are useful for treating bone disease and psoriasis. For I: R and R' = C1-C5 alkyl, C1-C5 fluoroalkyl, or together R and R' form a (un)substituted, (un)saturated carbocyclic ring having 3-8 C atoms; ring atoms Q1 and Q2 = C or S, with the proviso that one atom is S and the other atom is C; RP and RT = H, halo, C1-C5 alkyl, C1-C5 fluoroalkyl, -O-C1-C5 alkyl, -S-C1-C5 alkyl, -O-C1-C5 fluoroalkyl, -CN, -NO2, acetyl, -S-C1-C5 fluoroalkyl, C2-C5 alkenyl, C3-C5 cycloalkyl, and C3-C5 cycloalkenyl; LP and LT are divalent linking bond, -(CH2)mC(X1)- (X1 = O, S; m = 0-2), -(CH2)mCH(OH)-, etc.; ZP and ZT = H, Ph, benzyl, fluorophenyl, C1-C5 alkyl, etc.; addnl. details including provisos are given in the claims. Although the methods of preparation are not claimed,

.apprx.180 example prepns. are included. For example, II was prepared in 7 steps starting from 2-hydroxy-5-bromotoluene and tert-butyldimethylsilyl chloride and involving intermediates 2-(tert-Butyldimethylsilyloxy)-5-bromotoluene, 3'-[4-(tert-Butyldimethylsilyloxy)-3-methylphenyl]pentan-3-ol, 3'-[4-(Hydroxy)-3-methylphenyl]-3'-[4-(methyl)thiophen-2-yl]pentane, 3'-[4-(Benzyloxy)-3-methylphenyl]-3'-[4-(methyl)thiophen-2-yl]pentane, 3'-[4-(Benzyloxy)-3-methylphenyl]-3'-[5-(methoxycarbonyl)-4-(methyl)thiophen-2-yl]pentane, and 3'-[4-(Hydroxy)-3-methylphenyl]-3'-[5-(methoxycarbonyl)-4-(methyl)thiophen-2-yl]pentane with yields of 97, 72, 95, 92, 54, 100 and 85, resp. Results are tabulated for many of the example I for the following assays: RXR-VDR heterodimerization (SaOS-2 cells), VDR co-transfection (Caco-2 cells), osteocalcin promotor, mouse hypercalcemia, keratinocyte proliferation, and IL-10 induction; e.g. one enantiomer of 1-[4-[1-ethyl-1-(5-hydroxymethyl-4-methylthiophen-2-yl)propyl]-2-methylphenoxy]-3,3-dimethylbutan-2-ol exhibits an EC50 = 2.8 nM in the RXR-VDR assay compared to 3 nM for the control calcipotriol.

IT 633350-29-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of phenylalkyl thiophene-type vitamin D receptor modulators for treating bone disease, psoriasis and other disorders)

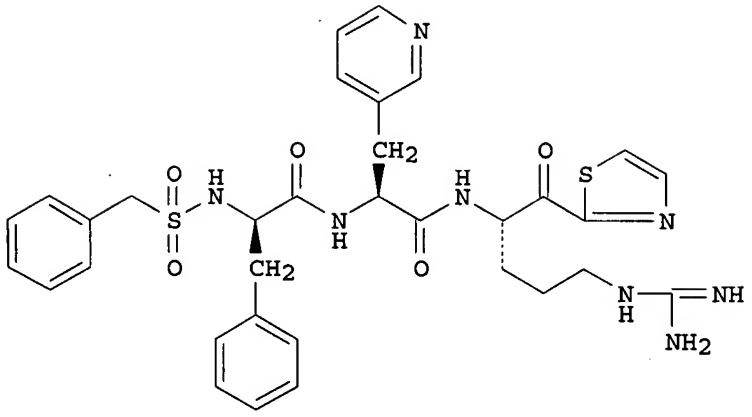
RN 633350-29-5 CAPLUS
CN 2-Thiopheneacetamide, N-[(1,1-dimethylethyl)sulfonyl]-5-[1-ethyl-1-[4-(3-ethyl-3-hydroxypentyl)-3-methylphenyl]propyl]-3-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 12 OF 57 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:485891 CAPLUS
DOCUMENT NUMBER: 139:261549
TITLE: Polymer-assisted solution-phase (PASP) parallel synthesis of an α-ketothiazole library as tissue factor VIIa inhibitors
AUTHOR(S): South, Michael S.; Dice, Thomas A.; Girard, Thomas J.; Lachance, Rhonda M.; Stevens, Anna M.; Stegeman, Roderick A.; Stallings, William C.; Kurumbail, Ravi G.; Parlow, John J.
CORPORATE SOURCE: Department of Medicinal and Combinatorial Chemistry, Pharmacia Corporation, St. Louis, MO, 63167, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2003), 13(14), 2363-2367
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:261549
GI



I

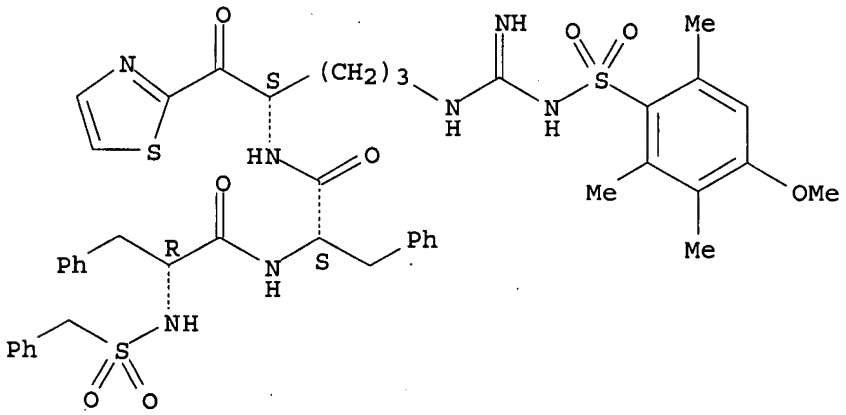
AB A solution-phase synthesis of an α-ketothiazole library of the general form D-Phe-L-AA-L-Arg-α-ketothiazole is described. The five-step synthesis is accomplished using a combination of polymeric reagents and polymer-assisted solution-phase purification protocols, including reactant-sequestering resins, reagent-sequestering resins, and tagged reagents. The multi-step synthesis affords the desired α-ketothiazole products in excellent purities and yields. A variety of L-amino acid inputs were used to probe the S2 pocket of the tissue factor (TF) VIIa enzyme to influence both potency and selectivity. An X-ray crystal structure of compound I bound to the TF/VIIa complex was obtained that explains the observed selectivity. The α-ketothiazoles were found to be potent, reversible-covalent inhibitors of tissue factor VIIa, with some analogs demonstrating selectivity vs. thrombin.

IT 603137-74-2P
RL: CPN (Combinatorial preparation); CRT (Combinatorial reactant); RCT (Reactant); CMBI (Combinatorial study); PREP (Preparation); RACT (Reactant or reagent)
(polymer-assisted solution-phase parallel synthesis of ketothiazole containing
peptide library as tissue factor/VIIa inhibitors)

RN 603137-74-2 CAPLUS

CN L-Phenylalaninamide, N-[(phenylmethyl)sulfonyl]-D-phenylalanyl-N-[(1S)-4-[[imino[[(4-methoxy-2,3,6-trimethylphenyl)sulfonyl]amino]methyl]amino]-1-(2-thiazolylcarbonyl)butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

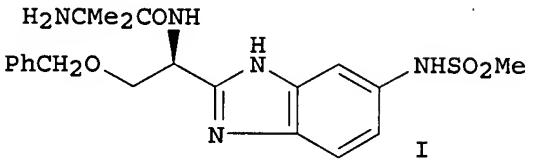


REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 13 OF 57 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:150554 CAPLUS
DOCUMENT NUMBER: 138:188073
TITLE: Preparation of dipeptide heterocyclic aromatic compounds as growth hormone secretagogues
INVENTOR(S): Tino, Joseph A.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: U.S., 157 pp., Cont.-in-part of U.S. Ser. No. 506,749, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6525203	B1	20030225	US 2000-662448	20000914
US 6518292	B1	20030211	US 2000-506749	20000218
ZA 2001006854	A	20021120	ZA 2001-6854	20010820
US 6660760	B1	20031209	US 2002-282182	20021028
US 2004002525	A1	20040101	US 2002-281818	20021028
US 6969727	B2	20051129		
US 2004029935	A1	20040212	US 2002-281649	20021028
US 6908938	B2	20050621		
US 2004072881	A1	20040415	US 2002-281848	20021028
US 7053110	B2	20060530		

PRIORITY APPLN. INFO.: US 1999-124131P P 19990312
US 1999-154919P P 19990921
US 2000-506749 A2 20000218
OTHER SOURCE(S): MARPAT 138:188073
GI



I

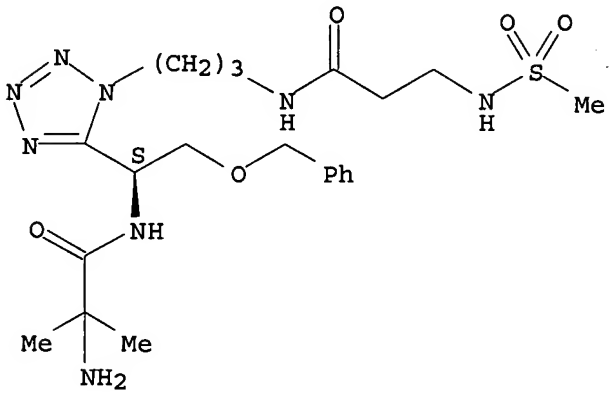
AB R1R1aCXaNR6COYXb [R1 = (un)substituted alkyl, (hetero)aryl(alkyl), etc.; R1a = H or (cyclo)alkyl; R6 = H, (cyclo)alkyl, alkenyl, aryl; Xa = substituted 2-benzoxazolyl, 2-benzothiazolyl, or 2-benzimidazolyl; Xb = (di)(alkyl)amino, (un)substituted imidazolyl; Y = phenylene, (phenylene-interrupted)alkylene, (un)substituted alkylene, aza- or oxaalkylene, or alkenylene] were prepared as growth hormone production and/or release stimulants. Thus, dipeptide benzimidazole derivative I (Boc = tert-butoxycarbonyl) was prepared by a multistep procedure starting from Boc-D-Ser(CH2Ph)-OH, 4-nitro-o-phenylenediamine, Boc-methylalanine, and MeSO2Cl.

IT 295336-95-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of dipeptide heterocyclic aromatic compds. as growth hormone secretagogues)

RN 295336-95-7 CAPLUS
CN Propanamide, 2-amino-2-methyl-N-[(1S)-1-[1-[3-[[3-[(methylsulfonyl)amino]-

1-oxopropyl]amino]propyl]-1H-tetrazol-5-yl]-2-(phenylmethoxy)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 14 OF 57 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:609967 CAPLUS
DOCUMENT NUMBER: 137:140782
TITLE: Preparation of peptides as inhibitors of urokinase and blood vessel formation
INVENTOR(S): Brunck, Terence K.; Tamura, Susan Y.
PATENT ASSIGNEE(S): Corvas International, Inc., USA
SOURCE: U.S., 68 pp., Cont. of U.S. Ser. No. 121,921.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6432922	B1	20020813	US 1999-359929	19990722
US 6576613	B1	20030610	US 1998-121921	19980724
PRIORITY APPLN. INFO.:			US 1998-121921 A2	19980724
OTHER SOURCE(S):	MARPAT 137:140782			

AB Peptides R1-X-NHCH(R2)CON(R3)CH(R4)CONHR5 [X = SO2, NR'SO2, CO, O2C, NHCO, P(O)R', or a direct link, where R' = H, alkyl, aryl, aralkyl; R1 = (cyclo)alkyl, heterocycloalkyl, aryl, etc.; R2 = H, CH2CH2OA2, CHR6OH, CHR6OA2, CH2NH-X'-R6, where A2 = CO2R9 or COR9; X' = CO or CO2; R6 = H, Me, phenethyl, or benzyl; R9 = (cyclo)alkyl, heterocycloalkyl, aryl, etc.; R3 = H, Me; R4 = H, CH2SMe, CH2OH, CH2CN, alkyl, propargyl, 2-propenyl, vinyl; or R3 and R4 together form prolyl, pipecolyl, azetidine-2-carbonyl, 3- or 4-hydroxyprolyl, 3,4-dehydroprolyl (the carbonyl bearing R4 is in the S configuration); R5 = (S)-CH(CH2R7)CHO or (S)-CH[CH2CH2CH2NHC(:NH)NH2]COCO-A1, where R7 = guanidinoalkyl, 3- or 4-amidinophenyl, 1-amidinopiperidin-3(or 4)-yl and A1 is alkyl- or arylamino (with provisos)] or their pharmaceutically-acceptable salts were prepared as inhibitors of urokinase and blood vessel formation. These compds. have an arginine or arginine mimic aldehyde or an arginine ketoamide group at P1. Thus, N-(isobutoxycarbonyl)-D-seryl-L-alanylarginal (1) was prepared by the solid-phase method and showed IC50 < 100 nm for inhibition of urokinase-type plasminogen activator (uPA). Compound 1 was also evaluated for inhibition of angiogenesis in vivo and growth of human tumor cells in a chick embryo model.

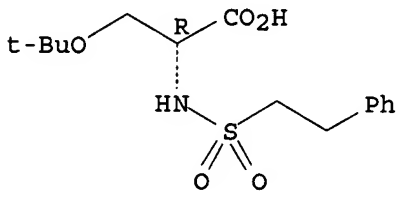
IT 256666-11-2

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of peptides as inhibitors of urokinase and blood vessel formation)

RN 256666-11-2 CAPLUS

CN D-Serine, O-(1,1-dimethylethyl)-N-[(2-phenylethyl)sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 55 THERE ARE 55 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 15 OF 57 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:462644 CAPLUS

DOCUMENT NUMBER: 137:6174

TITLE: Azabicycloalkyl esters and amides of 2-oxo-2,3-dihydrobenzimidazole-1-carboxylic acid and their preparation, pharmaceutical compositions, and use as 5-HT4 receptor agonists

INVENTOR(S): Pellegrini, Carlo Maria; Cereda, Enzo; Ezhaya, Antoine; Schiavi, Giovanni Battista; Sagrata, Angelo; Giraldo, Ettore

PATENT ASSIGNEE(S): Boehringer Ingelheim Italia S.p.A., Italy

SOURCE: Ital., 62 pp.
CODEN: ITXXBY

DOCUMENT TYPE: Patent

LANGUAGE: Italian

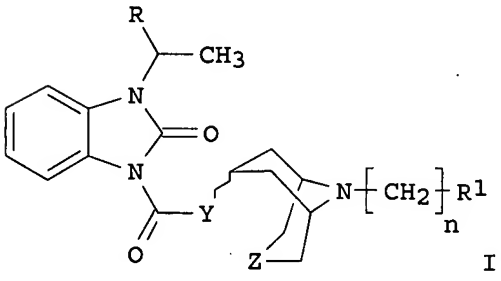
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
IT 1298271	B1	19991220	IT 1998-MI305	19980218
PRIORITY APPLN. INFO.:			IT 1998-MI305	19980218

OTHER SOURCE(S): MARPAT 137:6174

GI



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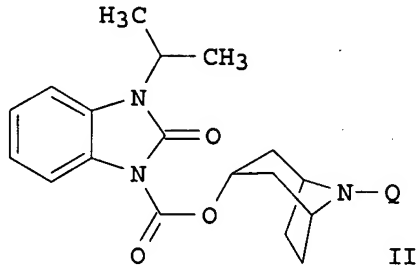


II

AB Title compds. I are disclosed [wherein: R = H, Me; Y = O, NH; Z = CH2, bond; n = 0, 1, 2, 3, except that when R1 = H, then n ≠ 0 or 1; R1 = H, iso-Pr, Et, iso-Bu, cyclopropyl, cyclobutyl, cyclohexyl, vinyl, 2-methylpropenyl, 1-hydroxyethyl, ethynyl, benzyl, CONH2, CONMe2, COCH3,

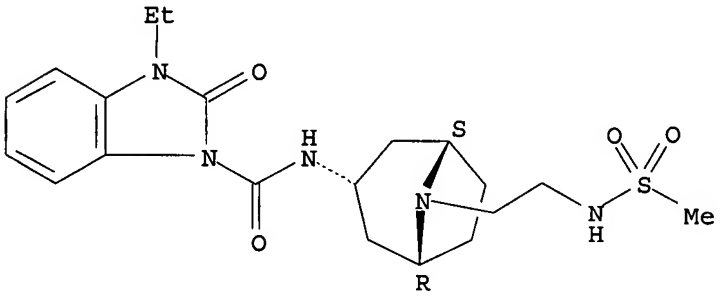
cyano, OR2, SR2, NR3R4; R2 = H, C1-3 alkyl; R3 = H, CH3, CONHEt, CONH2, CO2Et, COCH3, SO2Me; R4 = H, Me; including racemates, enantiomers, diastereomers, mixts., and physiol. acceptable acid addition salts]. The compds. are serotoninergic agonists, and have a high affinity and specificity for 5-HT4 serotoninergic receptors. As such they are useful for treating a variety of cardiovascular, gastrointestinal, and CNS diseases and disorders. Over 60 compds., including both esters (Y = O) and amides (Y = NH), were prepared For instance, 1-isopropyl-2-oxo-2,3-dihydrobenzimidazole was treated with Cl3COCOCl in THF to give the 1-carbonyl chloride derivative, which reacted with endo-8-n-propyl-8-azabicyclo[3.2.1]octan-3-ol (preparation given) in CH2Cl2 to give title compound
II [Q = n-Pr], isolated as the HCl salt. The similarly prepared compound II.HCl [Q = iso-Bu] bound to porcine striatal 5-HT4 receptors in vitro with a Ki of 3.6 + 10-8 M, but bound to 5-HT3 receptors (NG 108-15 cells) with a weaker Ki of 446 + 10-8 M. Selected I also induced contractions in isolated guinea pig colon, with an efficacy comparable to 5-HT, and with blocking by the known 5-HT4 antagonist GR 113808.

IT 433226-99-4P, endo-N-[8-[2-[(Methanesulfonyl)amino]ethyl]-8-azabicyclo[3.2.1]oct-3-yl]-3-ethyl-2-oxo-2,3-dihydrobenzimidazole-1-carboxamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of azabicycloalkyl esters and amides of oxodihydrobenzimidazolecarboxylic acid as 5-HT4 receptor agonists)

RN 433226-99-4 CAPLUS

CN 1H-Benzimidazole-1-carboxamide, 3-ethyl-2,3-dihydro-N-[(3-endo)-8-[2-[(methylsulfonyl)amino]ethyl]-8-azabicyclo[3.2.1]oct-3-yl]-2-oxo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L12 ANSWER 16 OF 57 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:	2002:107312 CAPLUS
DOCUMENT NUMBER:	136:167389
TITLE:	Preparation of pyrrole, indole, thiophene, pyrazole, imidazole, and isothiazole derivatives as inhibitors of transforming growth factor-beta (TGF-β)
INVENTOR(S):	Tokunaga, Teruhisa; Hume, William Ewan; Kitoh, Makoto; Nagata, Ryu
PATENT ASSIGNEE(S):	Sumitomo Pharmaceuticals Co., Ltd., Japan
SOURCE:	PCT Int. Appl., 215 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	Japanese
FAMILY ACC. NUM. COUNT:	1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2002010131	A1	20020207	WO 2001-JP6495		20010727
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
AU 2001075794	A5	20020213	AU 2001-75794		20010727
CA 2416946	A1	20030122	CA 2001-2416946		20010727
EP 1310485	A1	20030514	EP 2001-953325		20010727
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
US 2003181496	A1	20030925	US 2003-352067		20030128
US 6759429	B2	20040706			
US 2004209939	A1	20041021	US 2004-840746		20040507
PRIORITY APPLN. INFO.:			JP 2000-229423	A	20000728
			WO 2001-JP6495	W	20010727
			US 2003-352067	A3	20030128

OTHER SOURCE(S): MARPAT 136:167389

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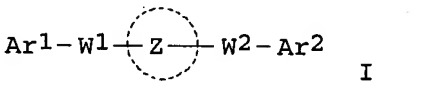

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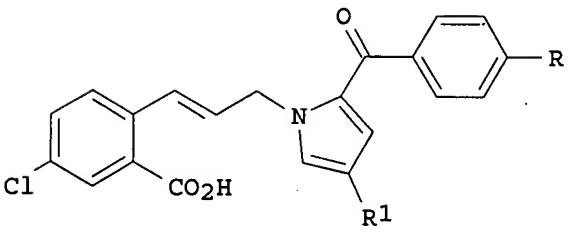


III

AB The title compds. represented by the following formula (I) or pharmaceutically acceptable salts of these [wherein ring Z represents an optionally substituted pyrrole, indole, thiophene, pyrazole, benzene, imidazole, or isothiazole; W2 represents CO, SO2, CONR (R = H, alkyl), optionally substituted C1-4 alkylene or C2-4 alkenylene; Ar2 represents optionally substituted aryl or heteroaryl; and W1 and Ar1 mean the following: (1) W1 represents optionally substituted C1-4 alkylene or C2-4 alkenylene, Ar1 represents bicyclic heteroaryl having one to four N atoms or (2) W1 represents optionally substituted C2-5 alkylene, C2-5 alkenylene, C2-5 alkynylene, or -Y-W3 (wherein Y = O or cycloalkanediyl; W3 = optionally substituted C1-5 alkylene, C2-5 alkenylene, or C2-5 alkynylene), Ar represents optionally substituted aryl or monocyclic heteroaryl substituted at ortho or meta position by CO2H, alkoxycarbonyl, optionally alkyl-substituted carbamoyl, cyclic aminocarbonyl, alkylsulfonylcarbonyl, arylsulfonylcarbonyl, alkylsulfonyl, etc.] or prodrugs or pharmacol. acceptable salts thereof are prepared These compds. are useful as fibroid inhibitors for organs or tissues. Thus, bromination of 3-(4-chloro-2-methoxycarbonylphenyl)-2-propenol (preparation given) by N-bromosuccinimide and PPh3 in CH2Cl2 at 0° for 10 min gave 3-(4-chloro-2-methoxycarbonylphenyl)-2-propenyl bromide (II). A THF solution of 2-(4-methylbenzoyl)pyrrole was added dropwise to a suspension of NaH in

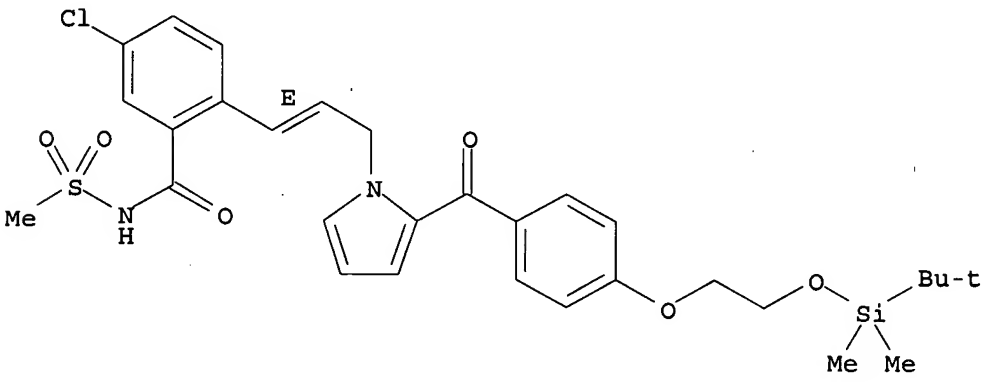
THF and the resulting solution was slowly added dropwise to a THF solution of II at 55° and stirred for 2 h to give 2-[3-[2-(4-methylbenzoyl)-1-pyrrolyl]-1-propen-1-yl]-5-chlorobenzoic acid Me ester which was saponified with aqueous NaOH in methanol and acidified with aqueous HCl to give III (R = Me, R1 = H). In a kidney fibroid model using a rat Thy-1 nephritis model, administration of III.Na (R = Me, R1 = H) at 15 mg/kg and Thy-1 (one of surface antigens of thymocyte) to rats lowered the level of hydroxyproline (fibroid index) in kidney compared to the control group administered only with Thy-1. III.Na (R = 2-morpholinoethoxy, R1 = Me) at 3 μM in vitro inhibited the TGF-β-induced production of proteoglycan in MRK-49F rat fibroblast cells by 99%.

IT 397328-73-3P, N-[5-Chloro-2-[(1E)-3-[2-[4-[2-((tert-butyldimethylsilyl)oxy)ethoxy]benzoyl]-1H-pyrrol-1-yl]-1-propenyl]benzoyl]methanesulfonamide
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyrrole, indole, thiophene, pyrazole, imidazole, and isothiazole derivs. as inhibitors of transforming growth factor-β and fibroid inhibitors for organs or tissues)

RN 397328-73-3 CAPLUS

CN Benzamide, 5-chloro-2-[(1E)-3-[2-[4-[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethoxy]benzoyl]-1H-pyrrol-1-yl]-1-propenyl]-N-(methylsulfonyl)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 17 OF 57 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2001:283741 CAPLUS
DOCUMENT NUMBER: 134:311209
TITLE: Preparation of adenosine deaminase inhibiting imidazolecarboxylates as immunosuppressive adjuncts
INVENTOR(S): Sakai, Fumihiko; Seki, Nobuo; Tenda, Yoshiyuki; Yamazaki, Harumi; Miyamoto, Chiyoko; Kuno, Masako; Okumura, Hiroyuki; Nakamura, Katsuya
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 90 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2001026605 A2 20010419 WO 2000-JP6986 20001006
WO 2001026605 A3 20020627
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
AU 2000075579 A 20010423 AU 2000-75579 20001006
PRIORITY APPLN. INFO.: AU 1999-3355 A 19991011
AU 2000-5158 A 20000119
WO 2000-JP6986 W 20001006

OTHER SOURCE(S): MARPAT 134:311209

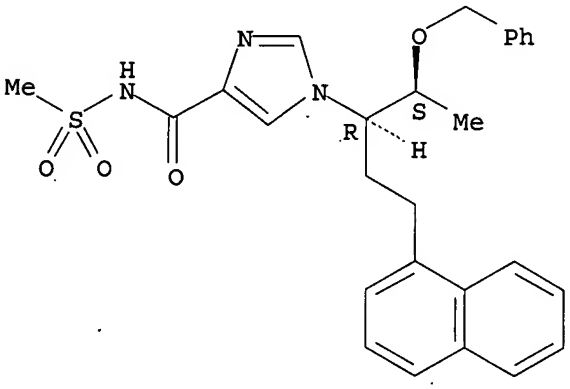
AB R4ZCH(Z1R1)CHR2R3 [I; R1 = H, (un)protected OH, (un)substituted aryl; R2 = H or alkyl; R3 = (un)protected OH; R4 = cyano, (hydroxy)iminoamino(lower)alkyl (sic), CO2H, heterocyclyl, etc.; Z = imidazole-4,1-diyl throughout; Z1 = bond or (oxy)alkylene] were prepared as adjuncts to IL-2 inhibitors. Thus, (R)-PhCH2CH2CH(OH)CO2Et was O-mesylated and the product condensed with imidazole-4-carboxamide to give, after reduction, H2NCOZCH(CH2OH)CH2CH2Ph. Data for biol. activity of I and combinations were given.

IT 256461-99-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of adenosine deaminase inhibiting imidazolecarboxylates as immunosuppressive adjuncts)

RN 256461-99-1 CAPLUS

CN 1H-Imidazole-4-carboxamide, N-(methylsulfonyl)-1-[(1R,2S)-1-[2-(1-naphthalenyl)ethyl]-2-(phenylmethoxy)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 18 OF 57 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2001:12421 CAPLUS
DOCUMENT NUMBER: 134:71435
TITLE: Synthesis, antitumor and antibacterial activities of UCF116 derivatives
INVENTOR(S): Hara, Mitsunobu; Akinaga, Shiro; Kanda, Yutaka; Powers, Timothy S.; Johnson, David A.
PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan; Eli Lilly & Co.
SOURCE: PCT Int. Appl., 60 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001000583	A1	20010104	WO 2000-US17625	20000627
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6407087	B1	20020618	US 2000-605014	20000627
PRIORITY APPLN. INFO.:			US 1999-140838P P	19990628

OTHER SOURCE(S): MARPAT 134:71435
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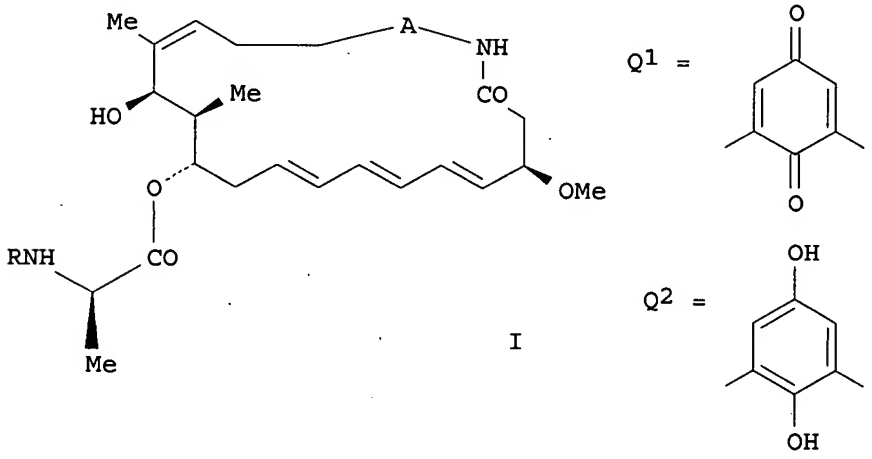


I

AB Synthesis of UCF116 derivs. (I) [A = Q1, Q2; R = H, C(=O)R1, C(=X)NHR1, SO2R1; X = O, S; R1 = (un)substituted alkyl, alkenyl, alicycle, aryl, aralkyl, heterocycle, aralkyloxy] for use as antitumor agents is disclosed. Mycotrienol I is esterified with (FMOC-Aal)2O and deprotected with DBN and the resulting amino acid is reacted with the appropriate acid or sulfonyl chloride or isothiocyanate or isocyanate. I were tested for proliferation inhibition and I (A = Q1, R = COPh) showed an IC50 of 3.6 uM.

IT 314237-88-2P
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis, antitumor and antibacterial activities of UCF116 derivs.)

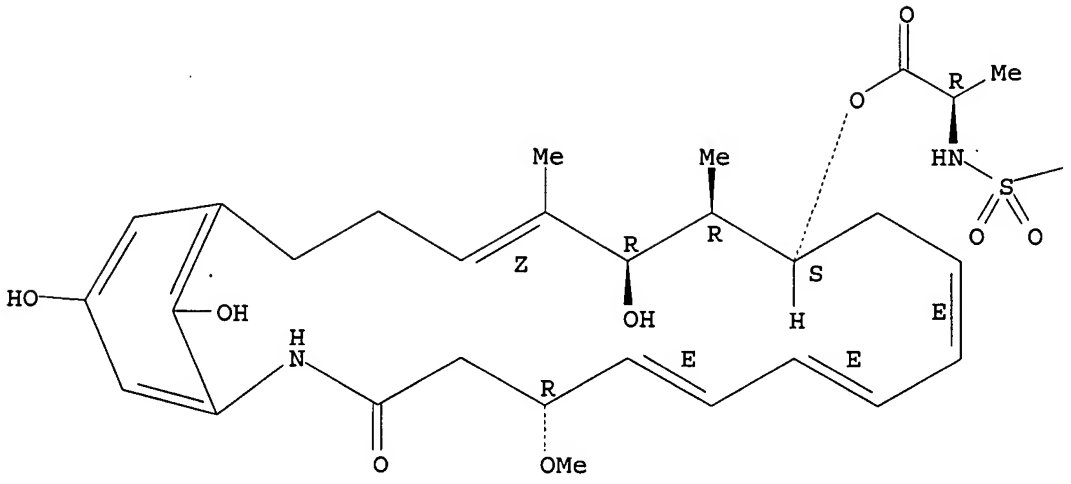
RN 314237-88-2 CAPLUS

CN D-Alanine, N-[[(1E)-2-phenylethenyl]sulfonyl]-, (5R,6E,8E,10E,13S,14R,15R,16Z)-15,22,24-trihydroxy-5-methoxy-14,16-dimethyl-3-oxo-2-azabicyclo[18.3.1]tetracosa-1(24),6,8,10,16,20,22-heptaen-13-yl ester (9CI) (CA INDEX NAME)

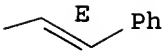
Absolute stereochemistry.

Double bond geometry as described by E or Z.

PAGE 1-A



PAGE 1-B



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 19 OF 57 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2000:725609 CAPLUS
DOCUMENT NUMBER: 133:296281
TITLE: Preparation of 2- or 4-(phenylthio)cinnamides as cell adhesion-inhibiting antiinflammatory and immune-suppressive compounds
INVENTOR(S): Link, James; Liu, Gang; Pei, Zhonghua; Von Geldern, Thomas W.; Winn, Martin; Xin, Zhili; Wang, Sheldon; Boyd, Steven A.; Zhu, Gui-Dong; Freeman, Jennifer C.; Gunawardana, Indrani W.; Staeger, Michael A.; Jae, Hwan-soo; Lynch, John K.
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: PCT Int. Appl., 476 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000059880	A1	20001012	WO 2000-US8895	20000403

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE,

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CA 2369238		A1	20001012	CA 2000-2369238		20000403
AU 2000041944		A	20001023	AU 2000-41944		20000403
AU 774564		B2	20040701			
EP 1165505		A1	20020102	EP 2000-921654		20000403
EP 1165505		B1	20040908			
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BR 2000009426		A	20020409	BR 2000-9426		20000403
HU 200202031		A2	20021028	HU 2002-2031		20000403
EE 200100513		A	20021216	EE 2001-513		20000403
JP 2004513063		T	20040430	JP 2000-609392		20000403
AT 275543		T	20040915	AT 2000-921654		20000403
NZ 515237		A	20041126	NZ 2000-515237		20000403
NO 2001004767		A	20011130	NO 2001-4767		20011001
BG 106029		A	20020531	BG 2001-106029		20011018
HR 2001000776		A1	20021231	HR 2001-776		20011023
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ZA 2001008944		A	20030702	ZA 2001-8944		20011030
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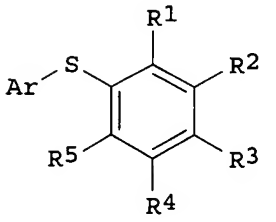
AU 2004205260		A1	20040923	AU 2004-205260		20040825
PRIORITY APPLN. INFO.:				US 1999-286645	A	19990402
				US 1999-474517	A	19991229
				US 2000-541795	A	20000331
				US 1998-114097P	P	19981229
				WO 2000-US8895	W	20000403

OTHER SOURCE(S): MARPAT 133:296281

GI



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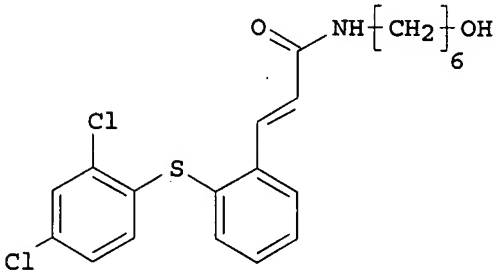


II

AB The title compds. (I) [wherein R1-R5 = independently H, halo, (halo)alkyl, alkoxy, cyano, NO2, CHO, and least one of R1 or R3 is an (un)substituted cis- or trans-cinnamide; Ar = (un)substituted (hetero)aryl] were prepared as cell adhesion inhibitors for the treatment of inflammatory and immune diseases. Examples include syntheses for 443 invention compds. and data for 3 bioassays. For instance, a mixture of 2-[(2,4-dichlorophenyl)thio]benzaldehyde (preparation given), malonic acid, piperidine in anhydrous pyridine was heated at 110°C for 2 h and then treated with aqueous HCl to give trans-2-[(2,4-dichlorophenyl)thio]cinnamic acid (91%). Conversion to the acid chloride followed by amidation with 6-amino-1-hexanol gave (E)-II (90%). In an integrin LFA-1/ICAM-1 biochem. interaction assay, I demonstrated inhibition at 4 μM. In cell-based adhesion assays which measure the ability of test compds. to block adherence of JY-8 cells (a human EBV-transformed B cell line expressing LFA-1 on its surface) to immobilized ICAM-1 or ICAM-3, I exhibited blocking activity at 4 μM and 0.6 μM, resp.

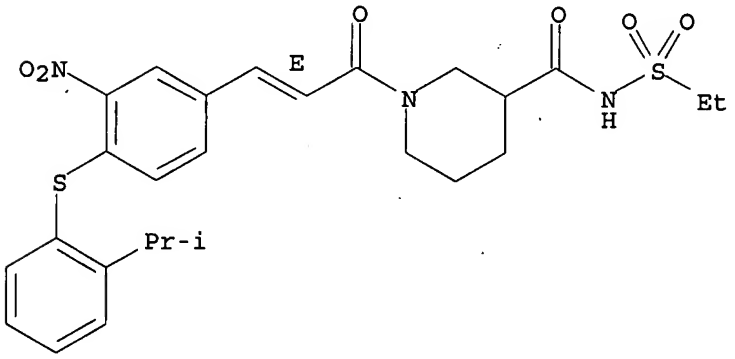
IT 280750-90-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of (phenylthio)cinnamides as cell adhesion inhibitors by coupling of thiophenols with halobenzaldehydes, conversion to cinnamic acids, amidation, and optional derivatization)

RN 280750-90-5 CAPLUS

CN 3-Piperidinecarboxamide, N-(ethylsulfonyl)-1-[(2E)-3-[4-[[2-(1-methylethyl)phenyl]thio]-3-nitrophenyl]-1-oxo-2-propenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 20 OF 57 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2000:666562 CAPLUS

DOCUMENT NUMBER: 133:252748

TITLE: Preparation of methylalanyl-O-benzyltyrosine derivatives as growth hormone production and/or release stimulants

INVENTOR(S): Robl, Jeffrey; Tino, Joseph A.; Hernandez, Andres S.; Li, James J.; Li, Jun; Swartz, Stephen G.

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: PCT Int. Appl., 205 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

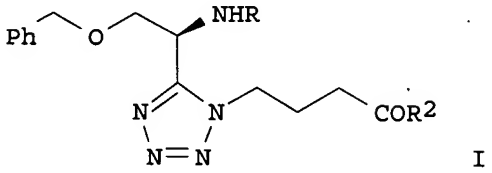
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000054729	A2	20000921	WO 2000-US5704	20000302
WO 2000054729	A3	20010111		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2367461	A1	20000921	CA 2000-2367461	20000302
AU 200035125	A	20001004	AU 2000-35125	20000302
EP 1175213	A2	20020130	EP 2000-913733	20000302
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				

TR 200102780	T2	20020821	TR 2001-2780		20000302
BR 2000008937	A	20020924	BR 2000-8937		20000302
HU 200201787	A2	20020928	HU 2002-1787		20000302
JP 2002539141	T	20021119	JP 2000-604808		20000302
EE 200100479	A	20021216	EE 2001-479		20000302
IN 2001MN00938	A	20050304	IN 2001-MN938		20010806
ZA 2001006854	A	20021120	ZA 2001-6854		20010820
BG 105843	A	20020531	BG 2001-105843		20010824
LT 4958	B	20021025	LT 2001-87		20010824
LV 12752	B	20031020	LV 2001-132		20010906
NO 2001004407	A	20011108	NO 2001-4407		20010911
PRIORITY APPLN. INFO.:			US 1999-124131P	P	19990312
			US 1999-154919P	P	19990921
			WO 2000-US5704	W	20000302

OTHER SOURCE(S): MARPAT 133:252748

GI



I

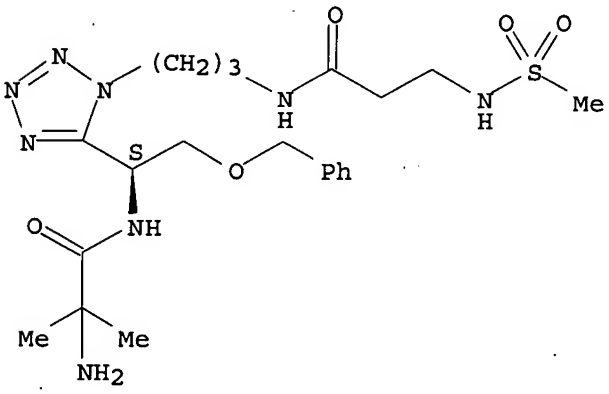
AB R1R1aCXaNR6COYXb [R1 = (un)substituted alkyl, (hetero)aryl(alkyl), etc.; R1a = H or (cyclo)alkyl; R6 = H, (cyclo)alkyl, alkenyl, aryl; Xa = (un)substituted heteroaryl; Xb = (di)(alkyl)amino, (un)substituted imidazolyl, etc.; Y = phenylene, (phenylene-interrupted)alkylene, alkenylene, etc.] were prepared as growth hormone production and/or release stimulants (no data). Thus, (R)-PhCH2OCH2CH(NHCO2CMe3)CO2H was amidated by H2N(CH2)3CO2Me and the product cyclocondensed with Me3SiN3 to give, after deprotection, O-benzyltyrosine derivative I (R = H, R2 = OMe) which was amidated by BocNHCMe2CO2H to give, in 3 addnl. steps, I.CF3CO2H (R = COCMe2NH2, R2 = NHCH2CH2R3, R3 = 3-indolyl).

IT 295336-95-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of methylalanyl-O-benzyltyrosine derivs. as growth hormone production and/or release stimulants)

RN 295336-95-7 CAPLUS

CN Propanamide, 2-amino-2-methyl-N-[(1S)-1-[1-[3-[[3-[(methylsulfonyl)amino]-1-oxopropyl]amino]propyl]-1H-tetrazol-5-yl]-2-(phenylmethoxy)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



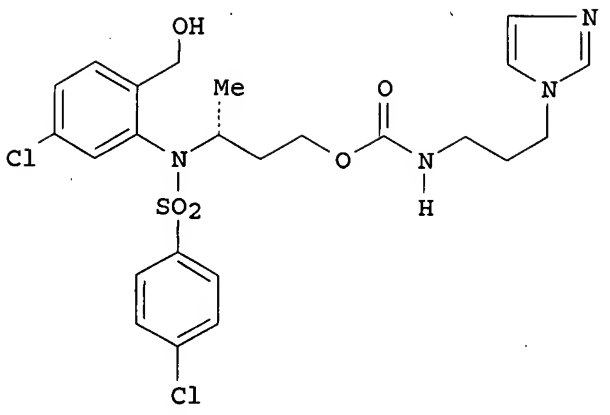
L12 ANSWER 21 OF 57 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2000:608717 CAPLUS
DOCUMENT NUMBER: 133:207678
TITLE: Preparation of sulfonamide derivs. as amyloid β production inhibitors useful in treating or preventing diseases related to Aβ
INVENTOR(S): Smith, David W.; Munoz, Benito; Srinivasan, Kumar; Bergstrom, Carl P.; Chaturvedula, Prasad V.; Deshpande, Milind S.; Keavy, Daniel J.; Lau, Wai Yu; Parker, Michael F.; Sloan, Charles P.; Wallace, Owen B.; Wang, Henry Hui
PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Bristol-Myers Squibb Company
SOURCE: PCT Int. Appl., 377 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000050391	A1	20000831	WO 2000-US4560	20000222
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2366919	A1	20000831	CA 2000-2366919	20000222
EP 1159263	A1	20011205	EP 2000-910293	20000222
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 2000008965	A	20020226	BR 2000-8965	20000222
HU 200201020	A2	20020729	HU 2002-1020	20000222
JP 2002537376	T	20021105	JP 2000-600975	20000222
NZ 514453	A	20030429	NZ 2000-514453	20000222
AU 773273	B2	20040520	AU 2000-32410	20000222
IN 2001DN00714	A	20050311	IN 2001-DN714	20010809
ZA 2001006646	A	20021113	ZA 2001-6646	20010813
NO 2001004135	A	20010927	NO 2001-4135	20010824
US 6967196	B1	20051122	US 2002-890927	20020219

PRIORITY APPLN. INFO.:

US 1999-121906P	P	19990226
US 1999-122746P	P	19990226
US 1999-122748P	P	19990226
US 1999-130994P	P	19990423
US 1999-130995P	A2	19990423
WO 2000-US4560	W	20000222

OTHER SOURCE(S): MARPAT 133:207678
GI



I

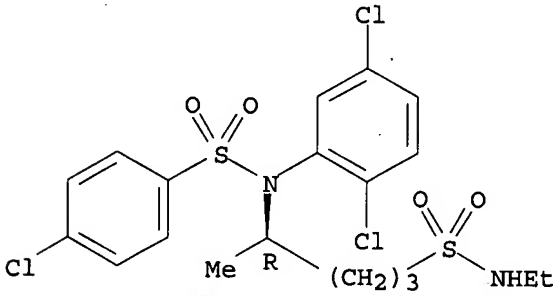
AB Title compds. [(D)(G)CHN(E)SO2(J); D = H, alkyl, heterocycle, halo, alkoxyl, ester, amide; G = alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, (CHR1)nO(CHR2)mCONR3R4, heterocycle, aryl, amine, amide, ester, ether, carbamate; D-G = cyclic; n = 1, 2, 3, 4; m = 0, 1, 2, 3, 4; R1, R2, R3, R4 are independently H, alkyl; R3-R4 = cyclic; E = H, alkyl, alkenyl, alkynyl, heterocycle, aryl, alkoxyl, amide, sulfonyl, sulfonamidyl, sulfide; J = alkyl, alkenyl, alkynyl, aryl, heterocycle, polycyclic; J-E = cyclic], pharmaceutically acceptable salts, and composition comprising title compds. are prepared Title compds. can act to modulate production of amyloid β protein (APP751, APP695wt, APP670/671, APP670/671/717, sAPP, α-sAPP, β-sAPP) and are useful in the prevention or treatment of a variety of diseases; such diseases are amyloid angiopathy, cerebral amyloid angiopathy, systemic amyloidosis, Alzheimer's disease, hereditary cerebral hemorrhage with amyloidosis of the Dutch type, inclusion body myositis, and Down's syndrome. Thus, the title compound I was prepared and tested.

IT 290329-75-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of sulfonamide derivs. as amyloid β production inhibitors useful in treating or preventing diseases related to Aβ)

RN 290329-75-8 CAPLUS

CN Benzenesulfonamide, 4-chloro-N-(2,5-dichlorophenyl)-N-[(1R)-4-[(ethylamino)sulfonyl]-1-methylbutyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 22 OF 57 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2000:457022 CAPLUS
DOCUMENT NUMBER: 133:89514
TITLE: Cell adhesion-inhibiting antiinflammatory and

immune-suppressive compounds

INVENTOR(S): Link, James; Liu, Gang; Pei, Zhonghua; Von Geldern, Tom; Winn, Martin; Xin, Zhili; Boyd, Steven A.; Jae, Hwan-Soo; Lynch, John K.; Zhu, Gui-Dong; Freeman, Jennifer C.; Gunawardana, Indrani W.; Staeger, Michael A.

PATENT ASSIGNEE(S): Abbott Laboratories, USA

SOURCE: PCT Int. Appl., 400 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000039081	A2	20000706	WO 1999-US31162	19991229
WO 2000039081	A3	20010525		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6110922	A	20000829	US 1998-222491	19981229
CA 2356320	A1	20000706	CA 1999-2356320	19991229
CA 2356320	C	20060718		
EP 1140814	A2	20011010	EP 1999-966709	19991229
EP 1140814	B1	20050525		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
HU 200200222	A2	20020629	HU 2002-222	19991229
HU 200200222	A3	20030128		
JP 2002533434	T	20021008	JP 2000-590994	19991229
EE 200100355	A	20021015	EE 2001-355	19991229
NZ 512687	A	20031219	NZ 1999-512687	19991229
AU 771126	B2	20040311	AU 2000-22203	19991229
BR 9916638	A	20040810	BR 1999-16638	19991229
AT 296283	T	20050615	AT 1999-966709	19991229
CN 1680338	A	20051012	CN 2005-10004198	19991229
CZ 296726	B6	20060517	CZ 2001-2412	19991229
NO 2001003241	A	20010828	NO 2001-3241	20010628
ZA 2001005344	A	20030916	ZA 2001-5344	20010628
HR 2001000512	A1	20020831	HR 2001-512	20010710
HR 20010512	B1	20060228		
IN 2001CN01040	A	20050304	IN 2001-CN1040	20010723
BG 105732	A	20020228	BG 2001-105732	20010725
HK 1041476	A1	20060106	HK 2002-102591	20020408
US 39197	E1	20060718	US 2002-356794	20020829
AU 2004202565	A1	20040708	AU 2004-202565	20040610

PRIORITY APPLN. INFO.:

US 1998-222491	A	19981229
CN 1999-816392	A3	19991229
WO 1999-US31162	W	19991229

OTHER SOURCE(S): MARPAT 133:89514

AB The present invention relates to novel cinnamide compds. that are useful for treating inflammatory and immune diseases, to pharmaceutical compns. containing these compds., and to methods of inhibiting inflammation or suppressing immune response in a mammal. Among the approx. 400 trans-arylthiocinnamamide title compds., prepared by standard methods, were 6-benzodioxanyl 2-trifluoromethyl-4-[(E)-2-[3-(R)-(ethoxycarbonyl)piperidinocarbonyl]ethenyl]phenyl sulfide (I), 2-ethoxyphenyl 2-trifluoromethyl-4-[(E)-2-[2-carboxy-4-(methoxycarbonyl)-1-

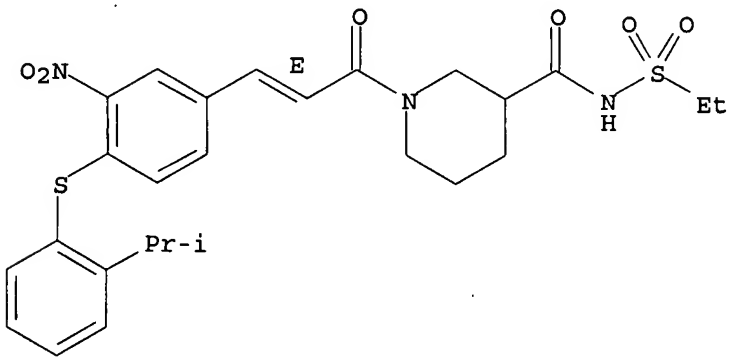
piperazinylcarbonyl]ethenyl]phenyl sulfide (II) and 2-isopropylphenyl 2-nitro-4-[(E)-2-[3-(2-oxo-1-pyrrolidinyl)-1-propylaminocarbonyl]ethenyl]phenyl sulfide (III). The abilities of the title compds. to antagonize the interaction between ICAM-1 and LFA-1 were quantified using both biochem. and cell-based adhesion assays. E.g., compds. I-III exhibited 98% inhibition @ 4μM.

IT 280750-90-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(preparation and antiinflammatory, immune suppressant and cell adhesion inhibiting activity)

RN 280750-90-5 CAPLUS

CN 3-Piperidinecarboxamide, N-(ethylsulfonyl)-1-[(2E)-3-[4-[[2-(1-methylethyl)phenyl]thio]-3-nitrophenyl]-1-oxo-2-propenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L12 ANSWER 23 OF 57 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2000:98505 CAPLUS

DOCUMENT NUMBER: 132:137119

TITLE: Preparation of N-substituted sulfonamide derivatives for potentiating glutamate receptor function

INVENTOR(S): Arnold, Macklin Brian; Jones, Winton Dennis; Ornstein, Paul Leslie; Zarrinmayeh, Hamideh; Zimmerman, Dennis Michael

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: PCT Int. Appl., 206 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

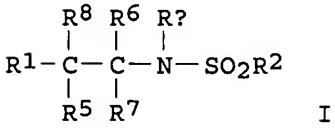
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000006537	A1	20000210	WO 1999-US17017	19990728
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9952355	A1	20000221	AU 1999-52355	19990728

US 6525099 B1 20030225 US 2001-744419 20010123
PRIORITY APPLN. INFO.: US 1998-94921P P 19980731
WO 1999-US17017 W 19990728
OTHER SOURCE(S): MARPAT 132:137119
GI



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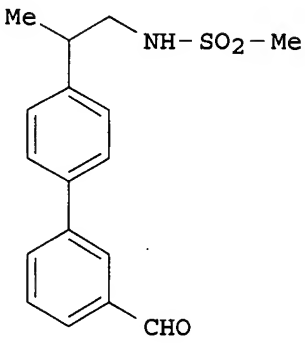


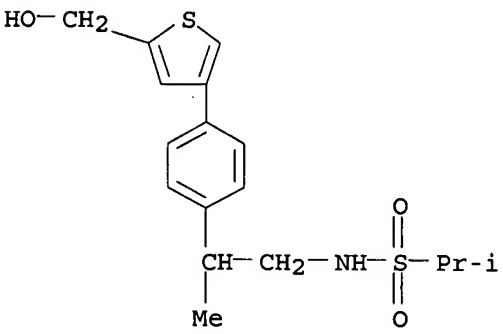
II

AB Title compds. (I) [wherein Ra = alkyl, acyl, CO2(aryl)alkyl, CO2(alkyl)aryl, C(O)CH2OH, or N-substituted aminoacyl; R1 = (un)substituted naphthyl, Ph, furyl, thienyl, or pyridyl; R2 = (cyclo)alkyl, haloalkyl, alkenyl, alkoxyalkyl, heteroarom., (un)substituted Ph, etc.; R5-R8 = independently H, (aryl)alkyl, (aryl)alkenyl, aryl, or 2 of R5-R8 together with the C atom(s) to which they are attached form a carbocyclic ring and the remaining R5-R8 = H] were prepared as ampakines (no data) for the treatment of a wide variety of psychiatric conditions and neurol. disorders. Examples include prepns. of over 100 intermediates and 281 invention compds. For instance, reaction of 2-(4-bromophenyl)propylamine.HCl (2-step preparation given) with MeSO2Cl in toluene and 10% aqueous NaOH gave N-2-(4-bromophenylpropyl) methanesulfonamide (81%). Arylation of the sulfonamide with 3-formylbenzeneboronic acid in the presence of K2CO3 and Pd(PPh3)4 in toluene gave II in 41% yield.

IT 211312-09-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(product; preparation of N-substituted sulfonamide derivs. as glutamate receptor potentiators for the treatment of psychiatric conditions and neurol. disorders)

RN 211312-09-3 CAPLUS

CN 2-Propanesulfonamide, N-[2-[4-[5-(hydroxymethyl)-3-thienyl]phenyl]propyl]-(9CI) (CA INDEX NAME)

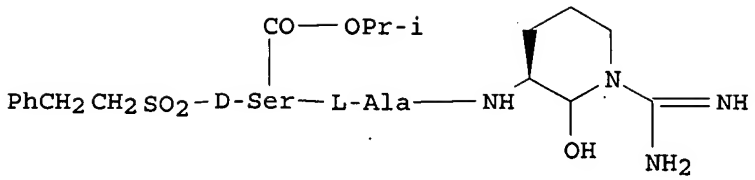


REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 24 OF 57 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2000:84824 CAPLUS
DOCUMENT NUMBER: 132:137731
TITLE: Preparation of peptides as inhibitors of urokinase and blood vessel formation
INVENTOR(S): Brunck, Terence K.; Tamura, Susan Y.
PATENT ASSIGNEE(S): Corvas International, Inc., USA
SOURCE: PCT Int. Appl., 194 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000005245	A2	20000203	WO 1999-US16577	19990722
WO 2000005245	A3	20000420		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6576613	B1	20030610	US 1998-121921	19980724
CA 2338524	A1	20000203	CA 1999-2338524	19990722
AU 9950058	A1	20000214	AU 1999-50058	19990722
AU 772024	B2	20040408		
EP 1100814	A2	20010523	EP 1999-934173	19990722
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002521386	T	20020716	JP 2000-561201	19990722
NZ 509400	A	20031219	NZ 1999-509400	19990722
PRIORITY APPLN. INFO.:			US 1998-121921 A	19980724
			WO 1999-US16577 W	19990722

OTHER SOURCE(S): MARPAT 132:137731
GI



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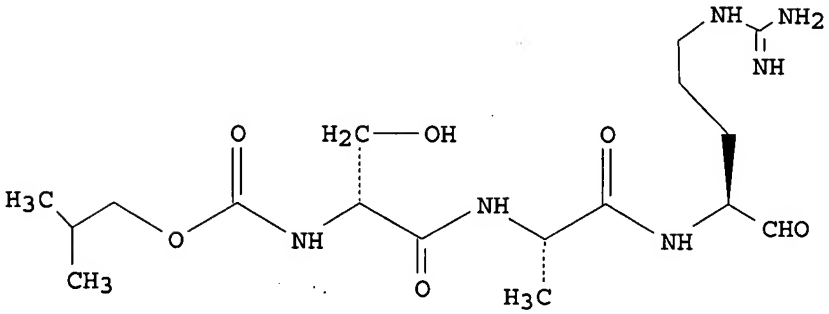


II

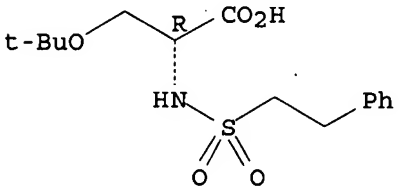
AB Title compds. RXNHCH(R1)CON(R2)CH(R4)CONHR3 [X = SO2, CO, OCO, NHCO; R = alkyl, cycloalkyl, heterocycloalkyl; R1 = HOCH2, CH3SCH2, side-chain or ring of amino acid ; R2 = CH3, CH3CH2, side-chain or ring of amino acid; R3 = CH3, propargyl; R4 = H; R3R4 = prolyl, 4-hydroxyprolyl, 3-hydroxyprolyl, 3,4-dehydroprolyl;] and stereoisomers are prepared having activities as inhibitors of urokinase and in reducing or inhibiting blood vessel formations. These compds. have an arginine or arginine mimic aldehyde or an arginine ketoamide group at P1. These compds. are useful in vitro for monitoring plasminogen activator levels and in vivo in treatment of conditions which are ameliorated by inhibition of or decreased activity of urokinase and in treating pathol. conditions wherein blood vessel formation is related to a pathol. condition. The title compds. I and II was prepared

IT 256666-11-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of peptides as inhibitors of urokinase and blood vessel formation)

RN 256666-11-2 CAPLUS

CN D-Serine, O-(1,1-dimethylethyl)-N-[(2-phenylethyl)sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 25 OF 57 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2000:84782 CAPLUS

DOCUMENT NUMBER: 132:122621

TITLE: Preparation of 1-hydroxyalkylimidazole-4-carboxamides and related compounds as adenosine deaminase inhibitors.

INVENTOR(S): Terasaka, Tadashi; Nakamura, Katsuya; Seki, Nobuo; Kuno, Masako; Tsujimoto, Susumu; Sato, Akihiro; Nakanishi, Isao; Kinoshita, Takayoshi; Nishio, Nobuya; Okumura, Hiroyuki; Tsuji, Kiyoshi

PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan; et al.

SOURCE: PCT Int. Appl., 76 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

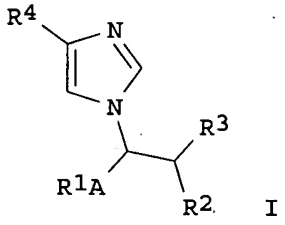
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000005217	A1	20000203	WO 1999-JP3939	19990722
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2338305	A1	20000203	CA 1999-2338305	19990722
AU 9947996	A	20000214	AU 1999-47996	19990722
AU 748710	B2	20020613		
BR 9912684	A	20010502	BR 1999-12684	19990722
EP 1098885	A1	20010516	EP 1999-931497	19990722
EP 1098885	B1	20041117		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
HU 200103303	A2	20020429	HU 2001-3303	19990722
JP 2002521369	T	20020716	JP 2000-561173	19990722
AT 282599	T	20041215	AT 1999-931497	19990722
RU 2243220	C2	20041227	RU 2001-105092	19990722
PT 1098885	T	20050131	PT 1999-931497	19990722
ES 2234269	T3	20050616	ES 1999-931497	19990722
IN 2001CN00105	A	20050304	IN 2001-CN105	20010123
US 6359145	B1	20020319	US 2001-764995	20010309

PRIORITY APPLN. INFO.:

AU 1998-4840	A	19980723
AU 1998-7355	A	19981127
WO 1999-JP3939	W	19990722

OTHER SOURCE(S): MARPAT 132:122621

GI



I

AB Title compds. [I; R1 = H, (protected) OH, (substituted) aryl; R2 = H, alkyl; R3 = (protected) OH; R4 = cyano, (hydroxy)iminoamino(lower)alkyl, (protected) CO2H, (substituted) heterocyclyl, carbamoyl; A = Q, OQ; Q = bond, alkylene; provided that when R2 = alkyl, then R1 = (protected) OH, (substituted) aryl], were prepared Thus, Et 2-(4-carbamoyl-1-imidazolyl)-4-phenylbutyrate in MeOH was treated portionwise with NaBH4 to give 1-(1-hydroxy-4-phenyl-2-butyl)imidazole-4-carboxamide. This inhibited

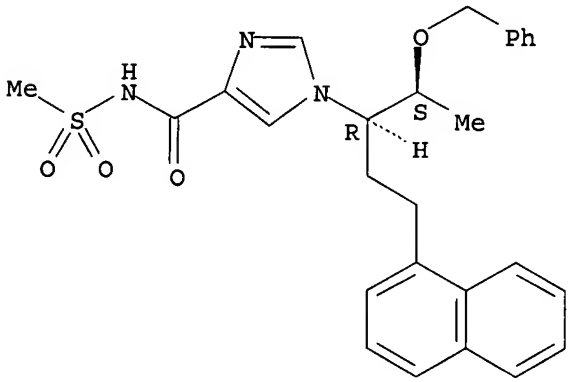
adenosine deaminase with Ki = 5.9 μM.

IT 256461-99-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 1-hydroxyalkylimidazole-4-carboxamides and related compds. as adenosine deaminase inhibitors)

RN 256461-99-1 CAPLUS

CN 1H-Imidazole-4-carboxamide, N-(methylsulfonyl)-1-[(1R,2S)-1-[2-(1-naphthalenyl)ethyl]-2-(phenylmethoxy)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 26 OF 57 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1999:460389 CAPLUS

DOCUMENT NUMBER: 131:88206

TITLE: Preparation of substituted β-alanines as integrin-mediated cell adhesion inhibitors

INVENTOR(S): Astles, Peter Charles; Harris, Neil Victor; Morley, Andrew David

PATENT ASSIGNEE(S): Rhone-Poulenc Rorer Limited, UK

SOURCE: PCT Int. Appl., 119 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

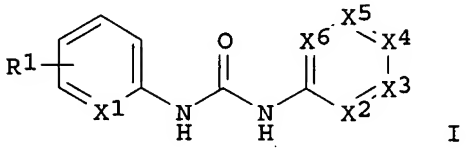
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9933789	A1	19990708	WO 1998-GB3859	19981223
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2316235	A1	19990708	CA 1998-2316235	19981223
AU 9917719	A	19990719	AU 1999-17719	19981223
AU 747907	B2	20020530		
ZA 9811834	A	20000623	ZA 1998-11834	19981223
BR 9814376	A	20001010	BR 1998-14376	19981223
EP 1042279	A1	20001011	EP 1998-962586	19981223

EP 1042279	B1	20050302			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, FI, RO					
TR 200001947	T2	20010122	TR 2000-200001947		19981223
JP 2001527061	T	20011225	JP 2000-526473		19981223
RU 2220954	C2	20040110	RU 2000-119738		19981223
NZ 505363	A	20050225	NZ 1998-505363		19981223
AT 289991	T	20050315	AT 1998-962586		19981223
IL 136584	A	20050320	IL 1998-136584		19981223
ES 2235383	T3	20050701	ES 1998-962586		19981223
US 6352977	B1	20020305	US 2000-589825		20000608
NO 2000003273	A	20000622	NO 2000-3273		20000622
HK 1034508	A1	20050506	HK 2001-105254		20010727
PRIORITY APPLN. INFO.:			GB 1997-27532	A	19971223
			US 1998-92602P	P	19980713
			WO 1998-GB3859	W	19981223

OTHER SOURCE(S): MARPAT 131:88206

GI



I

AB Compds. I [R1 = H, halo, alkyl, alkoxy; X1, X2, X6 = N, CR2; one of X3, X4 and X5 represents CR3 and the others independently represents N or CR2, where R2 = H, halo, alkyl, alkoxy and R3 is -L1(CH2)nC(O)NR4CH2CH2Y (R4 = aryl, heteroaryl, or (un)substituted alkyl, alkenyl, alkynyl, cycloalkenyl, cycloalkyl, or heterocycloalkyl; L1 is a -R9R10 linkage, in which R9 is alkylene, alkenylene, alkynylene and R10 is a direct bond, cycloalkylene, heterocycloalkylene, arylene, heteroaryldiyl, SO2NH, OC(O), CO2, etc.; Y = carboxy or an acid bioisostere, CONH2 or substituted carbamoyl; n = 1-6)] and their prodrugs and pharmaceutically acceptable salts and solvates were prepared Such compds. have valuable pharmaceutical properties, in particular the ability to regulate the interaction of VCAM-1 and fibronectin with the integrin VLA-4(α4β1). Thus, 3-{[({[3-methoxy-4-(3-o-tolylureido)phenyl]acetyl}-N-methylamino)acetyl][3-(2-oxopyrrolidin-1-yl)propyl]amino}propionic acid was prepared from [3-methoxy-4-(3-o-tolylureido)phenyl]acetic acid, sarcosine Et ester hydrochloride, and 3-[3-(2-oxopyrrolidin-1-yl)propylamino]propionic acid Et ester. Preferred compds. of the invention inhibit cell adhesion to fibronectin and VCAM-1 with IC50s in the range 100 nM to 0.01 nM.

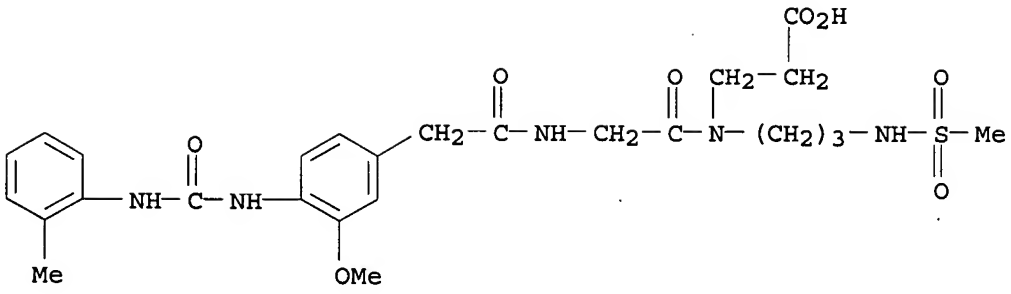
IT 229630-13-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted β-alanines as integrin-mediated cell adhesion inhibitors)

RN 229630-13-1 CAPLUS

CN β-Alanine, N-[[3-methoxy-4-[[[(2-methylphenyl)amino]carbonyl]amino]phenyl]acetyl]glycyl-N-[3-[(methylsulfonyl)amino]propyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 27 OF 57 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1998:542964 CAPLUS
DOCUMENT NUMBER: 129:161416
TITLE: Preparation of sulfonamides as glutamate receptor potentiators
INVENTOR(S): Arnold, Macklin B.; Baker, Stephen R.; Bleakman, David; Bleisch, Thomas J.; Cantrell, Buddy E.; Escribano, Ana M.; Matsumoto, Ken; Mckennon, Tracey E.; Ornstein, Paul L.; Simon, Richard L.; Smith, Edward C. R.; Tizzano, Joseph P.; Zarrinmayeh, Hamideh; Zimmerman, Dennis M.
PATENT ASSIGNEE(S): Eli Lilly and Company, USA; et al.
SOURCE: PCT Int. Appl., 243 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9833496	A1	19980806	WO 1998-US1881	19980130
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
IN 1998CA00128	A	20050318	IN 1998-CA128	19980127
CA 2278790	A1	19980806	CA 1998-2278790	19980130
AU 9862595	A	19980825	AU 1998-62595	19980130
AU 760056	B2	20030508		
TR 9902368	T2	20000121	TR 1999-2368	19980130
BR 9807297	A	20000418	BR 1998-7297	19980130
HU 200002208	A2	20001028	HU 2000-2208	19980130
NZ 336559	A	20010126	NZ 1998-336559	19980130
JP 2001511781	T	20010814	JP 1998-533144	19980130
IL 130970	A	20050619	IL 1998-130970	19980130
ZA 9800842	A	19991102	ZA 1998-842	19980202
EP 860428	A2	19980826	EP 1998-300759	19980203
EP 860428	A3	20000719		
EP 860428	B1	20041208		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
AT 284385	T	20041215	AT 1998-300759	19980203
PT 860428	T	20050429	PT 1998-300759	19980203
EP 1528055	A2	20050504	EP 2004-104929	19980203

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO, MK, AL

ES 2232914	T3	20050601	ES 1998-300759	19980203
NO 9903667	A	19990920	NO 1999-3667	19990728
MX 9907016	A	20000131	MX 1999-7016	19990728
US 6303816	B1	20011016	US 1999-355605	19991018
US 2002002158	A1	20020103	US 2001-912809	20010725
US 6596716	B2	20030722		
US 2006030599	A1	20060209	US 2003-447619	20030529
US 7135487	B2	20061114		

PRIORITY APPLN. INFO.:

GB 1997-2194	A	19970204
WO 1997-EP3148	W	19970617
WO 1998-US1881	W	19980130
EP 1998-300759	A3	19980203
US 1999-355605	A3	19991018
US 2001-912809	A3	20010725

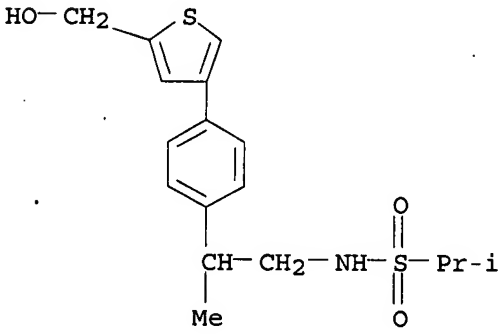
OTHER SOURCE(S): MARPAT 129:161416

AB R1ZNHSO2R2 [I; R1 = (un)substituted (hetero)aryl; R2 = (cyclo)alkyl, alkenyl, (un)substituted Ph, NR3R4, etc.; R3,R4 = alkyl; NR3R4 = heterocyclyl; Z = (un)substituted alkylene] were prepared Thus, 4-BrC6H4CH2CN was α-methylated and the reduced product amidated by MeSO2Cl to give, after 3-FC6H4B(OH)2-arylation, 3-FC6H4C6H4(CHMeCH2NHSO2Me)-4. Data for biol. activity of I were given.

IT 211312-09-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of sulfonamides as glutamate receptor potentiators)

RN 211312-09-3 CAPLUS

CN 2-Propanesulfonamide, N-[2-[4-[5-(hydroxymethyl)-3-thienyl]phenyl]propyl]-(9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 28 OF 57 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1998:38464 CAPLUS

DOCUMENT NUMBER: 128:102382

TITLE: Preparation of Nα-sulfonylphenylalanine derivatives as integrin inhibitors for the treatment of cardiovascular diseases

INVENTOR(S): Soheila, Anzahli; Diefenbach, Beate; Fittschen, Claus; Goodman, Simon; Maerz, Joachim; Raddatz, Peter; Wiesner, Matthias

PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany

SOURCE: Ger. Offen., 22 pp.
CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

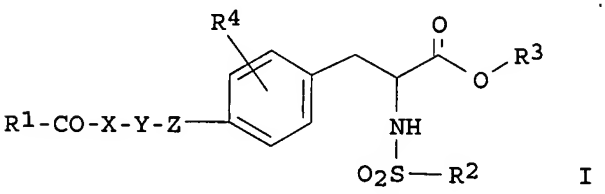
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19654483	A1	19980102	DE 1996-19654483	19961227
CA 2259224	A1	19980108	CA 1997-2259224	19970623
WO 9800395	A1	19980108	WO 1997-EP3275	19970623
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9733430	A	19980121	AU 1997-33430	19970623
EP 907637	A1	19990414	EP 1997-929258	19970623
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI				
CN 1223637	A	19990721	CN 1997-195896	19970623
BR 9709953	A	19990810	BR 1997-9953	19970623
JP 2000516575	T	20001212	JP 1998-503812	19970623
ZA 9705689	A	19980323	ZA 1997-5689	19970626
NO 9806090	A	19981223	NO 1998-6090	19981223
KR 2000022190	A	20000425	KR 1998-710608	19981224

PRIORITY APPLN. INFO.:

DE 1996-19625929	A1	19960628
DE 1996-19654483	A	19961227
WO 1997-EP3275	W	19970623

OTHER SOURCE(S): MARPAT 128:102382
GI



I

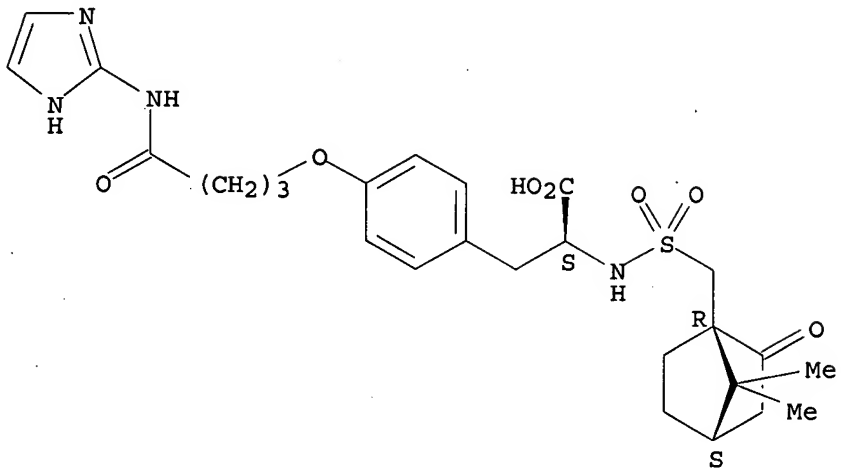
AB Title compds., [I; R1 = RNHC(:NH), RNHC(:NH)NH; R = H, protecting group; X = bond, alkylene, arylene, cycloalkylene, heterocycloalkylene; Y, Z = bond, alkylene, O, S, NH, CO, CONH, NHCO, CS, SO2NH, NHSO2, C:C, C.tplbond.C; R4 = H, halogen, substituted amine, acyloxy, CN, NO2, substituted thio, substituted sulfinyl, substituted sulfonyl, SO3H; R2 = H, alkyl, cycloalkyl, aryl, aralkyl; R3 = H, alkyl, cycloalkyl], useful for treating thromboses, heart infarct, coronary heart disease, and arteriosclerosis, were prepared Thus, I [R1 = AcNHC(:NH)NH; X = bond; Y = (CH2)3; Z = O; R2 = (CH2)3CH3; R3 = R4 = H (II)] was synthesized in 5 steps beginning from Cbz-Tyr-OCMe3 and Br(CH2)3COOEt. In tests of inhibition of vitronectin binding on isolated receptors, II had IC50αvβ3 = 6.5 nmol/L, and IC50αvβ5 = 55 nmol/L; in fibrinogen binding (GPIIbIIIa) inhibition tests, II had IC50 = 1860 nmol/L.

IT 201402-48-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of phenylalanine sulfonyl derivs. as integrin inhibitors for the treatment of cardiovascular diseases)

RN 201402-48-4 CAPLUS

CN L-Tyrosine, N-[[[(1R,4S)-7,7-dimethyl-2-oxobicyclo[2.2.1]hept-1-yl]methyl]sulfonyl]-O-[4-(1H-imidazol-2-ylamino)-4-oxobutyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 29 OF 57 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1997:594514 CAPLUS
DOCUMENT NUMBER: 127:234621
TITLE: Amidino and guanidino substituted boronic acid inhibitors of trypsin-like enzymes
INVENTOR(S): Lee, Sheng-lian O.; Carini, David John; Fevig, John Matthew; Kettner, Charles Adrian; Mantri, Padmaja; Feng, Zixia
PATENT ASSIGNEE(S): Dupont Merck Pharmaceutical Co., USA
SOURCE: U.S., 45 pp., Cont.-in-part of U. S. Ser. No. 204,055, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5658885	A	19970819	US 1994-329039	19941025
ZA 9402899	A	19951026	ZA 1994-2899	19940426
CA 2200192	A1	19960502	CA 1995-2200192	19951024
CA 2200192	C	20010116		
WO 9612499	A1	19960502	WO 1995-US13702	19951024
W: AU, CA, JP, MX, NZ				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9539671	A	19960515	AU 1995-39671	19951024
EP 787010	A1	19970806	EP 1995-937612	19951024
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 10508010	T	19980804	JP 1995-514116	19951024
PRIORITY APPLN. INFO.:			US 1993-52835 B2	19930427
			US 1994-204055 B2	19940302
			US 1994-329039 A	19941025
			WO 1995-US13702 W	19951024

OTHER SOURCE(S): MARPAT 127:234621

AB Title boronic acids R3XnNR2CHR1BR4R5 [X = amino acid or peptide residue; n = 0, 1; R1 = guanidino- or aminoxy-substituted alkyl, substituted Ph, phenylalkyl, cycloalkyl, or cycloalkylalkyl; R2 = H, (un)substituted alkyl, cycloalkyl, aryl, alkylaryl; R3 = H, alkyl, aryl, alkylaryl, NH2 blocking group, etc.; R4, R5 = OH or taken together form a cyclic boronate ester] were prepared as inhibitors of trypsin-like enzymes. Thus,

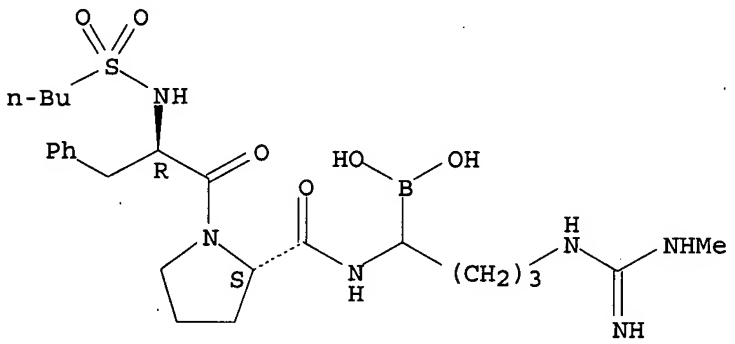
Ac-D-Phe-Pro-NHCH[(CH2)4CN]BO2C10H16 was prepared by coupling of Ac-D-Phe-Pro-OH with H2N-CH[(CH2)4Br]BO2C10H16.HCl, followed by cyanation. The product inhibited thrombin with Ki of <50,000 nM.

IT 167088-50-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(amidino and guanidino substituted boronic acid inhibitors of trypsin-like enzymes)

RN 167088-50-8 CAPLUS

CN L-Prolinamide, N-(butylsulfonyl)-D-phenylalanyl-N-[1-borono-4-[[imino(methylamino)methyl]amino]butyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

L12 ANSWER 30 OF 57 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1997:340699 CAPLUS

DOCUMENT NUMBER: 126:305793

TITLE: Bifunctional sulfide-containing sulfonamides of type XSNS for chelation of radioactive isotopes

INVENTOR(S): Dinkelborg, Ludger; Hilger, Christoph Stephan; Kramp, Wolfgang; Platzek, Johannes; Raduechel, Bernd; Erber, Sebastian

PATENT ASSIGNEE(S): Institut fuer Diagnostikforschung Gmbh an der Freien Universitaet Berlin, Germany

SOURCE: Ger. Offen., 17 pp.
CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19536781	A1	19970327	DE 1995-19536781	19950921
CA 2232391	A1	19970327	CA 1996-2232391	19960919
WO 9710852	A2	19970327	WO 1996-DE1821	19960919
WO 9710852	A3	19970828		
W: AU, CA, HU, JP, KR, NO, NZ, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9714359	A	19970409	AU 1997-14359	19960919
EP 853488	A2	19980722	EP 1996-945139	19960919
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				

PRIORITY APPLN. INFO.: DE 1995-19536781 A 19950921
WO 1996-DE1821 W 19960919

OTHER SOURCE(S): CASREACT 126:305793; MARPAT 126:305793

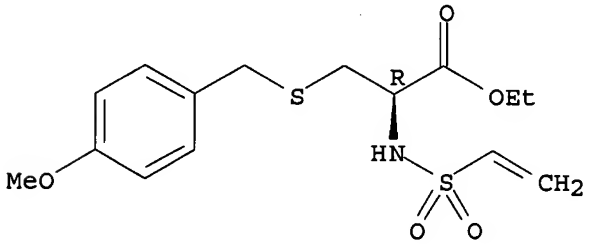
AB Complexes of radioisotopes of Tc or Re and ligands BCO(CR1R2)nSCHR3CHR4SO2NHCR5R6(CR7R8)mSR9 (R1-R5, R7, R8 = H, alkyl; R6 = H, alkyl, CO2H or a carboxylic acid derivative; R9 = H, alkyl, or a protecting group; n, m = 1, 2; B = SH, NH2, OH or their derivs.) were prepared for use in radiodiagnosis and radiotherapy. Thus, N-[[4-(methylcarbamoyl)-3-thiabutyl]sulfonyl]-S-(4-methoxybenzyl)cysteine Et ester was prepared from S-(4-methoxybenzyl)cysteine Et ester by reaction with chloroethanesulfonyl chloride and N-methylmercaptoacetamide, followed by deprotection. The product was converted into the technetium-99m complex.

IT 189039-21-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(bifunctional sulfide-containing sulfonamides of type XSNS for chelation of radioactive isotopes)

RN 189039-21-2 CAPLUS

CN L-Cysteine, N-(ethenylsulfonyl)-S-[(4-methoxyphenyl)methyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 31 OF 57 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1997:340698 CAPLUS
DOCUMENT NUMBER: 126:305792
TITLE: Bifunctional sulfide-containing sulfonamides of type XSNY for chelation of radioactive isotopes
INVENTOR(S): Dinkelborg, Ludger; Hilger, Christoph Stephan; Kramp, Wolfgang; Platzek, Johannes; Raduechel, Bernd; Erber, Sebastian
PATENT ASSIGNEE(S): Institut fuer Diagnostikforschung Gmbh an der Freien Universitaet Berlin, Germany
SOURCE: Ger. Offen., 19 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19536780	A1	19970327	DE 1995-19536780	19950921
CA 2232620	A1	19970410	CA 1996-2232620	19960919
WO 9712850	A2	19970410	WO 1996-DE1826	19960919
WO 9712850	A3	19970710		
W: AU, CA, HU, JP, KR, NO, NZ, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9715399	A	19970428	AU 1997-15399	19960919
EP 851847	A2	19980708	EP 1996-945341	19960919
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				

PRIORITY APPLN. INFO.: DE 1995-19536780 A 19950921
WO 1996-DE1826 W 19960919

OTHER SOURCE(S): MARPAT 126:305792

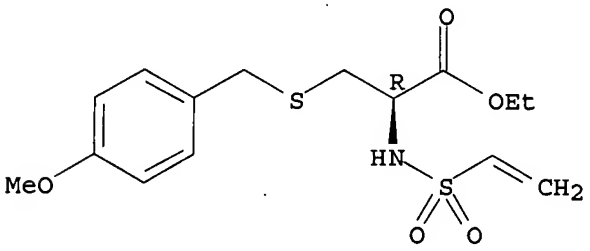
AB Complexes of radioisotopes of Tc or Re and ligands BCR1R2(CR3R4)nSCHR5CHR6SO2NHCR7R8(CR9R10)mD (R1-R10 = H, alkyl; R8 may also be CO2H or a carboxylic acid derivative; n, m = 1, 2; B, D = SH, OH, NH2 or their derivs.) were prepared for use in radiodiagnosis and radiotherapy. Thus, N-(5-amino-3-thiapentylsulfonyl)cysteine Me ester was prepared from S-(4-methoxybenzyl)cysteine Et ester by reaction with chloroethanesulfonyl chloride and N-Boc-2-mercaptoethylamine and removal of the protecting groups. The product was converted into the technetium-99m complex.

IT 189039-21-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(bifunctional sulfide-containing sulfonamides of type XSNY for chelation of radioactive isotopes)

RN 189039-21-2 CAPLUS

CN L-Cysteine, N-(ethenylsulfonyl)-S-[(4-methoxyphenyl)methyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 32 OF 57 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1997:334709 CAPLUS

DOCUMENT NUMBER: 127:804

TITLE: Indane derivatives for prevention and treatment of nephritis and endotoxin shock

INVENTOR(S): Ishida, Akihiko; Honma, Koichi; Tanifuji, Michihisa; Nishama, Nobusuke; Okumura, Fumikazu

PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 9 pp.
CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

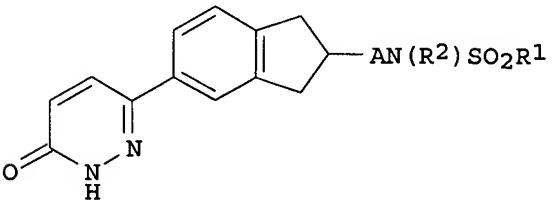
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09071535	A	19970318	JP 1996-164799	19960625

PRIORITY APPLN. INFO.: JP 1995-159262 A 19950626

OTHER SOURCE(S): MARPAT 127:804

GI



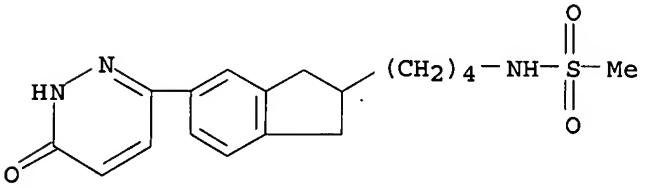
I

AB Indane derivs. (I; R1 = low alkyl, alkenyl; R2 = H, low alkyl; A = low alkylene group) and their pharmacol. acceptable salts are claimed for prevention and treatment of nephritis and endotoxin shock. Thus, I were prepared, and their inhibitory effects on nephritis were tested in rats.

IT 166183-17-1P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(indane derivs. for prevention and treatment of nephritis and endotoxin shock)

RN 166183-17-1 CAPLUS

CN Methanesulfonamide, N-[4-[5-(1,6-dihydro-6-oxo-3-pyridazinyl)-2,3-dihydro-1H-inden-2-yl]butyl]- (9CI) (CA INDEX NAME)



L12 ANSWER 33 OF 57 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1997:278950 CAPLUS

DOCUMENT NUMBER: 126:251169

TITLE: Preparation of novel 2,3-dioxo-1,2,3,4-tetrahydro-quinoxalinyl derivatives as AMPA, kainate and/or glycine binding sites of the NMDA receptor ligands

INVENTOR(S): Acklin, Pierre; Allgeier, Hans; Auberson, Yves; Biollaz, Michel; Moretti, Robert; Ofner, Silvio; Veenstra, Siem Jacob

PATENT ASSIGNEE(S): Novartis Ag, Switz.; Acklin, Pierre; Allgeier, Hans; Auberson, Yves; Biollaz, Michel; Moretti, Robert; Ofner, Silvio; Veenstra, Siem Jacob

SOURCE: PCT Int. Appl., 157 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

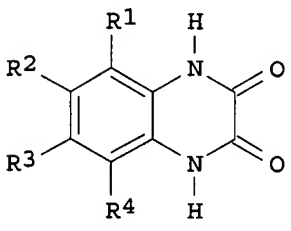
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9708155	A1	19970306	WO 1996-EP3644	19960819
W: AL, AU, BB, BG, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KP, KR, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2227851	A1	19970306	CA 1996-2227851	19960819
AU 9668742	A	19970319	AU 1996-68742	19960819
AU 705871	B2	19990603		
EP 853617	A1	19980722	EP 1996-929275	19960819
EP 853617	B1	20040303		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, FI				
CN 1193968	A	19980923	CN 1996-196581	19960819
HU 9801676	A2	19990329	HU 1998-1676	19960819
JP 11511444	T	19991005	JP 1997-509801	19960819
JP 3159711	B2	20010423		

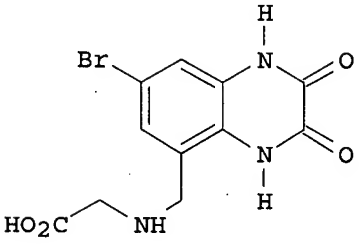
IL 122987	A	20010808	IL 1996-122987		19960819
AT 260902	T	20040315	AT 1996-929275		19960819
PT 853617	T	20040630	PT 1996-929275		19960819
ES 2217324	T3	20041101	ES 1996-929275		19960819
PL 189637	B1	20050930	PL 1996-324992		19960819
TW 438782	B	20010607	TW 1996-85110230		19960822
ZA 9607322	A	19970228	ZA 1996-7322		19960829
NO 9800814	A	19980421	NO 1998-814		19980226
NO 310236	B1	20010611			
US 6080743	A	20000627	US 1998-29525		19980227
HK 1010196	A1	20050121	HK 1998-111287		19981016
PRIORITY APPLN. INFO.:			CH 1995-2479	A	19950831
			CH 1995-2734	A	19950927
			CH 1995-2747	A	19950928
			CH 1996-1213	A	19960510
			CH 1996-1630	A	19960628
			CH 1996-1214	A	19960510
			WO 1996-EP3644	W	19960819

OTHER SOURCE(S): MARPAT 126:251169

GI



I



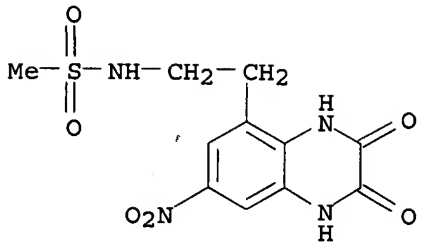
II

AB The title compds. [I; one of R1 and R2 = R5 and the other = CH(R6)-alk-R7, alk-CH(R6)R7, etc. (wherein R5 = R3, R4; R6 = unsubstituted or lower alkylated and/or lower alkanoylated amino; R7 = H, an aliphatic, cycloaliph., heterocycloaliph. radical, etc.); R3, R4 = H, lower alkyl, halo, etc.], useful in the preparation of a medicament for the treatment of pathol. conditions that are responsive to blocking of AMPA, kainate and/or glycine binding sites of the NMDA receptor, were prepared and formulated. Thus, reaction of 7-bromo-5-bromomethyl-2,3-dimethoxyquinoxaline with glycine tert-Bu ester hydrochloride in the presence of Et3N in MeCN followed by deesterification afforded the title compound II.HBr. Compds. I are effective at 10-500 mg/day when administered orally to 75 kg patient.

IT 188698-93-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of novel 2,3-dioxo-1,2,3,4-tetrahydro-quinoxalinyl derivs. as AMPA, kainate and/or glycine binding sites of the NMDA receptor ligands)

RN 188698-93-3 CAPLUS

CN Methanesulfonamide, N-[2-(1,2,3,4-tetrahydro-7-nitro-2,3-dioxo-5-quinoxalinyl)ethyl]- (9CI) (CA INDEX NAME)



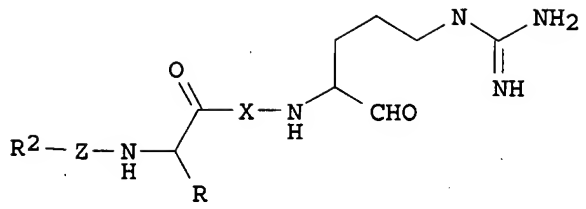
L12 ANSWER 34 OF 57 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1997:165499 CAPLUS
DOCUMENT NUMBER: 126:212443
TITLE: Preparation of L-arginine aldehyde derivatives as antithrombotic agents
INVENTOR(S): Schacht, Aaron L.; Shuman, Robert T.; Smith, Gerald F.; Wikel, James H.; Wiley, Michael R.
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: U.S., 37 pp., Cont.-in-part of U.S. Ser. No. 206,500, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5602101	A	19970211	US 1994-318600	19941005
ZA 9501615	A	19960827	ZA 1995-1615	19950227
CA 2184188	A1	19950908	CA 1995-2184188	19950303
WO 9523809	A1	19950908	WO 1995-US2627	19950303
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TT, UA				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9518843	A	19950918	AU 1995-18843	19950303
EP 748333	A1	19961218	EP 1995-911134	19950303
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 09509943	T	19971007	JP 1995-523040	19950303

PRIORITY APPLN. INFO.:

US 1994-206500	B2	19940304
US 1994-318600	A	19941005
WO 1995-US2627	W	19950303

OTHER SOURCE(S): MARPAT 126:212443
GI



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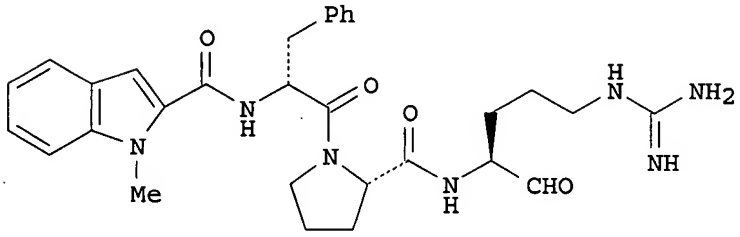


II

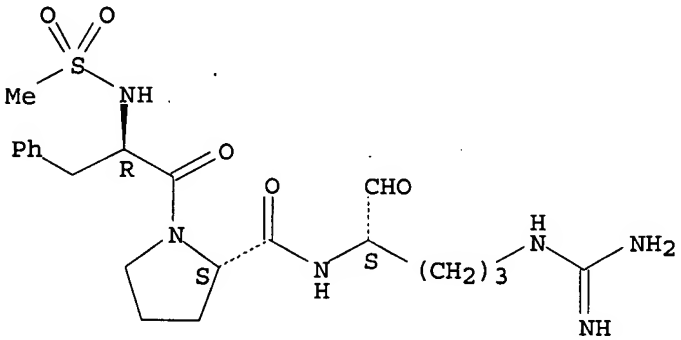
AB This invention relates to L-arginine aldehyde derivs. I [X = Pro, azetidine-2-carbonyl; Y = R2ZNHCHR, R = PhCH2, Ph, cyclopentyl, cyclohexyl, cyclopentylmethyl, cyclohexylmethyl; Z = CO, S(O)n, bond; R2 = C1-6 alkyl, C1-2 perfluoroalkyl, (CH2)qCO2H, C1-6 alkoxy, C1-4 alkoxy-C1-4 alkyl, cyclopentyl, cyclohexyl, cyclopentylmethyl, cyclohexylmethyl, NH2, mono-C1-4 alkylamino,di-C1-4 alkylamino, (un)substituted aryl; q = 1-3, n = 1, 2], with provisos, and pharmaceutically acceptable salts and solvates thereof, pharmaceutical formulations containing those compds., and methods of their use as thrombin inhibitors, coagulation inhibitors and thromboembolic disorder agents. Thus, tripeptide aldehyde II was prepared in several steps from Boc-D-Phe-OH, H-Pro-OCH2Ph.HCl, N-methylindole-2-carboxylic acid, and Boc-Arg-OH.HCl by standard solution-phase coupling reactions and a lactam reduction with LiAlH4. II and related arginine tripeptide aldehyde derivs. were tested human thrombin inhibiting activity, anticoagulant activity, and bioavailability.

IT 171180-58-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of L-arginine aldehyde derivs. as antithrombotic agents)

RN 171180-58-8 CAPLUS

CN L-Prolinamide, N-(methylsulfonyl)-D-phenylalanyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

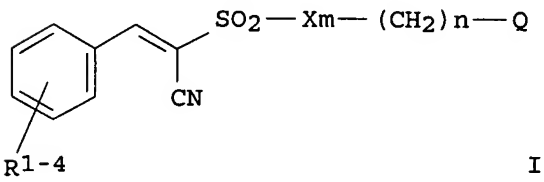


● HCl

L12 ANSWER 35 OF 57 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1997:134866 CAPLUS
DOCUMENT NUMBER: 126:139910
TITLE: Tyrphostin-like compounds for the treatment of cell proliferative disorders or cell differentiation disorders
INVENTOR(S): Tang, Peng Cho; Sun, Li; Nematalla, Asaad S.; McMahon, Gerald
PATENT ASSIGNEE(S): Sugen, Inc., USA
SOURCE: PCT Int. Appl., 112 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9640629	A1	19961219	WO 1996-US10213	19960604
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN				
AU 9661128	A	19961230	AU 1996-61128	19960604
US 5891917	A	19990406	US 1997-957260	19971024
US 5935993	A	19990810	US 1997-957420	19971024
US 6225346	B1	20010501	US 1999-372395	19990810
PRIORITY APPLN. INFO.:			US 1995-480275 A	19950607
			WO 1996-US10213 W	19960604
			US 1997-957420 A1	19971024

OTHER SOURCE(S): MARPAT 126:139910
GI



I

AB The present invention relates to compds. I (X = NH, -C(CN)=C, CH2CN; m = 0, 1; n = 0-3; Q = aryl, heteroaryl; R1-4 = halo, trihalo, Me, alkyl, alkoxy, hydroxy, H, nitro, cyano, amide, sulfonyl, sulfonamide, carboxy, carboxamide, amino), capable of modulating tyrosine signal transduction to prevent or treat cell proliferative disorders or cell differentiation disorders associated with particular tyrosine kinases by inhibiting one or more abnormal tyrosine kinase activities. (E)-3-(3,5-diisopropyl-4-hydroxyphenyl)-2-[(pyrid-2-yl)sulfonyl]acrylonitrile was prepared from a reaction mixture of 450 mg of 3,5-diisopropyl-4-hydroxylbenzaldehyde and 400 mg of 2-pyridinesulfonylacetonitrile in 10 mL ethanol. Examples were presented which illustrates the ability of the exemplary compds. to inhibit receptor tyrosine kinases, such as HER2 and/or EGFR.

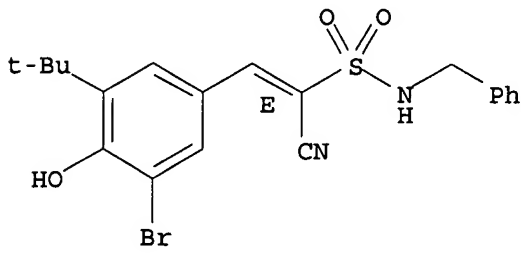
IT 186582-63-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(tyrosine kinase inhibition by tyrphostin-like sulfonyl acetonitrile compds. for treatment of cell proliferative or cell differentiation disorders)

RN 186582-63-8 CAPLUS

CN Ethenesulfonamide, 2-[3-bromo-5-(1,1-dimethylethyl)-4-hydroxyphenyl]-1-cyano-N-(phenylmethyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L12 ANSWER 36 OF 57 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1997:121403 CAPLUS

DOCUMENT NUMBER: 126:131783

TITLE: Preparation of peptides as inhibitors of factor Xa

INVENTOR(S): Marlowe, Charles K.; Scarborough, Robert M.; Laibelman, Alan M.; Sinha, Uma; Zhu, Bing-yan

PATENT ASSIGNEE(S): Cor Therapeutics, Inc., USA

SOURCE: PCT Int. Appl., 76 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9640743	A2	19961219	WO 1996-US9285	19960605
WO 9640743	A3	19970123		
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN				
US 5919765	A	19990706	US 1995-483470	19950607
CA 2224076	A1	19961219	CA 1996-2224076	19960605
AU 9665902	A	19961230	AU 1996-65902	19960605
AU 710408	B2	19990923		
EP 846125	A2	19980610	EP 1996-925254	19960605
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 11507626	T	19990706	JP 1996-501639	19960605
ZA 9604753	A	19970227	ZA 1996-4753	19960606
US 6245743	B1	20010612	US 1998-77001	19980515

PRIORITY APPLN. INFO.: US 1995-483470 A 19950607
WO 1996-US9285 W 19960605

OTHER SOURCE(S): MARPAT 126:131783

AB Peptides R1(CH2)pX1(CH2)mCR2(X2R3R4)C(:Y1)X3R5CR6R7C(:Y2)NR8CHR9(CH2)nX4(CH2)qR10 (X1 = piperidinyl, pyrrolidinyl, cycloalkyl, Ph, substituted Ph, naphthyl, pyridyl, or null; X2 = N, CH, H; X3 = N, CH, NCH2, NCH2CH2, CHCH2; X4 = piperidinyl, pyrrolidinyl, cycloalkyl, Ph, heteroaryl, or null; R1 = H, alkyl, amino, etc.; R2, R6 = H, Me; R3 = H, arylacyl,

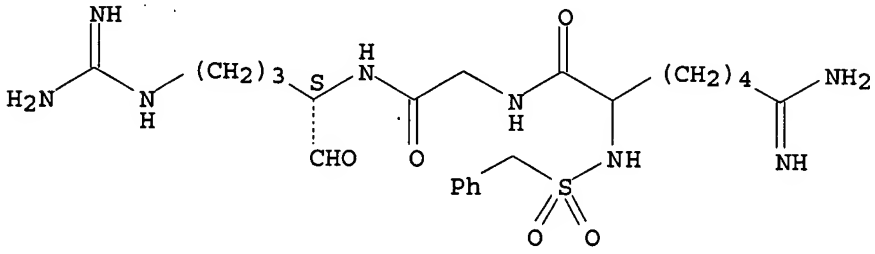
heteroarylacyl, arylalkylsulfonyl, etc.; R4 = H, alkyl or is absent if X2 is H; R5, R7, R8 = H, alkyl; R9 = CHO, COCF3, COCF2CF3, etc.; R10 = H, alkyl, amino, etc.; Y1, Y2 = O, H2; m, n, p, q = 0-4) and their pharmaceutically acceptable salts, prodrugs, etc. were prepared as inhibitors of factor Xa. The compds. are useful in vitro or in vivo for preventing or treating coagulation disorders. Thus, Boc-D-Arg-Gly-Arg-H (I, Boc = tert-butoxycarbonyl) was prepared from Boc-Arg(Z)-OH (Z = benzyloxycarbonyl), Boc-Gly-OH, and Boc-D-Arg(Z2)-OH via peptide couplings of arginine lactam intermediates. Peptide I was evaluated for biol. half-life, antithrombotic efficacy, and effects on hemostasis and hematol. parameters.

IT 186369-75-5P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of peptides as inhibitors of factor Xa)

RN 186369-75-5 CAPLUS

CN Heptanamide, 7-amino-N-[2-[[4-[(aminoiminomethyl)amino]-1-formylbutyl]amino]-2-oxoethyl]-7-imino-2-[[(phenylmethyl)sulfonyl]amino]-, (1S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 37 OF 57 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1997:48664 CAPLUS

DOCUMENT NUMBER: 126:75249

TITLE: Preparation of acylguanidine and acylamidine derivatives as thrombin inhibitor prodrugs

INVENTOR(S): Kimball, S. David; Das, Jagabandhu; Chen, Ping; Iwanowicz, Edwin J.; White, Ronald E.; Zahler, Robert

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: Eur. Pat. Appl., 176 pp.
CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

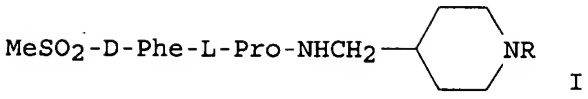
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 743320	A2	19961120	EP 1996-107675	19960514
EP 743320	A3	20000607		
R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CA 2176414	A1	19961119	CA 1996-2176414	19960513
AU 9652332	A	19961128	AU 1996-52332	19960517
JP 08319284	A	19961203	JP 1996-148613	19960520

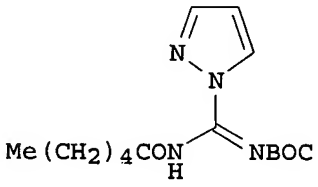
PRIORITY APPLN. INFO.: US 1995-443940 A 19950518

OTHER SOURCE(S): MARPAT 126:75249

GI



I



II

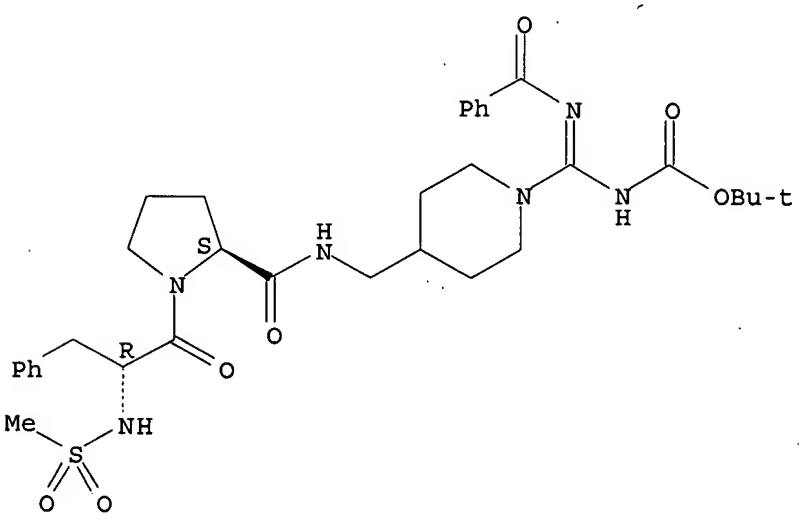
AB Acyl guanidine, thioguanidine and amidine compds. are provided which have the structure A'xNHC(Z):NAx (Z = substructure which forms a prodrug with pharmaceutically active properties; Ax, A'x = independently H, acyl, alkyl; at least 1 of Ax and A'x = acyl) and including all stereoisomers thereof, and pharmaceutically acceptable salts thereof. In preferred embodiments, Z is a thrombin inhibitor substructure containing residues binding at the distal and proximal sites with the proviso that Z does not contain boron or a boron-containing moiety. Thus, amidation of MeSO2-D-Phe-L-Pro-OH (preparation given) with 1-Boc-4-aminomethylpiperidine (Boc = Me3CO2C), followed by acidic deprotection, gave piperidine derivative I (R = H.CF3CO2H). Guanylation of I (R = H) with guanylpyrazole derivative II gave title compound I [R = C[NHCO(CH2)4Me]:NBoc], which could be deprotected with CF3CO2H to give III [R = C[NHCO(CH2)4Me]:NH].

IT 185251-35-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of acylguanidine and acylamidine derivs. as thrombin inhibitor prodrugs)

RN 185251-35-8 CAPLUS

CN L-Prolinamide, N-(methylsulfonyl)-D-phenylalanyl-N-[[1-[(benzoylamino)[[(1,1-dimethylethoxy)carbonyl]imino]methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 38 OF 57 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1996:476626 CAPLUS
DOCUMENT NUMBER: 125:143313

TITLE: Preparation of amidino and guanidino substituted peptide analogs as inhibitors of trypsin-like enzymes

INVENTOR(S): Lee, Sheng-lian O.; Carini, David John; Fevig, John Matthew; Kettner, Charles Adrian; Mantri, Padmaja; Feng, Zixia

PATENT ASSIGNEE(S): Du Pont Merck Pharmaceutical Company, USA

SOURCE: PCT Int. Appl., 139 pp.
CODEN: PIXXD2

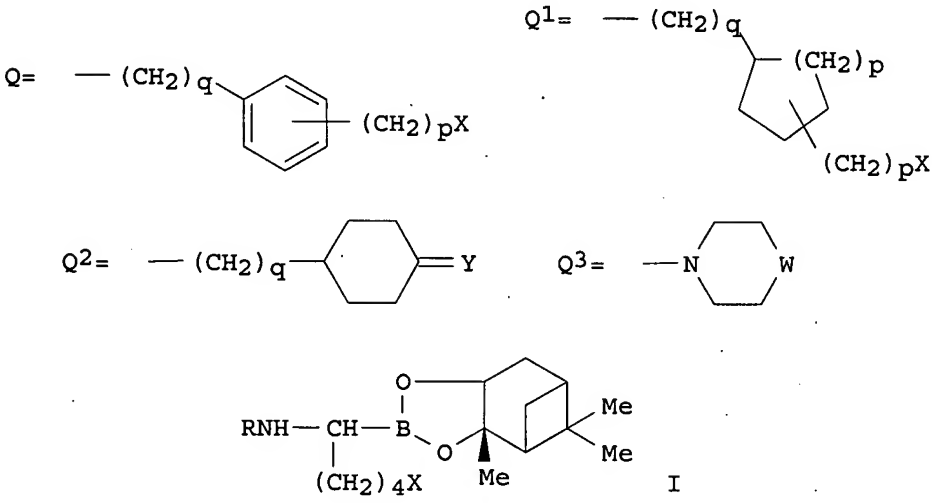
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9612499	A1	19960502	WO 1995-US13702	19951024
W: AU, CA, JP, MX, NZ				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 5658885	A	19970819	US 1994-329039	19941025
AU 9539671	A	19960515	AU 1995-39671	19951024
EP 787010	A1	19970806	EP 1995-937612	19951024
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 10508010	T	19980804	JP 1995-514116	19951024

PRIORITY APPLN. INFO.: US 1994-329039 A 19941025
US 1993-52835 B2 19930427
US 1994-204055 B2 19940302
WO 1995-US13702 W 19951024

OTHER SOURCE(S): MARPAT 125:143313

GI



AB Novel α-amino acid and α-aminoboronic acid and corresponding peptide analogs of formula R3[A]nNR2CHR1E [E = BY1Y2, COR14, CO2R4, CONR15R16, COR4, COCO2R4; wherein Y1, Y2 = OH, F, (un)substituted NH2; or Y1Y2 = cyclic boron ester, cyclic boron amide, or cyclic boron amide-ester containing 2-20 carbon atoms and optionally 1-3 heteroatoms selected from N, S, and O; R4 = H, C1-4 alkyl, aryl-C1-4 alkyl, C5-7 cycloalkyl; R14 = CF3, CHF2, CH2F, CH2Cl, CO2R4, CONR15R16, COR4, etc.; R15, R16 = H, C1-4 alkyl, aryl-C1-4 alkyl, C5-7 cycloalkyl, (un)substituted Ph; or NR15R16 = Q3; wherein W = single bond, O, S, SO, SO2, CH2, NR4, NCOR4; R1 = (un)substituted C1-12 alkyl, Q, Q1; wherein X = halo, cyano, NO2, CF3,

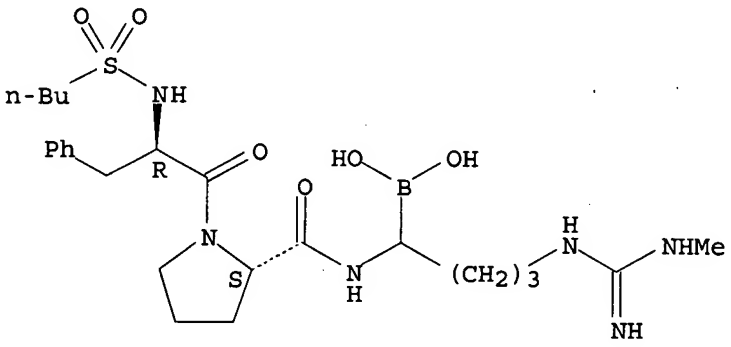
NH2, NHC(:NH)H, NHC(:NH)NHOH, NHC(:NH)NHCN, etc.; Y = O, :NOH, :NNHCHO; p = 0-3; q = 0-4; R2 = H, (un)substituted C1-12 alkyl, cycloalkyl, Ph, naphthyl, or aryl-C1-4 alkyl; R3 = H, alkyl, aryl, alkylaryl, S(O)rR7, COR7, CO2R7, P(O)2OR7, or any other C1-20 NH2-blocking group; wherein R7 = H, C1-4 alkyl, (un)substituted Ph, naphthyl, or aryl-C1-4 alkyl; r = 0-2; A = amino acid residue or peptide comprised of 2-20 amino acids residue; n = 0,1] and pharmaceutically acceptable salts thereof are prepared These peptide analogs are useful for treating a physiol. disorder in a warm blooded animal catalyzed by trypsin-like enzymes, e.g. blood clotting, arterial thrombosis, myocardial infarction, inflammation, pancreatitis, and hereditary angioedema. Trypsin-like enzymes are a group of proteases which hydrolyze peptide bonds at basic residues liberating either a C-terminal arginyl or lysyl residue, among which are enzymes of the blood coagulation and fibrinolytic system required for hemostasis (e.g. factors II, X, VII, IX, kallikrein, tissue plasminogen activators, urokinase-like plasminogen activator, and plasmin), enzymes of the complement system, acrosin, and pancreatic trypsin. Thus, Ac-D-Phe-Pro-OH was condensed with a boronic acid derivative (I; R = H, X = Br) by a mixed anhydride procedure using iso-Bu chloroformate and N-methylmorpholine in CCl4 to give an intermediate I (R = Ac-D-Phe-Pro, X = Br), which was heated with Bu4NCN in MeCN at 90° for 3 h to give the nitrile I (R = Ac-D-Phe-Pro, X = cyano). The latter nitrile was stirred with saturated methanolic HCl at 4° overnight, concentrated, and redissolved in MeOH. NH3(g) was bubbled through the solution for 1 h and the solution was heated at 50° for 3 h to give I [R = Ac-D-Phe-Pro, X = C(:NH)NH2]. This compound in vitro inhibited thrombin with Ki of <500 nM.

IT 167088-50-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amidino and guanidino substituted peptide analogs containing α-aminoboronic acid as inhibitors of trypsin-like enzymes for disease therapy)

RN 167088-50-8 CAPLUS

CN L-Prolinamide, N-(butylsulfonyl)-D-phenylalanyl-N-[1-borono-4-[[imino(methylamino)methyl]amino]butyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

L12 ANSWER 39 OF 57 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1995:994559 CAPLUS
DOCUMENT NUMBER: 124:87809
TITLE: Preparation of peptidylargininealdehyde derivatives as antithrombotic agents.

INVENTOR(S): Schacht, Aaron Leigh; Shuman, Robert Theodore; Smith, Gerald Floyd; Wikel, James Howard; Wiley, Michael Robert
PATENT ASSIGNEE(S): Eli Lilly and Co., USA
SOURCE: PCT Int. Appl., 100 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9523809	A1	19950908	WO 1995-US2627	19950303
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TT, UA				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5602101	A	19970211	US 1994-318600	19941005
AU 9518843	A	19950918	AU 1995-18843	19950303
EP 748333	A1	19961218	EP 1995-911134	19950303
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 09509943	T	19971007	JP 1995-523040	19950303
PRIORITY APPLN. INFO.:			US 1994-206500 A	19940304
			US 1994-318600 A	19941005
			WO 1995-US2627 W	19950303

OTHER SOURCE(S): MARPAT 124:87809

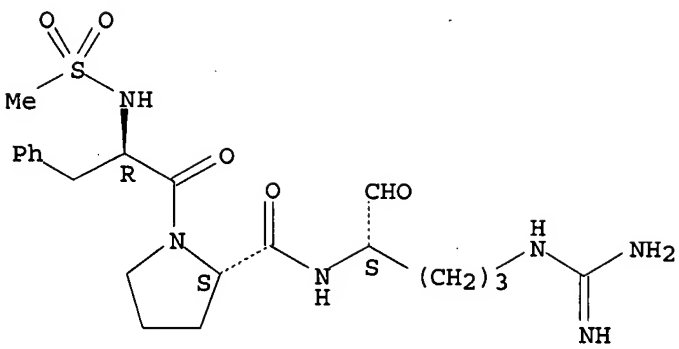
AB YCOXNHCH(COR1)(CH2)3NHC(:NH)NH2 [R1 = H; X = Pro, azetidin-2-carbonyl; Y = R2ZNHCHR; R = PhCH2, Ph, cyclopentyl, cyclohexyl, cyclopentylmethyl, cyclohexylmethyl; Z = CO, SO, SO2; R2 = alkyl, perfluoroalkyl, alkoxy, alkoxyalkyl, cyclopentyl, cyclohexyl, amino, (substituted) aryl, etc.], were prepared Thus, N-(1-methylindolyl-2-carbonyl)-D-phenylalanylprolylargininealdehyde hydrochloride (solution phase preparation given) showed a thrombin time (TT) of 43.

IT 171180-58-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of peptidylargininealdehyde derivs. as antithrombotic agents)

RN 171180-58-8 CAPLUS

CN L-Prolinamide, N-(methylsulfonyl)-D-phenylalanyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



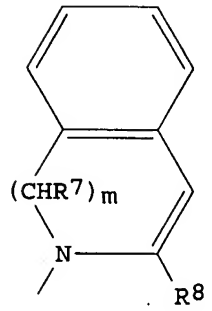
● HCl

L12 ANSWER 40 OF 57 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1995:994541 CAPLUS
DOCUMENT NUMBER: 124:117997
TITLE: Preparation of imidazole-containing peptide and amino acid derivatives as inhibitors of farnesyl protein transferase.
INVENTOR(S): Hunt, John T.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Co., USA
SOURCE: Eur. Pat. Appl., 106 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 675112	A1	19951004	EP 1995-302188	19950331
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
AU 9516158	A	19951012	AU 1995-16158	19950330
HU 72440	A2	19960429	HU 1995-934	19950330
CA 2146059	A1	19951001	CA 1995-2146059	19950331
FI 9501554	A	19951001	FI 1995-1554	19950331
NO 9501266	A	19951002	NO 1995-1266	19950331
JP 07304750	A	19951121	JP 1995-75486	19950331
CN 1112117	A	19951122	CN 1995-103978	19950331
ZA 9502696	A	19960930	ZA 1995-2696	19950331
PRIORITY APPLN. INFO.:			US 1994-221153 A	19940331
			US 1994-292916 A	19940819

OTHER SOURCE(S): MARPAT 124:117997
GI

Q1=



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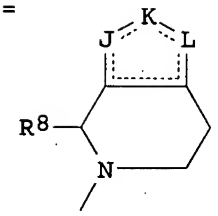
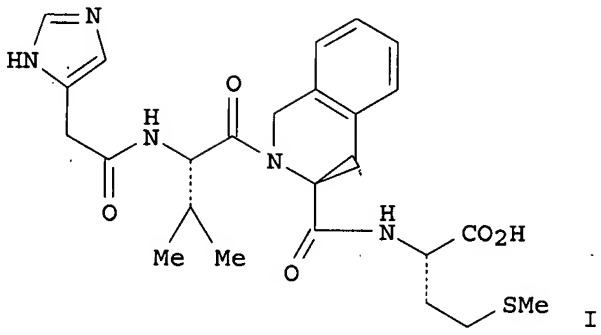




I

AB The title compds. G1-NR1-CA1R2-G [I; G = G2CONR3CA2R4G3, NR3(CH2)qQ, Q1, Q2; G1 = G4(CH2)nY, G4(CH2)nCH[(CH2)pNR5R6]Y, Q1, Q2, NR10CHQ3; wherein J, K, L = N, NR9, O, S, CR10, with the provisos that only one of the groups J, K and L can be O or S, and at least one of the groups J or L must be N, NR9, O or S to form a fused 5-membered heterocyclic ring; the bond between J and K or K and L may also form one side of a Ph ring fused to the 5-membered heterocyclic ring; Q = aryl; Q3, A1, A2 = H, (un)substituted alkyl or Ph; G3 = R11, CO2R11, CONR11R12, 5-tetrazolyl, CON(R13)OR11, CONHSO2R14, CH2OR11; G4 = 1-, 2-, 4- or 5-imidazolyl optionally substituted, at any of the available position or positions on the ring, with halo, C1-20 (un)substituted alkyl , alkoxy, aryl, aralkyl, OH, alkanoyl, alkanoyloxy, NH2, alkylamino, dialkylamino, alkanoylamino, thiol, alkylthio, alkylthiono, alkylsulfonyl, sulfonamido, NO2, cyano, CO2H, carbamoyl, N-hydroxycarbamoyl, N-alkylcarbamoyl, N,N-dialkylcarbamoyl, alkoxycarbonyl, (un)substituted Ph, or a combination of these groups; Y, Z = CH2, CO; R1 - R14 = H or C1-20 alkyl; R7, R8 R14 may also be aryl or aralkyl; R3, R9, R12, R13 may also be aralkyl; m, n, p = 0, 1,2; q = 0, 1-4], which effect inhibition. of farnesyl transferase, an enzyme involved in Ras oncogene expression, (no data), are prepared Any of these compds. I is used for manufacturing a medicament for treating (1) conditions requiring inhibition of prenyl transferases, farnesyl protein transferase, or tumors or (2) diseases associated with signal transaction pathways operating through Ras, proteins that are post-translationally modified by the enzyme farnesyl protein transferase, or proteins that are post-translationally modified by the enzyme geranylgeranyl protein transferase. Thus, L-methionine Me ester hydrochloride was sequentially coupled with (S)-3,4-dihydro-2,3(H)-isoquinolinedicarboxylic acid 2-tert-Bu ester, Boc-Val-OH, and imidazole-4-acetic acid and saponification of the resulting tripeptide Me ester with a solution of LiOH in H2O and HPLC purification to give the title compound (II) as trifluoroacetate salt.

IT 172498-02-1P

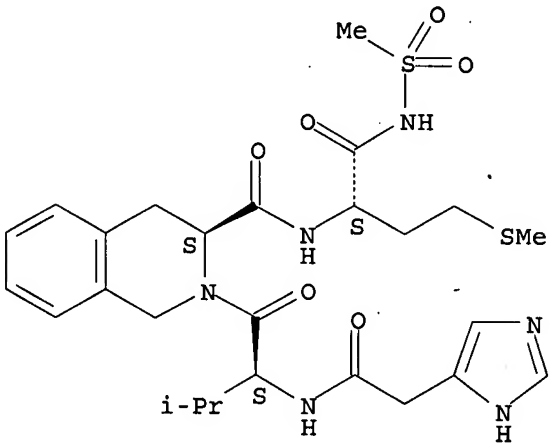
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of imidazole-containing peptides and amino acids derivs. as farnesyl protein transferase inhibitors and antitumor agents)

RN 172498-02-1 CAPLUS

CN L-Methioninamide, N-(1H-imidazol-4-ylacetyl)-L-valyl-L-1,2,3,4-tetrahydro-3-isoquinolinecarbonyl-N-(methylsulfonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 41 OF 57 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1995:969443 CAPLUS

DOCUMENT NUMBER: 124:30433

TITLE: Preparation of bisulfite adducts of arginine aldehyde derivatives or arginine aldehyde-containing peptides as thrombin inhibitors and anticoagulants.

INVENTOR(S): Ruterbories, Kenneth James; Shuman, Robert Theodore

PATENT ASSIGNEE(S): Eli Lilly and Co., USA

SOURCE: Eur. Pat. Appl., 122 pp.
CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

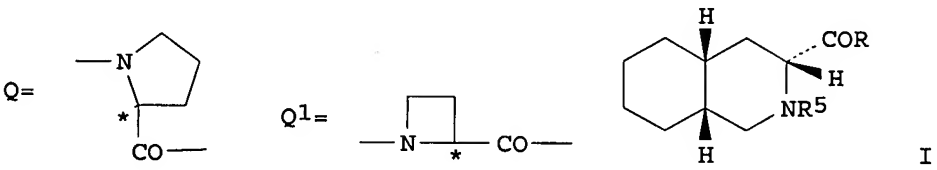
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 670310	A1	19950906	EP 1995-301389	19950303
EP 670310	B1	19980902		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
CA 2143532	A1	19950905	CA 1995-2143532	19950228
JP 07278095	A	19951024	JP 1995-43919	19950303
AT 170508	T	19980915	AT 1995-301389	19950303
ES 2120132	T3	19981016	ES 1995-301389	19950303
PRIORITY APPLN. INFO.:			US 1994-206579 A	19940304

OTHER SOURCE(S): MARPAT 124:30433

GI



I

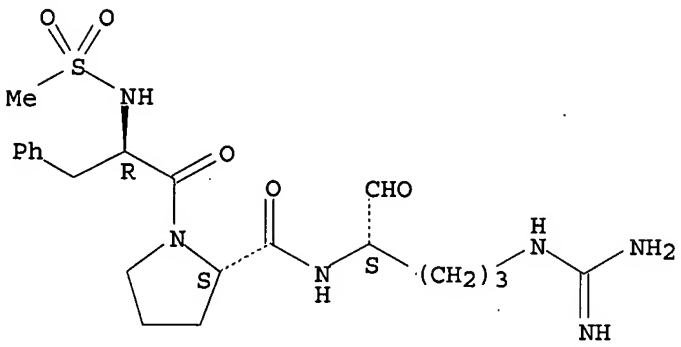
AB X-Y-NHCH[(CH2)3NHC(:NH)NH2]C(OH)SO3-M+ [X = (un)substituted homoprolinyl, prolinyl, thiazolidinoyl, isothiazolidinoyl, thiomorpholinoyl, piperazinoyl, morpholinoyl, oxazolidinoyl, isoxazolidinoyl, 2-azanorbornoyl, R3C(Z)(Z1R4)CO, R8NHCHR7CHR6CO, etc.; wherein Z = H, HO, C1-4 alkoxy, (un)substituted NH2; R3 = H, C1-4 alkyl, (un)substituted Ph or CH2Ph; Z1 = a bond, CH2; R4 = C1-6 alkyl, C1-4 alkoxy, cyclopentyl, cyclohexyl, (un)substituted (hetero)aryl; when Z = (un)substituted NH2, it can be taken together with R3 to form an azetidinyl, a 5- or 6-membered (un)substituted saturated N-containing heterocyclic ring, or a 9- or 10-membered (un)substituted fused bicyclic N-containing heterocyclic group; or R3 and R4 can be taken together to form a cyclopentyl, cyclohexyl, or a 9- or 10-membered (un)substituted bicyclic hydrocarbyl; R6, R7 = H, C1-4 alkyl, (un)substituted Ph, cyclopentyl, cyclohexyl, etc.; R8 = H, C1-4 alkyl, C1-4 alkyl-S(O)q; wherein q = 0-2; Y = Q, Q1; M = a pharmaceutically acceptable alkali or alkaline earth metal] are prepared These bisulfite adducts can inhibit the epimerization and maintain the L-configuration for the arginine residue. Thus, D-phenylalanine was refluxed with a mixture of 37% formaldehyde and concentrated HCl for 3.4 h to give 45% D-1,2,3,4-tetrahydro-3-isoquinolinecarboxylic acid which was hydrogenated in the presence of 5% Rh/Al2O3 at 2,000 psi H pressure in a mixture of H2O and concentrated HCl to give 100% D-cis-(4aS,8aS)-perhydro-3-isoquinolinecarboxylic acid (I; R = OH, R5 = H). This compound was acylated by benzyl chloroformate in aqueous THF with maintaining the pH of the solution at 10.0 by adding 2 N aqueous NaOH to give 85% I (R = OH, R5 = PhCH2O2C) which was condensed with H-Pro-OCMe3 using DCC and 1-hydroxybenzotriazole in DMF at 0° for 3 h and room temperature for 24 h to give 94% I (R = Pro-OCMe3, R5 = PhCH2O2C). The latter compound was deprotected with CF3CO2H in anisole to give, after workup, 49% I (R = Pro-OH, R5 = PhCH2O2C) which was treated with iso-Bu chloroformate in the presence of n-methylmorpholine in DMF at -15° and condensed with HCl.H-Arg(Z)-lactam in the presence of diisopropylethylamine at -15° for 4 h to give I [R = Pro-Arg(Z)-lactam, R5 = PhCH2O2C]. This lactam was reduced by LiAlH4 in THF at -65° for 30 min to give; after workup, a protected arginal derivative I [R = Pro-Arg(Z)-H, R5 = PhCH2O2C] which was hydrogenated in the presence of 5% Pd-C in a mixture of EtOH, H2O, and H2SO4 for 3 h to give an arginal derivative I.H2SO4 (R = Pro-Arg-H, R5 = H). The latter compound was dissolved in H2O and treated with NaHSO3 to give, after lyophilization, 100% I .H2SO4 [R = Pro-NHCH[(CH2)3NHC(:NH)NH2]CH(OH)SO3Na, R5 = H]. This compound inhibited human thrombin, trypsin, plasmin, and tissue-type plasminogen activator (t-PA) with k value of 62, 137, 2.7, and 0.01, resp., and showed the index of bioavailability of 57% in rats.

IT 171180-58-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of bisulfite adducts of arginine aldehyde derivs. or arginine aldehyde-containing peptides as thrombin inhibitors and anticoagulants.)

RN 171180-58-8 CAPLUS

CN L-Prolinamide, N-(methylsulfonyl)-D-phenylalanyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

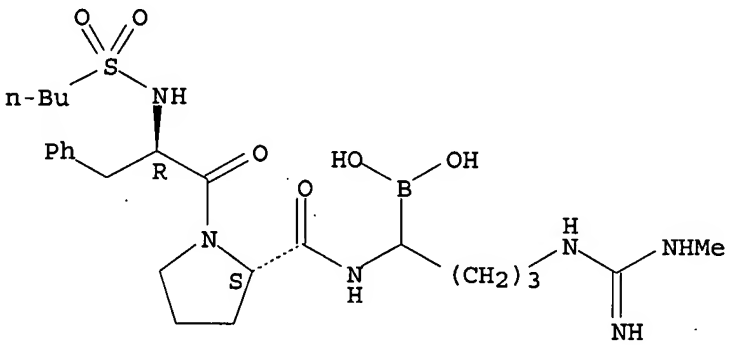
L12 ANSWER 42 OF 57 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1995:763508 CAPLUS
DOCUMENT NUMBER: 123:199406
TITLE: Preparation of amidino- and guanidino-substituted (peptidyl)boronic acid inhibitors of trypsin-like enzymes.
INVENTOR(S): Fevig, John Matthew; Kettner, Charles Adrian; Lee, Sheng-Lian O.; Carini, David John
PATENT ASSIGNEE(S): Du Pont Merck Pharmaceutical Co., USA
SOURCE: PCT Int. Appl., 53 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 9425049	A1	19941110	WO 1994-US4058		19940421
W: AU, CA, CZ, FI, HU, JP, KR, NO, NZ, PL, SK					
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE					
CA 2161216	A1	19941110	CA 1994-2161216		19940421
AU 9467038	A	19941121	AU 1994-67038		19940421
EP 696199	A1	19960214	EP 1994-914776		19940421
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE					
JP 08509723	T	19961015	JP 1994-524316		19940421
ZA 9402899	A	19951026	ZA 1994-2899		19940426
PRIORITY APPLN. INFO.:			US 1993-52835	A	19930427
			US 1994-204055	A	19940302
			WO 1994-US4058	W	19940421

OTHER SOURCE(S): MARPAT 123:199406
AB R3AnNR2CHR1BY1Y2 [R1 = alkyl substituted with cyano, NHCH(:NH), NHC(:NH)NHOH, etc., substituted phenyl(alkyl); R2 = H, alkyl, (substituted) Ph, naphthyl; R3 = H, alkyl, aryl, alkylaryl, blocking group; A = amino acid residue or peptide residue containing 2-20 amino acid residues; Y1, Y2 = OH, F, alkoxy; Y1Y2 = cyclic boron ester; n = 0, 1], were prepared Thus, BOC-D-Phe-Pro-NHCH[(CH2)3NHCH(:NH)]B(OH)2 (solution phase preparation given) inhibited thrombin with Ki = 0.040 nM.
IT 167088-50-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amidino and guanidino substituted peptidylboronic acid inhibitors of trypsin-like enzymes)
RN 167088-50-8 CAPLUS

CN L-Prolinamide, N-(butylsulfonyl)-D-phenylalanyl-N-[1-borono-4-[[imino(methylamino)methyl]amino]butyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

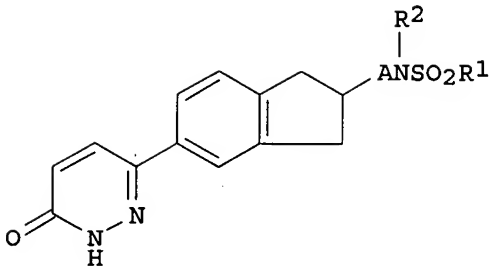


● HCl

L12 ANSWER 43 OF 57 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1995:731783 CAPLUS
DOCUMENT NUMBER: 123:143910
TITLE: Indane derivatives for treatment of endotoxin shock and nephritis, and processes for their preparation
INVENTOR(S): Ishida, Akihiko; Homma, Koichi; Yato, Michihisa; Nishiyama, Shinsuke; Okumura, Fumikazu
PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 16 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
EP 661273	A1	19950705	EP 1994-120687		19941227
EP 661273	B1	19990519			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE					
CA 2138812	A1	19950629	CA 1994-2138812		19941222
JP 07233152	A	19950905	JP 1994-321979		19941226
JP 2757353	B2	19980525			
AT 180251	T	19990615	AT 1994-120687		19941227
CN 1107844	A	19950906	CN 1994-113330		19941228
US 5686452	A	19971111	US 1996-767392		19961216
PRIORITY APPLN. INFO.:			JP 1993-335250	A	19931228
			US 1994-365428	B1	19941228

OTHER SOURCE(S): CASREACT 123:143910; MARPAT 123:143910
GI



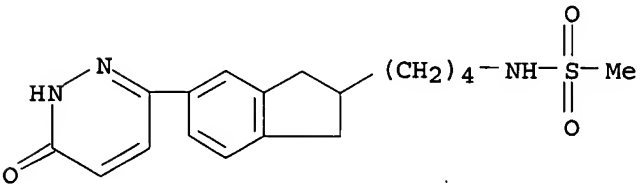
I

AB Indane derivs. are disclosed, specifically compds. I [R1 = alkyl, alkenyl or (un)substituted monocyclic aromatic N-containing heterocyclic group; R2 = H or alkyl; A = alkylene] and pharmaceutically acceptable salts. The compds. give excellent protection from endotoxin shock, and curing of nephritis. For example, 2-(aminomethyl)-5-[pyridazin-3(2H)-on-6-yl]indane-HBr in EtOAc-THF was treated with aqueous Na2CO3 and then EtSO2Cl in THF to give title compound I (R1 = Et, R2 = H, A = CH2). Addnl. I were prepared by this method, and by oxidation of their 4,5-dihydropyridazinone analogs, e.g., with HBr-AcOH-DMSO in AcOH. Precursor prepns. are included. In a rat glomerular nephritis model, I gave approx. 60-90% inhibition of protein excretion at 30 mg/kg orally, twice daily.

IT 166183-17-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indane derivs. for treatment of endotoxin shock or nephritis)

RN 166183-17-1 CAPLUS

CN Methanesulfonamide, N-[4-[5-(1,6-dihydro-6-oxo-3-pyridazinyl)-2,3-dihydro-1H-inden-2-yl]butyl]- (9CI) (CA INDEX NAME)



L12 ANSWER 44 OF 57 CAPLUS COPYRIGHT 2007 ACS on STN

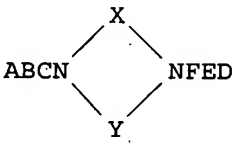
ACCESSION NUMBER: 1995:289966 CAPLUS
DOCUMENT NUMBER: 122:81372
TITLE: Preparation of cyclic urea derivatives as drugs
INVENTOR(S): Himmelsbach, Frank; Austel, Volkhard; Linz, Guenter; Pieper, Helmut; Guth, Brian; Mueller, Thomas; Weisenberger, Johannes
PATENT ASSIGNEE(S): Thomae, Dr. Karl, G.m.b.H., Germany
SOURCE: Eur. Pat. Appl., 125 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 587134	A2	19940316	EP 1993-114401	19930908

EP 587134		A3	19940706			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE						
DE 4230470		A1	19940414	DE 1992-4230470		19920911
DE 4302052		A1	19940728	DE 1993-4302052		19930126
DE 4309213		A1	19940929	DE 1993-4309213		19930322
FI 9303942		A	19940312	FI 1993-3942		19930909
CA 2105934		A1	19940312	CA 1993-2105934		19930910
NO 9303248		A	19940314	NO 1993-3248		19930910
AU 9346249		A	19940324	AU 1993-46249		19930910
ZA 9306689		A	19950310	ZA 1993-6689		19930910
HU 71496		A2	19951128	HU 1993-2577		19930910
US 5681841		A	19971028	US 1993-120008		19930910
CN 1092769		A	19940928	CN 1993-114711		19930911
JP 06263740		A	19940920	JP 1993-226864		19930913
US 5880284		A	19990309	US 1997-864528		19970528
PRIORITY APPLN. INFO.:				DE 1992-4230470	A	19920911
				DE 1993-4302052	A	19930126
				DE 1993-4309213	A	19930322
				US 1993-120008	A3	19930910

OTHER SOURCE(S): MARPAT 122:81372

GI



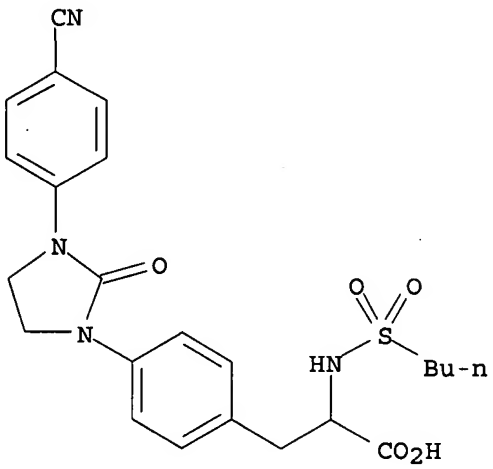
I

AB Title compds. [I; A = e.g., acylamidino, etc.; B = e.g., 1,4-azacycloheptylene, 1,4- piperidinylene, 1,4-piperazinylene, etc.; C = e.g., 1,4- piperidinylene, 1,2,3,4-tetrahydro-2,6-naphthylene, 1,4-bicyclo[2.2.2]octanylene, etc.; D = alkylene, 1,3-phenylene, 1,4-cyclohexylene, etc.; E = bond, CH:CH, alkylene, etc.; F = CO2H, alkoxycarbonyl, etc.; X = e.g., N-cyanocarbimino, etc.; Y = e.g., 1,2-cyclohexylene] were prepared as cell aggregation inhibitors. Thus, 2-(4-amidinophenyl)-4-[4-[2-(cyclohexyloxycarbonyl)ethyl]phenyl]-5-methyl-4H-1,2,4-triazol-3-one hydrochloride inhibited ex vivo thrombocyte aggregation in blood from rhesus monkeys after oral administration of 1mg/kg.

IT 160130-34-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of, as cell aggregation inhibitor)

RN 160130-34-7 CAPLUS

CN Phenylalanine, N-(butylsulfonyl)-4-[3-(4-cyanophenyl)-2-oxo-1-imidazolidinyl]- (9CI) (CA INDEX NAME)



L12 ANSWER 45 OF 57 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1994:502010 CAPLUS
DOCUMENT NUMBER: 121:102010
TITLE: Herbicidal derivatives of 2-(1-aryl-4-cyano-5-pyrazolylmethyleneiminooxy)alkanoic acids
INVENTOR(S): Maravetz, Lester L.
PATENT ASSIGNEE(S): FMC Corp., USA
SOURCE: U.S., 18 pp
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5321002	A	19940614	US 1993-105233	19930811

PRIORITY APPLN. INFO.: US 1993-105233 19930811
OTHER SOURCE(S): MARPAT 121:102010
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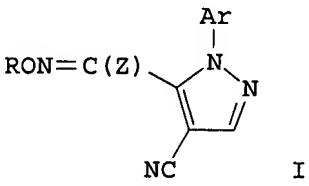
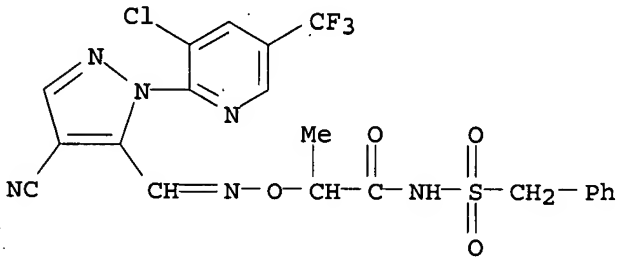


I

AB Herbicidal compds., compns. containing title compds. and methods for controlling weeds by these compns. are described. The herbicidal compds. are 2-(1-aryl-4-cyano-5-pyrazolylmethyleneiminooxy)alkanoic acid derivs. of the structure (I), in which R is lower alkyl, lower alkenyl, or lower alkylnyl, each optionally substituted with halogen, or CH(R1)-C(O)-Y-R2; R1 is hydrogen or lower alkyl; R2 is one of a variety of substituents; Y is O or NH; Z is lower alkyl or lower alkoxy; and Ar is 3-chloro-5-trifluoromethyl-2-pyridyl, 2,6-dichloro-4-trifluoromethylphenyl, or 2,4,6-trichlorophenyl.

IT 156911-25-0P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

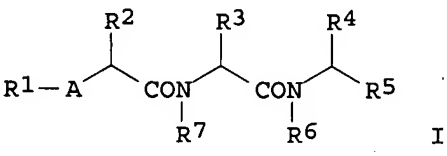
(preparation and herbicidal activity of)
RN 156911-25-0 CAPLUS
CN Propanamide, 2-[[[[1-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]-4-cyano-1H-pyrazol-5-yl]methylene]amino]oxy]-N-[(phenylmethyl)sulfonyl]- (9CI) (CA INDEX NAME)



L12 ANSWER 46 OF 57 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1994:410003 CAPLUS
DOCUMENT NUMBER: 121:10003
TITLE: Preparation of peptides by reaction of olefinic alcohol and enol ether for treatment of tachypnea and myocardial reperfusion injury.
INVENTOR(S): Itsumi, Keiji; Kei, Seihaku; Fukami, Jikiki; Hashihon, Sanashi
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 131 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
JP 05208914	A	19930820	JP 1992-233604		19920901
US 5430022	A	19950704	US 1993-86094		19930706
US 5656604	A	19970812	US 1995-422944		19950417
PRIORITY APPLN. INFO.:			US 1991-753997	A	19910903
			GB 1990-10740	A	19900514
			GB 1990-26254	A	19901203
			GB 1991-4064	A	19910227
			US 1991-696701	A2	19910507
			US 1992-845056	B1	19920303
			US 1993-86094	A3	19930706

OTHER SOURCE(S): MARPAT 121:10003
GI



I

AB Title compds. I [R1 = H, acyl; R2 = alkyl, (un)substituted aralkyl, cycloalkylalkyl, (un)substituted heterocyclylalkyl; R3 = (un)substituted heterocyclylalkyl, (un)substituted aralkyl; R4 = H, (un)substituted alkyl; R5 = carboxy, (un)protected carboxy, (un)protected carboxyalkyl; R6 = H, (un)substituted alkyl; R7 = H, alkyl; A = O, NH, alkylimino, alkylene;

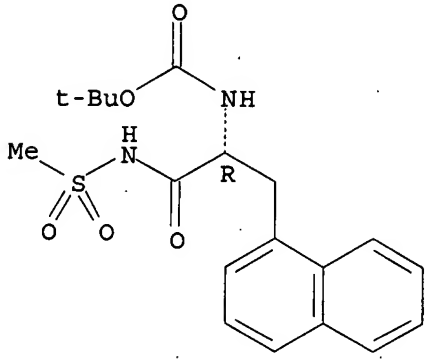
with provisos], useful for the treatment of many cardiovascular injury, e.g., hypertension, are prepared Thus, a mixture of N-phenylacetyl-Leu-OH and H-D-Trp(Me)-D-Phe-OMe.HCl in DMF was stirred with ice cooling for 4.5 h to give PhCH2CO-Leu-D-Trp(Me)-D-Phe-OMe. In an in vitro study, Q-Leu-D-Trp(Me)-D-Pya-OH.HCl [Q = cyclohexylcarbamoyl, Pya = 2-pyridylalanine] (also prepared) had an IC50 of 2.3+10-9 M against the binding of 125-I-endothelin-1 with pig aorta receptors.

IT 142381-14-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for peptides for treatment of tachypnea and myocardial reperfusion injury)

RN 142381-14-4 CAPLUS

CN Carbamic acid, [2-[(methylsulfonyl)amino]-1-(1-naphthalenylmethyl)-2-oxoethyl]-, 1,1-dimethylethyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

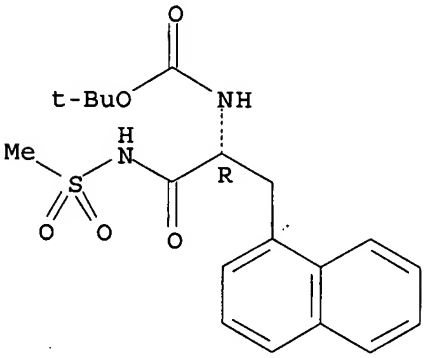


IT 142381-14-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in preparation of peptides for treatment of tachypnea and myocardial reperfusion injury)

RN 142381-14-4 CAPLUS

CN Carbamic acid, [2-[(methylsulfonyl)amino]-1-(1-naphthalenylmethyl)-2-oxoethyl]-, 1,1-dimethylethyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 47 OF 57 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1993:124556 CAPLUS

DOCUMENT NUMBER: 118:124556

TITLE: Preparation of uracil derivatives as herbicides

INVENTOR(S): Satow, Jun; Fukuda, Kenzou; Itoh, Kaoru; Kita, Hiroshi; Kawamura, Yasuo; Suzuki, Koichi; Nawamaki,

Tsutomu; Watanabe, Shigeomi; Endo, Toshiharu; Ishikawa, Kimihiro

PATENT ASSIGNEE(S): Nissan Chemical Industries, Ltd., Japan

SOURCE: PCT Int. Appl., 205 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

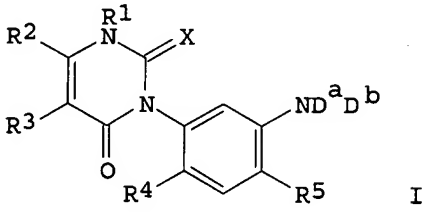
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9211244	A1	19920709	WO 1991-JP1716	19911216
W: AU, BB, BG, BR, CA, CS, FI, HU, KR, LK, MG, MN, MW, NO, PL, RO, SD, SU, US				
RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GN, GR, IT, LU, MC, ML, MR, NL, SE, SN, TD, TG				
JP 05186436	A	19930727	JP 1991-330716	19911213
JP 3089621	B2	20000918		
AU 9190706	A	19920722	AU 1991-90706	19911216
EP 563384	A1	19931006	EP 1992-900598	19911216
EP 563384	B1	20011004		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, SE				
AT 206405	T	20011015	AT 1992-900598	19911216
CA 2097928	C	20020402	CA 1991-2097928	19911216
US 5356863	A	19941018	US 1993-75529	19931021

PRIORITY APPLN. INFO.:

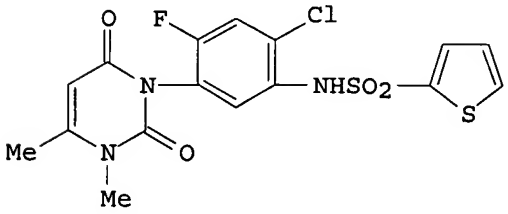
JP 1990-402753	A	19901217
JP 1991-121420	A	19910527
JP 1991-300341	A	19911115
WO 1991-JP1716	A	19911216

OTHER SOURCE(S): MARPAT 118:124556

GI



I



II

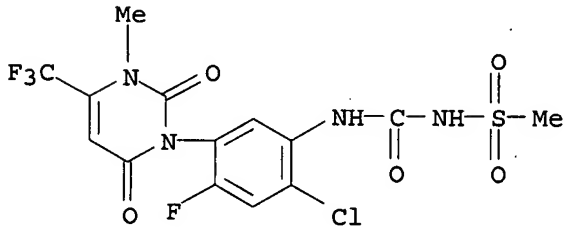
AB The title compds. (I; R1 = H, C1-3 (halo)alkyl; R2 = C1-6 haloalkyl; R3 = H, C1-6 (halo)alkyl, halo, HOCH2, O2N; R4 = H, halo; R5 = H, halo, cyano, NO2, cyano; X = O, S; Da, Db = H, C1-8 alkyl, C1-6 (halo)alkyl, C3-8 alkyl, C2-8 cycloalkyl; provided that both Da, Db ≠ H) are prepared Thus, 0.19 g 2-thiophenesulfonyl chloride was added to a solution of 0.31 g 3-(5-amino-4-chloro-2-fluorophenyl)-1-methyl-6-trifluoromethyl-2,4(1H,3H)-pyrimidinedione in pyridine at <5° and the mixture was stirred at room temperature overnight to give 0.3 g title compound II. This at 0.4 g/are preemergence controlled ≥90% 5 weeds, e.g. Rolipa indica and

Digitaria sanguinalis, and 70-90% Echinochloa crus-galli inflicting ≤5% injury to wheat and corn. A total of 98 I were prepared

IT 145740-58-5P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as herbicide)

RN 145740-58-5 CAPLUS

CN Methanesulfonamide, N-[[[2-chloro-5-[3,6-dihydro-3-methyl-2,6-dioxo-4-(trifluoromethyl)-1(2H)-pyrimidinyl]-4-fluorophenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)



L12 ANSWER 48 OF 57 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1992:530933 CAPLUS

DOCUMENT NUMBER: 117:130933

TITLE: Preparation of [[[(oxotetrahydronaphthyl)methyl]amino]ethyl]benzenes as antihypertensives

INVENTOR(S): McDermed, John Dale; Hurley, Kevin Patrick; Tadepalli, Anjaneyulu Seetharam; Chang, Vincent Huech Tien

PATENT ASSIGNEE(S): Wellcome Foundation Ltd., UK

SOURCE: PCT Int. Appl., 61 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

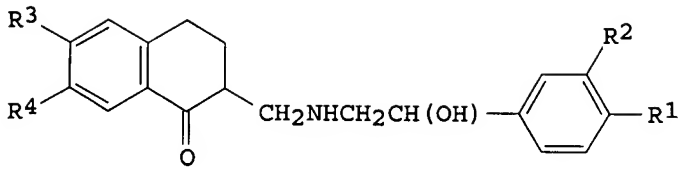
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 9205143	A1	19920402	WO 1991-GB1602		19910919
W: JP, US					
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE					
EP 549668	A1	19930707	EP 1991-916818		19910919
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE					
JP 06501250	T	19940210	JP 1991-515271		19910919
US 5405872	A	19950411	US 1993-30018		19930322
PRIORITY APPLN. INFO.:			GB 1990-20695	A	19900922
			WO 1991-GB1602	W	19910919

OTHER SOURCE(S): MARPAT 117:130933

GI



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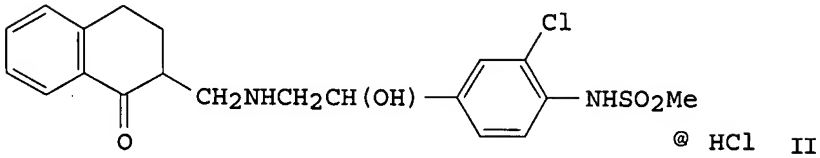


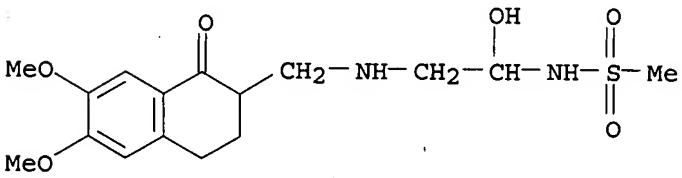
@ HCl II

AB Title compds. [I; R1 = H, OH, alkyl, halo, carbamoyl, aminosulfonyl(amino), etc.; R2 = H, OH, halo, alkoxycarbonyl, aminosulfonyl, alkylsulfonylamino; R3 = H, OH, alkoxy; R4 = H, alkoxy, halo, NO2] were prepared Thus, 2'-chloro-5'-[(1-hydroxy-2-amino)ethyl]methanesulfonanilide hydrochloride (preparation from 4-chloro-3-nitroacetophenone given) and N-(1,2,3,4-tetrahydro-1-oxo-2-naphthyl)methyl-N,N,N-trimethylammonium iodide (preparation given) were stirred in MeCN containing Et3N to give title compound II as a mixture of 2 pairs of diastereomers. II at 10 mg/kg orally in rats gave a 46/53% reduction in systolic/diastolic blood pressure.

IT 142987-45-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as antihypertensive)

RN 142987-45-9 CAPLUS

CN Methanesulfonamide, N-[1-hydroxy-2-[[(1,2,3,4-tetrahydro-6,7-dimethoxy-1-oxo-2-naphthalenyl)methyl]amino]ethyl]-, monohydriodide (9CI) (CA INDEX NAME)



● HI

L12 ANSWER 49 OF 57 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1992:449261 CAPLUS
DOCUMENT NUMBER: 117:49261
TITLE: Preparation of peptides having endothelin antagonist activity and pharmaceutical compositions comprising them.
INVENTOR(S): Hemmi, Keiji; Neya, Masahiro; Fukami, Naoki; Hashimoto, Masashi; Tanaka, Hirokazu; Kayakiri, Natsuko
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 179 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent

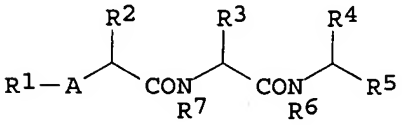
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 457195	A2	19911121	EP 1991-107554	19910509
EP 457195	A3	19921119		
EP 457195	B1	19980415		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
ZA 9103417	A	19920226	ZA 1991-3417	19910506
US 5284828	A	19940208	US 1991-696701	19910507
AU 9176446	A	19911114	AU 1991-76446	19910509
AU 644648	B2	19931216		
AT 165100	T	19980515	AT 1991-107554	19910509
CA 2042442	A1	19911115	CA 1991-2042442	19910513
FI 9102328	A	19911115	FI 1991-2328	19910513
NO 9101854	A	19911115	NO 1991-1854	19910513
CN 1057269	A	19911225	CN 1991-103919	19910513
RU 2092491	C1	19971010	RU 1991-4895608	19910513
HU 57233	A2	19911128	HU 1991-1619	19910514
JP 04244097	A	19920901	JP 1991-206614	19910514
US 5430022	A	19950704	US 1993-86094	19930706
US 5656604	A	19970812	US 1995-422944	19950417

PRIORITY APPLN. INFO.:

GB 1990-10740	A	19900514
GB 1990-26254	A	19901203
GB 1991-4064	A	19910227
US 1991-696701	A2	19910507
US 1991-753997	B2	19910903
US 1992-845056	B1	19920303
US 1993-86094	A3	19930706

OTHER SOURCE(S): MARPAT 117:49261
GI



I

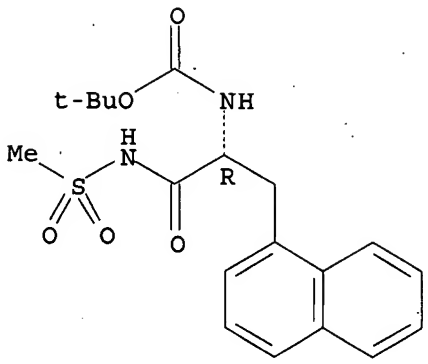
AB The title compds. [I; R1 = H, acyl; R2 = alkyl, aralkyl; R3 = (substituted) heterocyclylalkyl, (substituted) aralkyl; R4, R6 = H, (substituted) alkyl; R5 = (protected) carboxy, (protected) carboxyalkyl; R7 = H, alkyl; A = O, NH, alkylimino, alkylene; with provisos] were prepared A mixture of Q-Leu-OH [Q = PhCH2CO], H-D-Trp(Me)-D-Phe-OMe.HCl, and HOBt in DMF was treated with WSCD under ice-bath cooling for 4.5 h, the mixture was concentrated and a solution of the residue in EtOAc was successively washed with 0.5 N HCl, saturated aqueous NaHCO3, and brine to give Q-Leu-D-Trp(Me)-D-Phe-OMe. In an assay using porcine aorta tissue Q1-L-Leu-D-Trp(Me)-D-Pya-OEt [Q1 = cyclohexylcarbamoyl, Pya = 3-(2-pyridyl)alanine residue; preparation given] had an IC50 of 2.3+10-9 M against 125I-endothelin.

IT 142381-14-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for endothelin antagonists)

RN 142381-14-4 CAPLUS

CN Carbamic acid, [2-[(methylsulfonyl)amino]-1-(1-naphthalenylmethyl)-2-oxoethyl]-, 1,1-dimethylethyl ester, (R)- (9CI) (CA INDEX NAME)

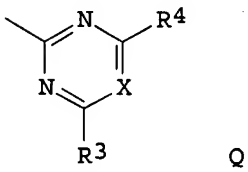
Absolute stereochemistry.



L12 ANSWER 50 OF 57 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1992:255642 CAPLUS
DOCUMENT NUMBER: 116:255642
TITLE: Preparation of 2-(4,6-dimethoxypyrimidin-2-yl)-N-(methylsulfonyl)alkanamides and related triazinyl compounds as herbicides
INVENTOR(S): Jones, Graham Peter
PATENT ASSIGNEE(S): Schering Agrochemicals Ltd., UK
SOURCE: PCT Int. Appl., 22 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9201677	A1	19920206	WO 1991-GB1152	19910712
W: AU, BR, CA, CS, FI, HU, JP, KR, PL, SU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
AU 9180996	A	19920218	AU 1991-80996	19910712
EP 539427	A1	19930505	EP 1991-912894	19910712
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
US 5317005	A	19940531	US 1993-966169	19930119
PRIORITY APPLN. INFO.:			GB 1990-15916 A	19900719
			WO 1991-GB1152 A	19910712

OTHER SOURCE(S): MARPAT 116:255642
GI



Q

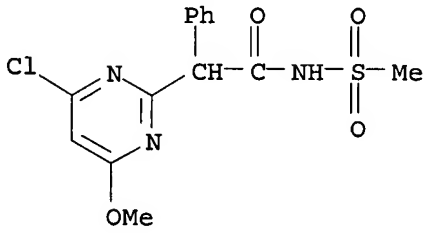
AB ACR1R2CONHSO2R [I; A = pyrimidinyl or triazinyl residue Q; R = amino, (un)substituted alkyl; R1 = (un)substituted (cyclo)alkyl, -Ph, -heterocyclyl; R2 = H, halo, alkyl; R3, R4 = H, alkyl, alkoxy, NH2, (di)alkylamino, halo; X = CH, N] and their salts, were prepared, e.g., by condensation reaction of pyrimidines or triazines QZ (Z = leaving group) with acetamides R1R2CHCONHSO2R. Thus, 20 mL of 2.5 M n-BuLi in hexane was added at -70° under N to a stirred solution of 4.67 g N-(methylsulfonyl)-2-(2-thienyl)acetamide in THF, the mixture was stirred 2 h at room temperature, treated by 5.45 g 4,6-dimethoxy-2-

methylsulfonylpyrimidine, and stirred overnight at room temperature to give 1,8 g title compound (I; A = 4,6-dimethoxypyrimidinyl, R = Me, R1 = 2-thienyl, R2 = H). The latter at 0.25 kg/ha preemergence gave 90-100% control of Veronica persica and 70-89% control of Stellaria media, Galium aparine, and Polygonum lapathifolium. Approx. 32 I were prepared

IT 140704-55-8P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as herbicide)

RN 140704-55-8 CAPLUS

CN 2-Pyrimidineacetamide, 4-chloro-6-methoxy-N-(methylsulfonyl)-α-phenyl- (9CI) (CA INDEX NAME)



L12 ANSWER 51 OF 57 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1989:135085 CAPLUS

DOCUMENT NUMBER: 110:135085

TITLE: Preparation of phthalimidoethylsulfonamides as cardiovascular agent pharmaceuticals

INVENTOR(S): Andersen, Lars; Kangasaho, Mauno; Nikander, Hannu

PATENT ASSIGNEE(S): Huhtamaki Oy, Finland

SOURCE: PCT Int. Appl., 26 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

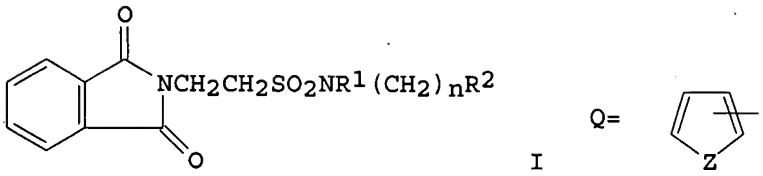
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

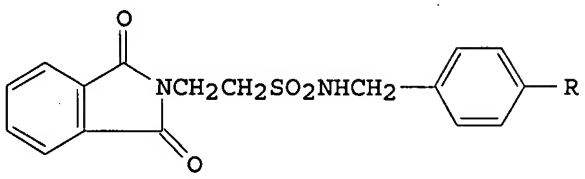
PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 8807991	A1	19881020	WO 1988-FI43		19880329
W: AU, DK, FI, HU, JP, NO, SU, US					
RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE					
SE 8701524	A	19881011	SE 1987-1524		19870410
SE 458606	B	19890417			
SE 458606	C	19890810			
AU 8814994	A	19881104	AU 1988-14994		19880329
EP 355098	A1	19900228	EP 1988-902862		19880329
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL					
JP 02502996	T	19900920	JP 1988-502909		19880329
PRIORITY APPLN. INFO.:			SE 1987-1524	A	19870410
			WO 1988-FI43	A	19880329

OTHER SOURCE(S): MARPAT 110:135085

GI



I



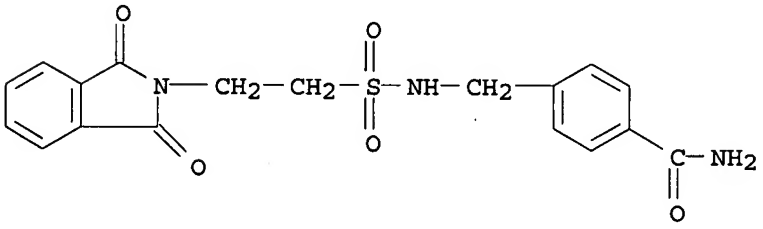
II

AB The title compds. (I; R1 = H, alkyl, hydroxyalkyl; R2 = R3R4C6H3, 5-membered heteroarom. group Q; R3,R4 = H, alkoxy, alkoxycarbonyl, alkyl, halo, CF3, NO2, NR5R6, SO2NR5R6, CONR5R6; R5, R6 = H, alkyl; Z = O, N, S) were prepared 4-(MeO)C6H4CH2NH2 was stirred 30 min with phthalimidoethanesulfonyl chloride in CH2Cl2 containing K2CO3 to give 78% title compound II (R = MeO). Similarly prepared II (R = Cl) caused a 52% decrease in blood pressure of 17 min duration in anesthetized cats at 4 mg/kg i.v.

IT 119589-71-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as cardiovascular agent)

RN 119589-71-8 CAPLUS

CN Benzamide, 4-[[[[2-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)ethyl]sulfonyl]amino]methyl]- (9CI) (CA INDEX NAME)



L12 ANSWER 52 OF 57 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1988:631053 CAPLUS

DOCUMENT NUMBER: 109:231053

TITLE: Preparation of N-pyrimidinyl-N'-sulfonylisothioureas as herbicides

INVENTOR(S): Kuragano, Takashi; Okada, Yoshiyuki; Aoki, Isao; Okajima, Nobuyuki

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 17 pp.
CODEN: JKXXAF

DOCUMENT TYPE: Patent

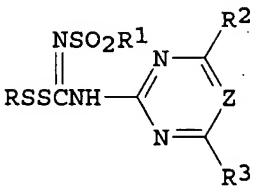
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

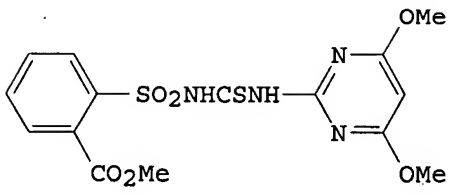
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 63091375	A	19880422	JP 1986-238789	19861006
PRIORITY APPLN. INFO.:			JP 1986-238789	19861006

OTHER SOURCE(S): MARPAT 109:231053
GI



I



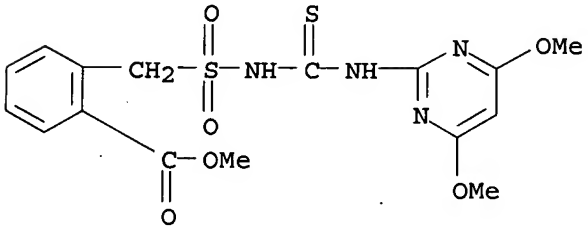
II

AB Title compds. I [R = hydrocarbyl; R1 = (substituted) Ph, (substituted) PhCH2, (substituted) pyrazolyl; R2, R3 = alkyl, alkoxy; Z = CH, N] are prepared A solution of 2-MeO2CC6H4CH2SO2NH2 (preparation given) and 4,6-dimethoxy-2-isothianatopyrimidine (preparation given) in Me2CO was heated in the presence of K2CO3 at 55° and 60° to give thiourea II, which in MeOH was treated with S-Bu-thioisourea.HCl at room temperature to afford I (R = Bu, R1 = 2-MeO2CC6H4CH2, R2 = R3 = MeO, Z = CH) (III). III at 1 g/are showed 100% control of Cyperus difformis and Monochoria vaginalis and no damage to rice, vs. 87.6-99.9% and 100% control and 12.6-25.0% damage by simetryn, resp. An emulsion was formulated containing III 2, xylene 75, DMF 18, and nonipol 85 5 weight%.

IT 112941-37-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of isothiourea herbicides)

RN 112941-37-4 CAPLUS

CN Benzoic acid, 2-[[[[[(4,6-dimethoxy-2-pyrimidinyl)amino]thioxomethyl]amino]sulfonyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

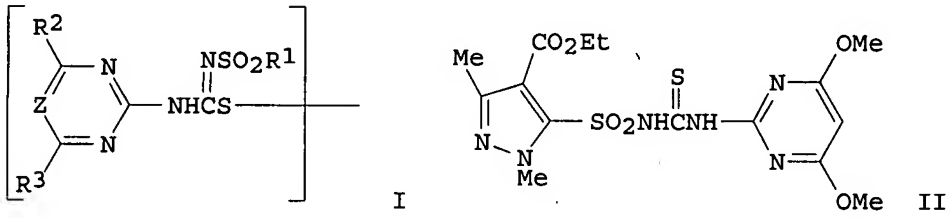


L12 ANSWER 53 OF 57 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1988:631052 CAPLUS
DOCUMENT NUMBER: 109:231052
TITLE: Preparation of N-(pyrimidinyl and triazinyl)-N'-sulfonylisothiourea dimers as herbicides
INVENTOR(S): Kuragano, Takashi; Okada, Yoshiyuki; Aoki, Isao; Okajima, Nobuyuki
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 16 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 63091376	A	19880422	JP 1986-238790	19861006
PRIORITY APPLN. INFO.:			JP 1986-238790	19861006

OTHER SOURCE(S): MARPAT 109:231052
GI



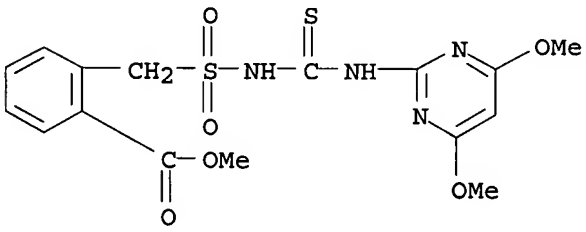
I II

AB Title compds. I [R1 = (substituted) Ph, (substituted) PhCH2, (substituted) pyrazolyl; R2, R3 = alkyl, alkoxy; Z = CH, N] are prepared A solution of Et 5-aminosulfonyl-1,3-dimethylpyrazole-4-carboxylate (preparation given) and 2-[N,N-bis(phenoxythiocarbonyl)amino]-4,6-dimethoxypyrimidine (preparation given) in Me2CO was refluxed in the presence of K2CO3 to give thiourea II, which in MeOH was treated with Br in the presence of MeONa at -5 to -10° to give I (R1 = 1,3-dimethoxy-4-ethoxycarbonyl-5-pyrazolyl, R2 = R3 = MeO, Z = CH) and the latter compound 30, Na ligninesulfonate 5, nonipol 85 5, clay 55 and white carbone 5 weight% were mixed to give a wettable powder. I (R1 = 2-ClC6H4, R2 = Me, R3 = MeO, Z = CH) at 0.5 g/are showed 87.6-99.9% control of Cyperus serotinus and Sagittaria pygmaea, vs. 0.1-50% by simetryn.

IT 112941-37-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of isothiourea herbicides)

RN 112941-37-4 CAPLUS

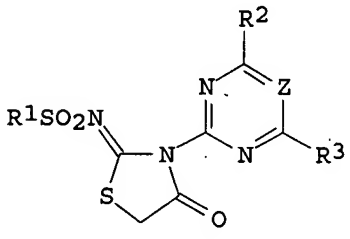
CN Benzoic acid, 2-[[[[[(4,6-dimethoxy-2-pyrimidinyl)amino]thioxomethyl]amino]sulfonyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)



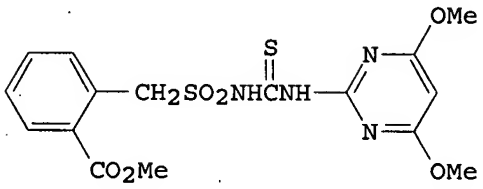
L12 ANSWER 54 OF 57 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1988:631051 CAPLUS
DOCUMENT NUMBER: 109:231051
TITLE: Preparation of N-pyrimidinyl-or-triazinyl-2-sulfonylimino-thiazolidin-4-ones as herbicides
INVENTOR(S): Kuragano, Takashi; Okada, Yoshiyuki; Aoki, Isao; Okajima, Nobuyuki
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 15 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

JP 63091390 A 19880422 JP 1986-238791 19861006
PRIORITY APPLN. INFO.: JP 1986-238791 19861006
OTHER SOURCE(S): MARPAT 109:231051
GI



I



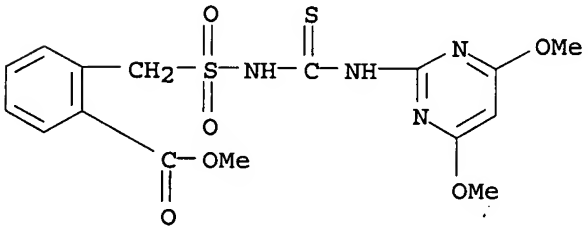
II

AB Title compds. I [R1 = (substituted) Ph, (substituted) PhCH2, (substituted) pyrazolyl; R2, R3 = alkyl, alkoxy; Z = CH, N] are prepared A solution of 2-MeO2CC6H4CH2SO2NH2 (preparation given) and 4,6-dimethoxy-2-isothiocyanatopyrimidine (preparation given) was heated at 55° then 60° to give thiourea II, which in CHCl3 was treated with ClCH2COCl in the presence of Et3N to afford I (R1 = 2-MeO2CC6H4CH2, R2 = R3 = MeO, Z = CH) (III). I (R1 = 2-MeC6H4, R2 = R3 = MeO, Z = CH) at 0.5 g/are showed 87.6-99.9% control of Cyperus serotinus and Sagittaria pygmaea, vs. 0.1-50% by simetryn. An emulsion was formulated containing III 2, xylene 75, DMF 18, and nonipol 85 5 weight%.

IT 112941-37-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of thiazolidinone herbicides)

RN 112941-37-4 CAPLUS

CN Benzoic acid, 2-[[[[[(4,6-dimethoxy-2-pyrimidinyl)amino]thioxomethyl]amino]sulfonyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)



L12 ANSWER 55 OF 57 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1988:524410 CAPLUS
DOCUMENT NUMBER: 109:124410
TITLE: Preparation of herbicidal heterocyclic 2,6-disubstituted benzenesulfonamides, benzylsulfonamides and benzenesulfamates
INVENTOR(S): Hay, James V.; Levitt, George
PATENT ASSIGNEE(S): du Pont de Nemours, E. I., and Co., USA
SOURCE: U.S., 33 pp. Cont.-in-part U.S. Ser. No. 624,843, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

US 4678500	A	19870707	US 1985-768109	19850821
JP 60123407	A	19850702	JP 1984-163005	19840803
US 4737185	A	19880412	US 1987-37986	19870413

PRIORITY APPLN. INFO.: US 1983-559372 A2 19831208
US 1984-624843 A2 19840629
US 1985-768109 A3 19850821

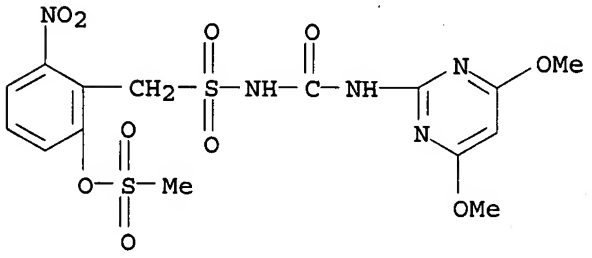
OTHER SOURCE(S): CASREACT 109:124410

AB The title compds. DSO2NHCONRA [D = R4R2R3C6H2, (un)substituted Ph, PhCH2, PhO or C6H4SO2E; R = H; Me; A = (un)substituted 2-pyrimidinyl, 1,3,5-triazin-2-yl, 4-methoxy-1,3,5-triazin-2-ylmethyl, etc.; R1, R2 = H, SOR4, CF3, Q, etc.; R3 = H, Cl, F, Br, Me, OMe, CF3; R4 = alkyl; E = aziridino, substituted NH2, (un)substituted azetidino, etc.; Q = (un)substituted pyrazolyl, etc.; n = 0-2] are prepared as herbicides and plant growth regulators. A suspension of 2-(methylsulfonyl)-6-phenylbenzenesulfonamide (preparation given) in CH2Cl2 was treated with Me3Al in toluene and with Me N-(4-methoxy-6-methylpyrimidin-2-yl)carbamate to give N-[(4-methoxy-6-methylpyrimidin-2-yl)aminocarbonyl]-3-(methylsulfonyle-1,1'-biphenyl-2-sulfonamide. A formulation comprised Me 2-[[[(4-methoxy-6-methyl-1,3,5-triazin-2-yl)aminocarbonyl]aminosulfonyl]methyl]-3-nitrobenzoate (I) 80, wetting agent 1, lignosulfonate 10, and attapulgite 9%. Postemergence 50 g I/ha controlled morning glory, cocklebur, barnyard grass and other weeds.

IT 114988-32-8P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as herbicide)

RN 114988-32-8 CAPLUS

CN Benzenemethanesulfonamide, N-[[(4,6-dimethoxy-2-pyrimidinyl)amino]carbonyl]-2-[(methylsulfonyl)oxy]-6-nitro- (9CI) (CA INDEX NAME)



L12 ANSWER 56 OF 57 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1988:473484 CAPLUS

DOCUMENT NUMBER: 109:73484

TITLE: Preparation and testing of thiadiazolopyrimidine and -triazine derivatives as herbicides.

INVENTOR(S): Hagiwara, Kenji; Iihama, Teruyuki; Ishikawa, Hisao; Inaba, Hideo

PATENT ASSIGNEE(S): Nippon Soda Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp.
CODEN: JKXXAF

DOCUMENT TYPE: Patent

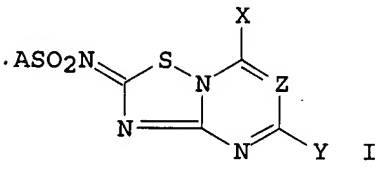
LANGUAGE: Japanese

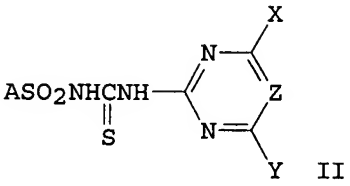
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 62263185	A	19871116	JP 1986-104532	19860507

PRIORITY APPLN. INFO.: JP 1986-104532 19860507
GI


I

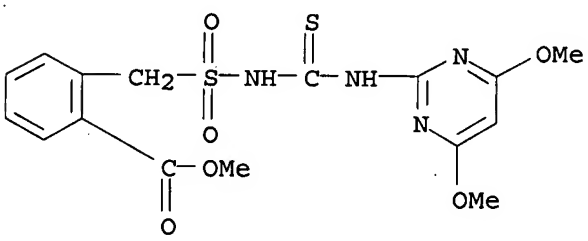

II

AB The title compds. [I; A = lower alkyl, lower alkoxycarbonyl, (halo)phenyl, aralkyl, 5-6 membered heteroaryl containing O, S, and/or N in the ring; Z = N, CH; X, Y = halo, lower alkyl, lower alkoxy] were prepared by cyclization of heterocyclylthiourea derivs. II in the presence of an oxidizing agent. II [A = 1-methyl-4-ethoxycarbonylpyrazol-5-yl (Q), X = Y = OMe, Z = CH] (9.3 mmol) and 9.5 mmol iodine in AcOH was stirred 3 h at room temperature to give 0.30 g I (A = Q, X = Y = OMe, Z = CH) (III). In preemergent application, III at 12.5 g/10 are controlled 100% 3 weeds including Scirpus juncoides.

IT 112941-37-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(oxidative cyclization of, thiadiazolopyrimidine derivative from)

RN 112941-37-4 CAPLUS

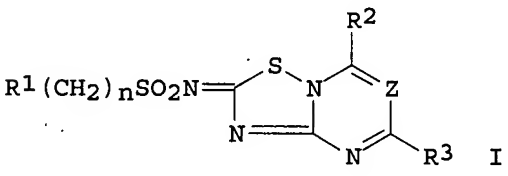
CN Benzoic acid, 2-[[[[[(4,6-dimethoxy-2-pyrimidinyl)amino]thioxomethyl]amino]sulfonyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)



L12 ANSWER 57 OF 57 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1988:94586 CAPLUS
DOCUMENT NUMBER: 108:94586
TITLE: Preparation of thiadiazolopyrimidines and -triazines as herbicides
INVENTOR(S): Okada, Yoshiyuki; Aoki, Isao; Okajima, Nobuyuki; Kuragano, Takashi
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd. , Japan
SOURCE: Eur. Pat. Appl., 65 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 239064	A2	19870930	EP 1987-104295	19870324
EP 239064	A3	19890329		
R: CH, DE, FR, GB, IT, LI				
JP 63010795	A	19880118	JP 1987-56248	19870311
US 4897105	A	19900130	US 1987-28692	19870320
CN 87102275	A	19880217	CN 1987-102275	19870325

PRIORITY APPLN. INFO.: JP 1986-67821 A 19860325
OTHER SOURCE(S): CASREACT 108:94586
GI



I

AB The title compds. [I; R1 = (un)substituted Ph; R2,R3 = alkyl, alkoxy; Z = CH, N; n = 0, 1] and their agriculturally acceptable salts were prepared as herbicides. N-(4,6-Dimethoxy-2-pyrimidinyl)-N'-[[[2-(trifluoromethyl)phenyl]methyl]sulfonyl]thiourea (general preparation given) in MeOH was cooled to -5 to -10° and Br in MeOH was added dropwise, followed by warming to room temperature and stirring 2 h to give I (R1 = 2-F3CC6H4, R2 = R3 = Me, Z = CH, n = 1) (II). At 1.0 g/are II gave 87.6-99.9% control of Cyperus difformis and Monochoria vaginalis and had no deleterious effect on rice plants.

IT 112941-37-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and oxidative cyclization of)

RN 112941-37-4 CAPLUS

CN Benzoic acid, 2-[[[[[(4,6-dimethoxy-2-pyrimidinyl)amino]thioxomethyl]amino]sulfonyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)